09/288,556

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FILE LAST UPDATED: 25 Jun 2003 (20030625/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l5
L9 30 L5

=> s l6
L10 205 L6 excluded from claims

=> d l9 1-30 ibib abs hitstr

L9 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:5762 CAPLUS
DOCUMENT NUMBER: 138:78452
TITLE: Pharmaceutical compositions containing anticholinergic agents, corticosteroids and betamimetic agents
INVENTOR(S): Meade, Christopher John Montague; Pieper, Michael P.; Pairet, Michel
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000241	A2	20030103	WO 2002-EP5896	20020529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10130371	A1	20030102	DE 2001-10130371	20010623
US 2003018019	A1	20030123	US 2002-173194	20020617

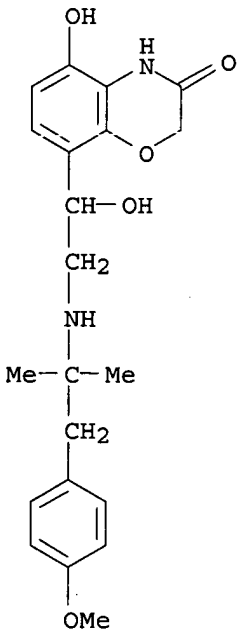
PRIORITY APPLN. INFO.: DE 2001-10130371 A 20010623
US 2001-304148P P 20010710

AB The invention relates to novel pharmaceutical compns. based on anitcholinergic agents, corticosteroids and betamimetic agents, to methods for their prodn. and to their use for treating respiratory tract diseases. Thus an inhalation powder was prepd. that contained (.mu.g) per capsule: tiotropium bromide monohydrate 22.6; budesonide 200; salmeterol x 0.5 H2SO4 55.9; lactose 4721.6.

IT **371754-09-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. anticholinergic agents, corticosteroids and betamimetic agents)

RN 371754-09-5 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:368259 CAPLUS

DOCUMENT NUMBER: 136:386021

TITLE: 3-{6-cyano-5-[(R)-2-hydroxy-3-(2-substituted-1,1-dimethylethylamino)propoxy]pyridin-2-yl}propionic acids and their esters as calcilytic compounds

INVENTOR(S): Bhatnagar, Pradip; Burgess, Joelle L.; Callahan, James F.; Lago, Maria A.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

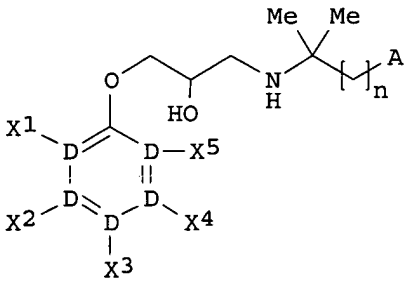
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

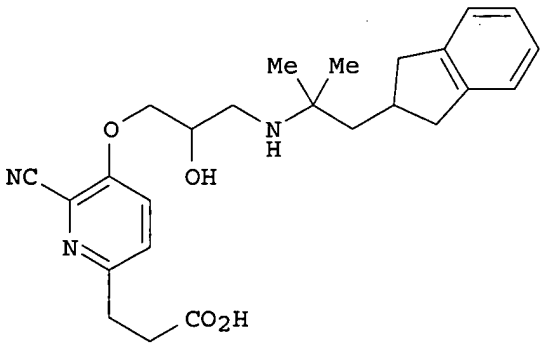
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038106	A2	20020516	WO 2001-US46184	20011025

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2002039489 A5 20020521 AU 2002-39489 20011025
PRIORITY APPLN. INFO.: US 2000-243006P P 20001025
WO 2001-US46184 W 20011025
OTHER SOURCE(S): MARPAT 136:386021
GI



I



II

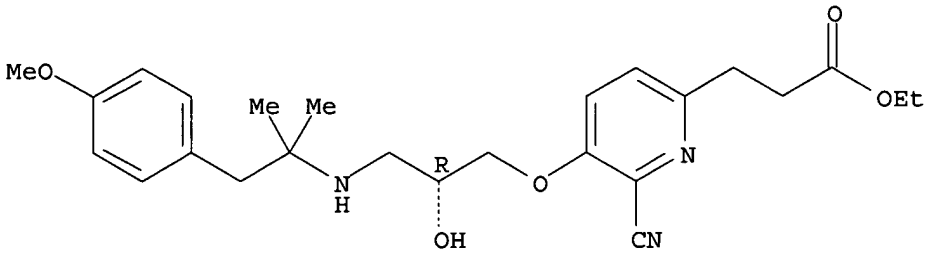
AB The title compds. [I; A = (un)substituted (fused) (hetero)aryl, dihydro or tetrahydro fused (hetero)aryl; D = C, N with 1-2 N in ring, provided that X1-X5 are not present when D = N; X1 and X5 = H, halo, CN, NO2, provided that either X1 or X5 = H, further provided that X1 and X5 are not present when D = N; X2-X4 = H, halo, alkoxy, etc.; n = 0-4] such as (2R)-II, useful as calcium receptor antagonists, were claimed. Prepn. of 2-(indan-2-yl)-1,1,-dimethylethylamine, an intermediate in the synthesis of (2R)-II, was given.

IT **425613-54-3P 425613-56-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(3-{6-cyano-5-[(R)-2-hydroxy-3-(2-substituted-1,1-dimethylethylamino)propoxy]pyridin-2-yl}propionic acids and their esters as calcilytic compds.)

RN 425613-54-3 CAPLUS

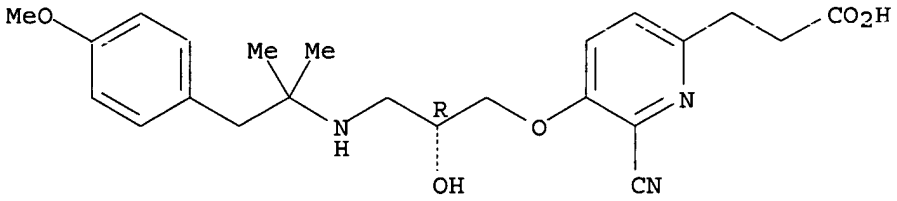
CN 2-Pyridinepropanoic acid, 6-cyano-5-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 425613-56-5 CAPLUS
CN 2-Pyridinepropanoic acid, 6-cyano-5-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

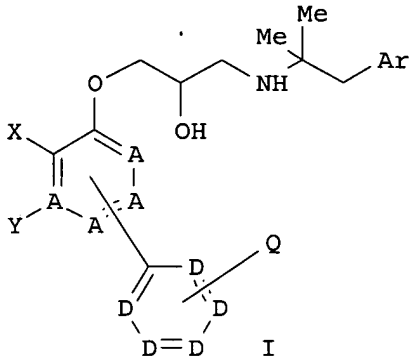


L9 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:89783 CAPLUS
DOCUMENT NUMBER: 136:151076
TITLE: Preparation of hydroxyphenoxypropylheteroarylethylamines, methoxyphenylethylaminophenoxypropanols, and related compounds as calcilytic compounds
INVENTOR(S): Bhatnagar, Pradip K.; Callahan, James F.; Lago, Amparo M.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007673	A2	20020131	WO 2001-US22267	20010716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001076923	A5	20020205	AU 2001-76923	20010716
NO 2003000303	A	20030320	NO 2003-303	20030120

PRIORITY APPLN. INFO.: US 2000-219842P P 20000721
US 2000-220636P P 20000725
WO 2001-US22267 W 20010716
OTHER SOURCE(S): MARPAT 136:151076

GI



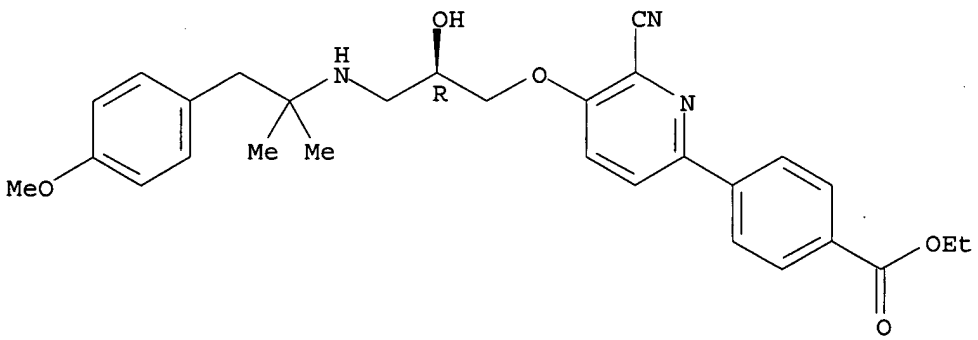
AB The prepn. of calcilytic compds. [I; wherein A = C or N with one or two N's in ring; D = C or N with one or two N's in ring; X = CN, NO2, Cl, F, H; Y (when A = C) = H, halo; Q (when D = C) = H, alkyl, tetrazole, alc., etc.; Ar = Ph, naphthyl, heteroaryl, etc.] is described. Thus, a multistep synthesis of N-[(2R)-Hydroxy-3-[[2-cyano-5-[(5-carboxy)-3-pyridyl]phenoxy]propyl]]-1,1-dimethyl-2-(5-chlorothienyl)ethylamine is given. The prepd. compds. are useful in the treatment of diseases or disorders characterized by an abnormal bone or mineral homeostasis, wherein the bone or mineral disease or disorder is selected from the group consisting of osteosarcoma, periodontal disease, fracture healing, osteoarthritis, joint replacement, rheumatoid arthritis, Paget's disease, humoral hypercalcemia assocd. with malignancy and fracture healing, and osteoporosis.

IT **393813-55-3P 393813-56-4P 395109-64-5P 395109-65-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxyphenoxypropylheteroarylethylamines, methoxyphenylethylaminophenoxypropanols, and related compds. as calcilytic compds.)

RN 393813-55-3 CAPLUS

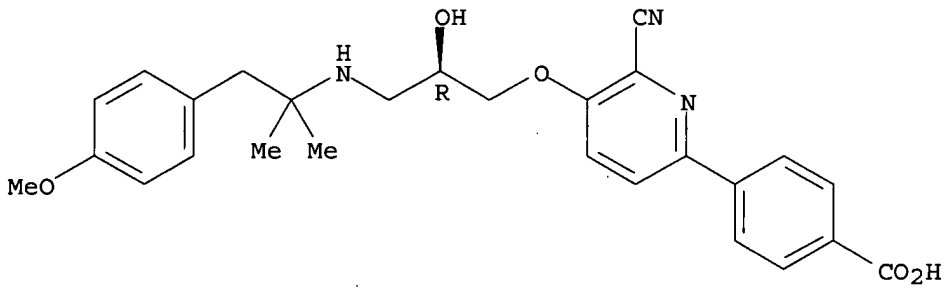
CN Benzoic acid, 4-[6-cyano-5-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



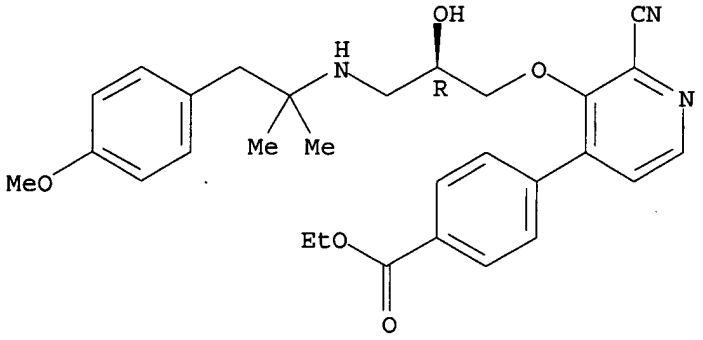
RN 393813-56-4 CAPLUS
CN Benzoic acid, 4-[6-cyano-5-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-2-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



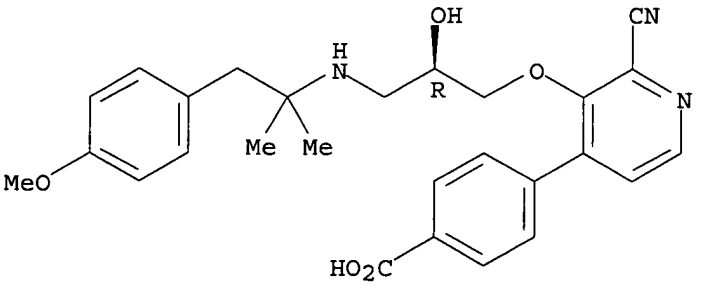
RN 395109-64-5 CAPLUS
CN Benzoic acid, 4-[2-cyano-3-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-4-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 395109-65-6 CAPLUS
CN Benzoic acid, 4-[2-cyano-3-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-4-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:923757 CAPLUS
DOCUMENT NUMBER: 136:37503
TITLE: Preparation of N-glycyl-2-cyanopyrrolidines as DPP IV

inhibitors
INVENTOR(S): Villhauer, Edwin Bernard
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096295	A2	20011220	WO 2001-EP6595	20010611
WO 2001096295	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1296974	A2	20030402	EP 2001-984014	20010611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6432969	B1	20020813	US 2001-879654	20010612
US 2002193390	A1	20021219	US 2002-176440	20020620

PRIORITY APPLN. INFO.:

US 2000-325743P	P	20000613
US 2000-592336	A	20000613
WO 2001-EP6595	W	20010611
US 2001-879654	A3	20010612

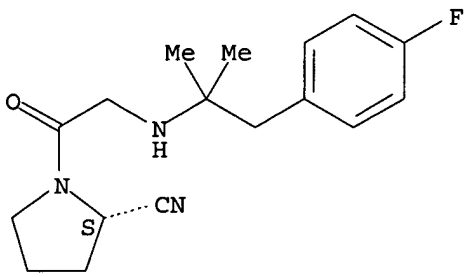
AB The present invention relates to the prepn. of N-(substituted glycyl)-2-cyanopyrrolidines. Thus, 1-chloroacetyl-2-(S)-cyanopyrrolidine (synthetic prepn. given) is reacted with 2-[(5-chloro-2-pyridinyl)amino]-1,1-dimethylethylamine in the presence of K2CO3 to give 1-[[[2-[(5-chloro-2-pyridinyl)amino]-1,1-dimethylethyl]amino]acetyl]-2-cyano-(S)-pyrrolidine. The prepd. compds. inhibit DPP-IV (dipeptidyl-peptidase-IV) activity. They are therefore indicated for use as pharmaceuticals in inhibiting DPP-IV and in the treatment of conditions mediated by DPP-IV, such as non-insulin-dependent diabetes mellitus, arthritis, obesity, osteoporosis and further conditions of impaired glucose tolerance. Data for biol. activity of some of the prepd. compds. were given.

IT **380828-97-7P**, 1-[[2-[(4-Fluorophenyl)-1,1-dimethylethyl]amino]acetyl]-2-cyano-(S)-pyrrolidine monohydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-glycyl-2-cyanopyrrolidines as DPP IV inhibitors)

RN 380828-97-7 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(4-fluorophenyl)-1,1-dimethylethyl]amino]acetyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L9 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:816649 CAPLUS
DOCUMENT NUMBER: 135:344494
TITLE: Novel, slow-acting betamimetics, a method for their production and their use as medicaments
INVENTOR(S): Schromm, Kurt; Walland, Alexander; Bozung, Karl-Heinz; Schollenberger, Hermann
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.- G., Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083462	A1	20011108	WO 2001-EP4278	20010414
W: AE, AU, BG, BR, CA, CN, CO, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, UZ, VN, YU, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10051318	A1	20020627	DE 2000-10051318	20001017
BR 2001010331	A	20030107	BR 2001-10331	20010414
EP 1305300	A1	20030502	EP 2001-929560	20010414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
US 2002022625	A1	20020221	US 2001-836462	20010418
NO 2002005133	A	20021025	NO 2002-5133	20021025

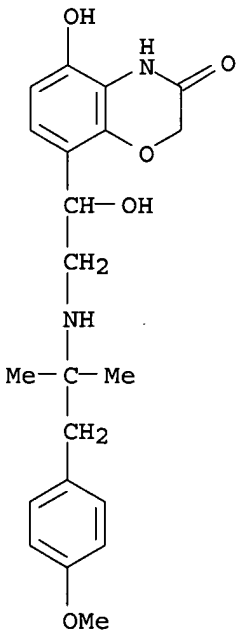
PRIORITY APPLN. INFO.: EC 2000-3424 A 20000427
DE 2000-10051318 A 20001017
WO 2001-EP4278 W 20010414

OTHER SOURCE(S): CASREACT 135:344494; MARPAT 135:344494

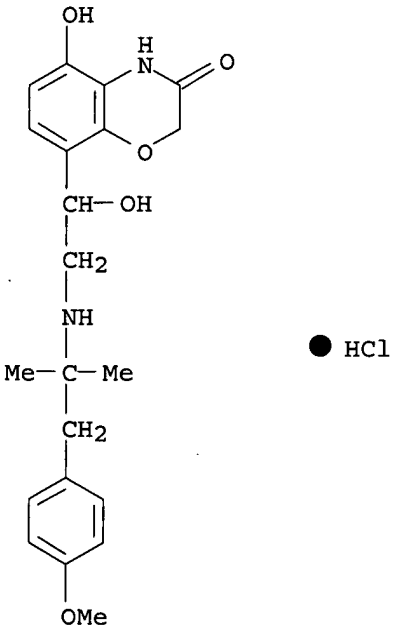
AB The Schiff base prepd. from 3-(4-dimethylaminophenyl)-2-methyl-2-propylamine and [2H-5-(benzyloxy)-3-oxo-4H-1,4-benzoxazin-8-yl]glyoxal was hydrogenated and deprotected to give 1-[2H-5-hydroxy-3-oxo-4H-1,4-benzoxazin-8-yl]-2-[3-(4-dimethylaminophenyl)-2-methyl-2-propylamino]ethanol. Among the 4 other compds. similarly prepd. were 1-[3-(4-methoxybenzylamino)-4-hydroxyphenyl]-2-[4-(1-benzimidazolyl)-2-methyl-2-butylamino]ethanol and 1-[2H-5-hydroxy-3-oxo-4H-1,4-benzoxazin-8-yl]-2-{4-[3-(4-methoxyphenyl)-1,2,4-triazol-3-yl]-2-methyl-2-butylamino}ethanol.

IT **371754-09-5P 371754-17-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclic aminoethanols as betamimetics)

RN 371754-09-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 371754-17-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:96006 CAPLUS
DOCUMENT NUMBER: 132:151556
TITLE: Preparation of .alpha.,.alpha.-disubstituted arylalkylamine derivatives as calcilytic compounds
INVENTOR(S): Del Mar, Eric G.; Barmore, Robert M.; Sheehan, Derek; Van Wagenen, Bradford C.; Callahan, James F.; Keenan, Richard M.; Kotecha, Nikesh R.; Lago, Maria Amparo; Southall, Linda Sue; Thompson, Mervyn
PATENT ASSIGNEE(S): NPS Pharmaceuticals, Inc., USA; Smithkline Beecham, Corp.; Smithkline Beecham, Plc
SOURCE: U.S., 36 pp., Cont.-in-part of U.S. Ser. No. 629,608, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6022894	A	20000208	US 1997-832984	19970404
CA 2251331	AA	19971016	CA 1997-2251331	19970404
CN 1221401	A	19990630	CN 1997-195368	19970404
TW 483881	B	20020421	TW 1997-86106134	19970508
US 6521667	B1	20030218	US 1998-132179	19980811
US 6432656	B1	20020813	US 1999-370097	19990806
US 2002099220	A1	20020725	US 2001-33001	20011019

PRIORITY APPLN. INFO.:
US 1996-629608 B2 19960409
US 1996-32263P P 19961203
US 1997-832984 A3 19970404
US 1997-42949P P 19970407
US 1998-132179 A3 19980811

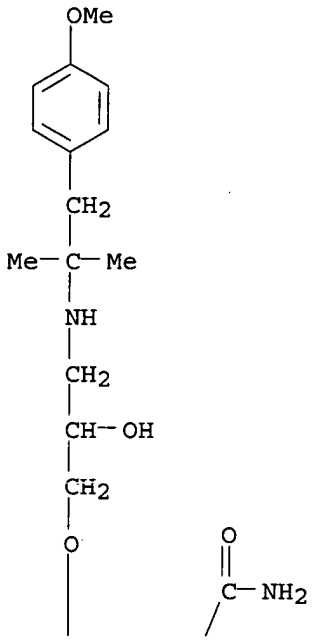
OTHER SOURCE(S): MARPAT 132:151556

AB The title compds. R1ZY1CR2R6Y2NHCR3R4Y3R5 [R1 = aryl, alkyl, cycloalkyl; R2 = alkyl, alkoxy, H, etc.; R3, R4 = alkyl; R3R4C = cyclopropyl; R5 = aryl, R6 = H, alkyl, alkenyl, but R6 is not present if R2 is :O; Y1, Y3 = alkylene; R2 = methylene; Z = O, S, alkylene], calcilytic agents, were prepd. E.g., reaction of 4-chlorophenyl glycidyl ether and 1,1-dimethyl-2-(4-methoxyphenyl)ethylamine gave N-[2-hydroxy-3-(4-chlorophenoxy)propyl]-1,1-dimethyl-2-(4-methoxyphenyl)ethylamine hydrochloride.

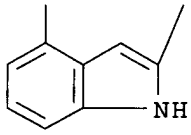
IT **198225-37-5P 198226-01-6P 198226-02-7P**
198226-46-9P 198226-48-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.,.alpha.-disubstituted arylalkylamine derivs. as calcilytic compds.)

RN 198225-37-5 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

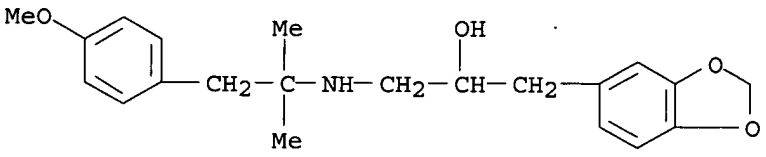


PAGE 2-A



● HCl

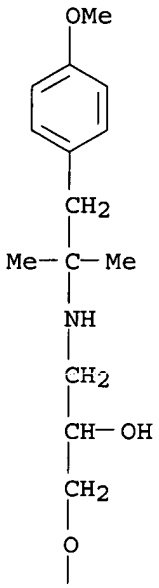
RN 198226-01-6 CAPLUS
CN 1,3-Benzodioxole-5-ethanol, .alpha.-[[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



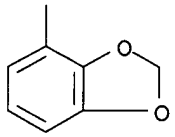
HCl

RN 198226-02-7 CAPLUS
CN 2-Propanol, 1-(1,3-benzodioxol-4-yloxy)-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



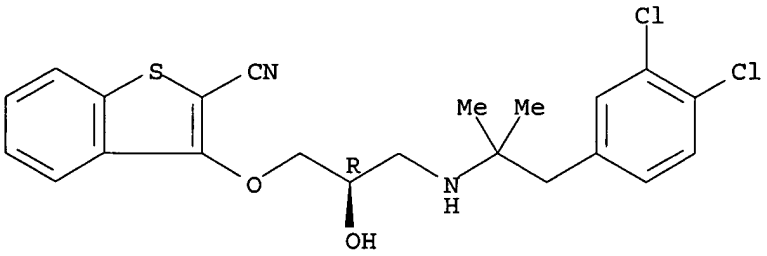
PAGE 2-A



● HCl

RN 198226-46-9 CAPLUS
CN Benzo[b]thiophene-2-carbonitrile, 3-[(2R)-3-[[2-(3,4-dichlorophenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



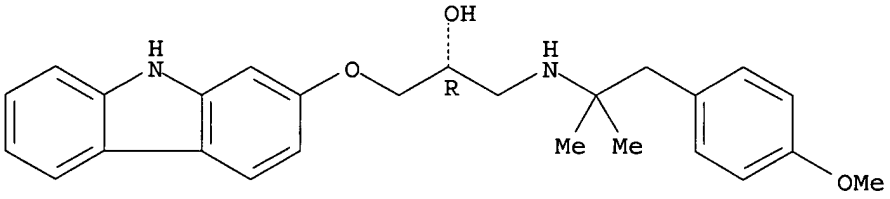
● HCl

RN 198226-48-1 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-2-yloxy)-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]-, (2R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

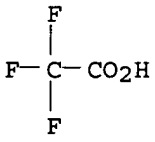
CRN 198226-47-0
CMF C26 H30 N2 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:682355 CAPLUS
DOCUMENT NUMBER: 129:302376
TITLE: Preparation of arylalkylamine as calcilytic compounds
INVENTOR(S): Barmore, Robert M.; Bhatnagar, Pradip Kumar; Bryan, William M.; Burgess, Joelle Lorraine; Callahan, James Francis; Calvo, Raul Rolando; Del Mar, Eric G.; et al.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Nps Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845255	A1	19981015	WO 1998-US6928	19980408
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9802951	A	19990316	ZA 1998-2951	19980407
AU 9868900	A1	19981030	AU 1998-68900	19980408
AU 721910	B2	20000720		
EP 973730	A1	20000126	EP 1998-914581	19980408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
BR 9808491	A	20000523	BR 1998-8491	19980408
JP 2001523223	T2	20011120	JP 1998-543055	19980408
TW 407144	B	20001001	TW 1998-87105217	19980722
US 6294531	B1	20010925	US 1999-402310	19991001
NO 9904877	A	19991007	NO 1999-4877	19991007

PRIORITY APPLN. INFO.:
US 1997-42724P P 19970408
US 1997-61327P P 19971008
US 1997-61329P P 19971008
US 1997-61330P P 19971008
US 1997-61331P P 19971008
US 1997-61333P P 19971008
WO 1998-US6928 W 19980408

OTHER SOURCE(S): MARPAT 129:302376

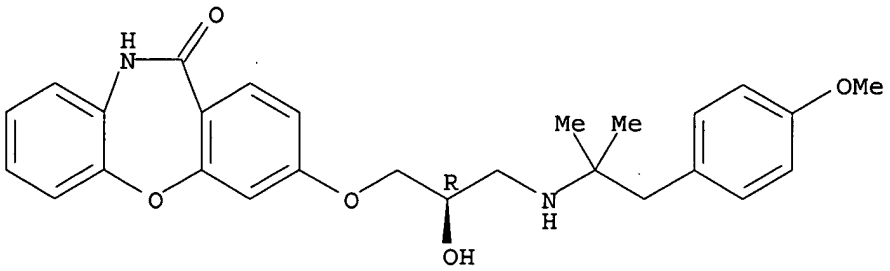
AB Title compds. XZY1CR7R8Y2NHCR3R4GABR5 [Y1 = covalent bond, alkylene, alkenylene, alkyl; Y2 = methylene, alkyl, CF3; Z = O, S, NH, alkyl, etc.; R3 = CH3, CH3CH2; R4 = CH3, CH3CH2; R3-R4 = cyclopropyl; R5 = C6H5, naphthyl, OH, alkoxy, cycloalkyl, CN, NO2, etc.; G = electron pair, COH, CH, CO; R7 = H, OH, alkoxy; R8 = H, alky; R7-R8 = carbonyl moiety; AB = CH2CH2, CH:CH, CC, covalent bond; X = (un)substituted phenylaminosulfonyl, phenylaminocarbonylalkyl, phenylcarbonylamino, phenylsulfonylamino, etc.] exhibiting calcilytic properties are prepd. of treating abnormal bone or mineral homeostasis (no data).

IT **214625-44-2P 214625-47-5P 214625-51-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylalkylamine as calcilytic compds.)

RN 214625-44-2 CAPLUS

CN Dibenz[b,f][1,4]oxazepin-11(10H)-one, 3-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

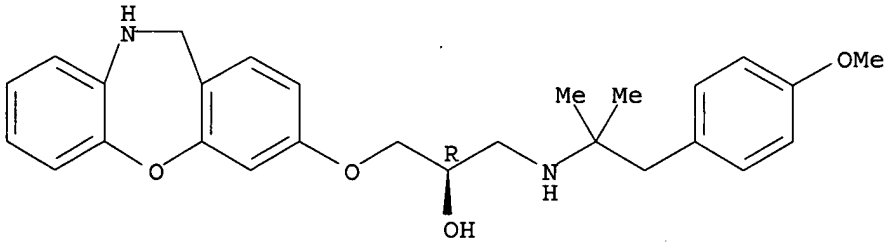
Absolute stereochemistry.



● HCl

RN 214625-47-5 CAPLUS
CN 2-Propanol, 1-[(10,11-dihydrodibenz[b,f][1,4]oxazepin-3-yl)oxy]-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

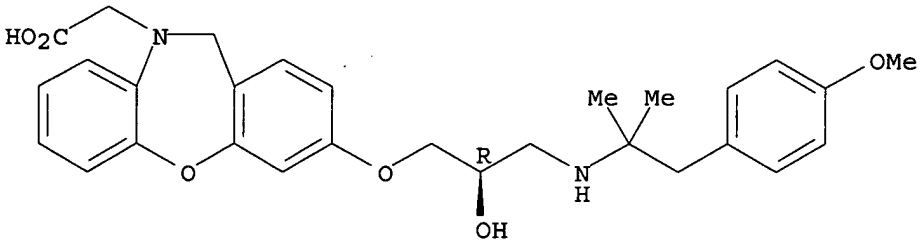
Absolute stereochemistry.



● HCl

RN 214625-51-1 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-acetic acid, 3-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:684381 CAPLUS
DOCUMENT NUMBER: 127:346187
TITLE: Preparation of 1-amino-3-aryloxy-2-propanols and analogs as calcium receptor antagonists
INVENTOR(S): Van Wagenen, Bradford C.; Del Mar, Eric G.; Sheehan, Derek; Barmore, Robert M.; Keenan, Richard M.; Kotecha, Nikesh R.; Thompson, Mervyn; Callahan, James F.
PATENT ASSIGNEE(S): Nps Pharmaceuticals, Inc., USA; Smithkline Beecham Plc; Smithkline Beecham
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9737967	A1	19971016	WO 1997-US5558	19970404
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2251331	AA	19971016	CA 1997-2251331	19970404
AU 9726070	A1	19971029	AU 1997-26070	19970404
AU 726659	B2	20001116		
EP 901459	A1	19990317	EP 1997-917848	19970404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1221401	A	19990630	CN 1997-195368	19970404
BR 9708632	A	20000118	BR 1997-8632	19970404
JP 2001501584	T2	20010206	JP 1997-536327	19970404
TW 483881	B	20020421	TW 1997-86106134	19970508
US 2002099220	A1	20020725	US 2001-33001	20011019

PRIORITY APPLN. INFO.:
US 1996-629608 A 19960409
US 1996-32263P P 19961203
WO 1997-US5558 W 19970404
US 1998-132179 A3 19980811

OTHER SOURCE(S): MARPAT 127:346187

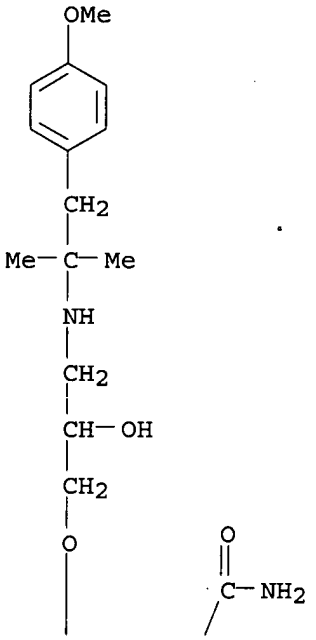
AB R1ZZ1CR2R6Z2NHCR3R4Z3R5 [I; R1 = (cyclo)alkyl or aryl; R2 = H, OH, alkyl, alkoxy(carbonyl), etc.; R3,R4 = alkyl; R3R4 = CH2CH2; R5 = (un)substituted Ph or naphthyl; R6 = H or alk(en)yl; R2R6 = O; Z = bond, O, NH, alk(en)ylkene, etc.; Z1 = bond or alk(en)ylkene; Z2,z3 = alkylene] were prepd. Thus, 1-naphthol was etherified by epichlorohydrin and the product aminated by H2NCMe2CH2C6H4F-4 to give R1OCH2CH(OH)CH2NHCMe2CH2C6H4F-4. Data for biol. activity of I were given.

IT **198225-37-5P 198226-01-6P 198226-02-7P 198226-12-9P 198226-46-9P 198226-48-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-amino-3-aryloxy-2-propanols and analogs as calcium receptor antagonists)

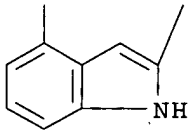
RN 198225-37-5 CAPLUS
CN 1H-Indole-2-carboxamide, 4-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-

dimethylethyl]amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

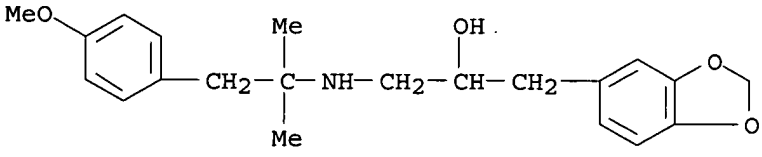


PAGE 2-A



● HCl

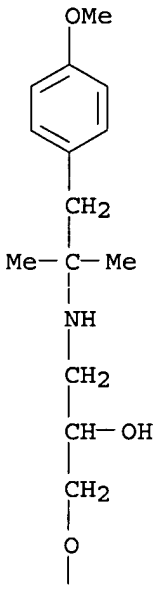
RN 198226-01-6 CAPLUS
CN 1,3-Benzodioxole-5-ethanol, .alpha.-[[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



HCl

RN 198226-02-7 CAPLUS
CN 2-Propanol, 1-(1,3-benzodioxol-4-yloxy)-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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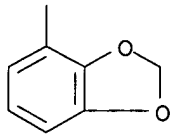
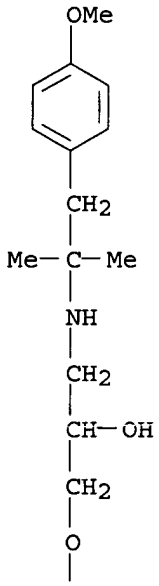


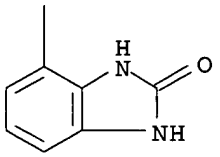
● HCl

RN 198226-12-9 CAPLUS
CN 2H-Benzimidazol-2-one, 1,3-dihydro-4-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

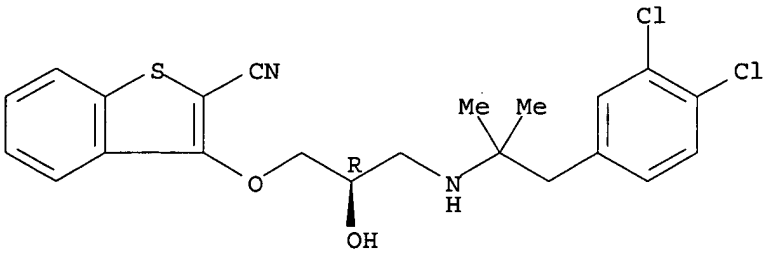


PAGE 2-A



RN 198226-46-9 CAPLUS
CN Benzo[b]thiophene-2-carbonitrile, 3-[(2R)-3-[[2-(3,4-dichlorophenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



HCl

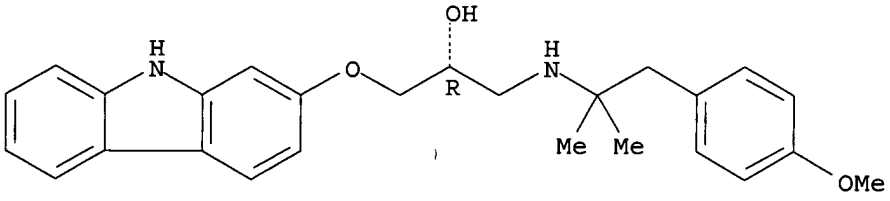
RN 198226-48-1 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-2-yloxy)-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]-, (2R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

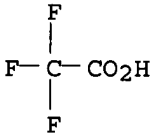
CRN 198226-47-0
CMF C26 H30 N2 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L9 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:584712 CAPLUS
DOCUMENT NUMBER: 127:277798
TITLE: The application of high-throughput synthesis and purification to the preparation of ethanolamines
AUTHOR(S): Shuker, Anthony J.; Siegel, Miles G.; Matthews, Donald P.; Weigel, Leland O.
CORPORATE SOURCE: Endocrine Res., Lilly Res. Labs., Eli Lilly and Co., Indianapolis, IN, 46285, USA
SOURCE: Tetrahedron Letters (1997), 38(35), 6149-6152
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:277798

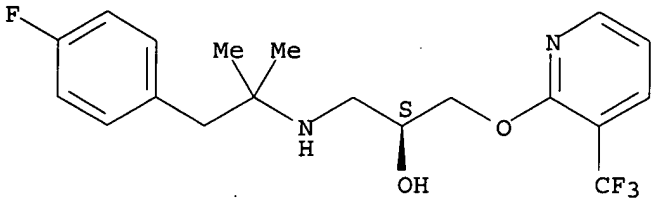
AB A 48 compd. library of structurally diverse ethanolamines was prepd. using a parallel synthesis approach. The synthetic paradigm employed a soln. phase epoxide-opening reaction followed by rapid purifn. by ion exchange chromatog. to yield products with near-anal. purity. An array of epoxides and primary amines, arranged in an 8.times.6 matrix, were reacted in the presence of an in situ silylating agent to form 48 individual compds. with an av. yield of 75% and an av. purity of 92.3%.

IT **196517-09-6P 196517-10-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(soln. phase prepn. of ethanolamine library via monoalkylation of primary amines with epoxides)

RN 196517-09-6 CAPLUS

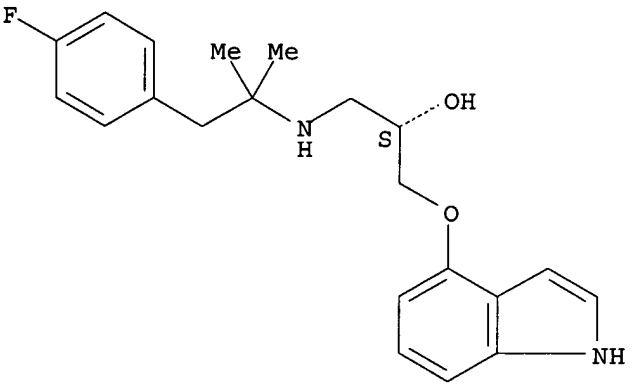
CN 2-Propanol, 1-[[2-(4-fluorophenyl)-1,1-dimethylethyl]amino]-3-[[3-(trifluoromethyl)-2-pyridinyl]oxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 196517-10-9 CAPLUS
CN 2-Propanol, 1-[[2-(4-fluorophenyl)-1,1-dimethylethyl]amino]-3-(1H-indol-4-yloxy)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:789136 CAPLUS
DOCUMENT NUMBER: 123:198799
TITLE: Imidazole derivatives as therapeutic agents
INVENTOR(S): Calderwood, David John; Fisher, Adrian John; Jeffery, James Edward; Jones, Colin Gerhart Pryce; Rafferty, Paul
PATENT ASSIGNEE(S): Boots Co. PLC, UK
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9500493	A1	19950105	WO 1994-EP1924	19940610
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9471849	A1	19950117	AU 1994-71849	19940610
EP 705251	A1	19960410	EP 1994-920929	19940610

R: DE, FR, GB, IT

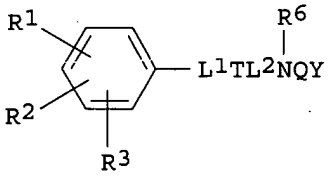
JP 09501650	T2	19970218	JP 1994-502402		19940610
ZA 9404422	A	19950206	ZA 1994-4422		19940621
US 5780642	A	19980714	US 1997-786960		19970123
US 6031109	A	20000229	US 1998-50396		19980331
US 6215001	B1	20010410	US 1999-415516		19991007
US 6326500	B1	20011204	US 2000-748008		20001227

PRIORITY APPLN. INFO.:

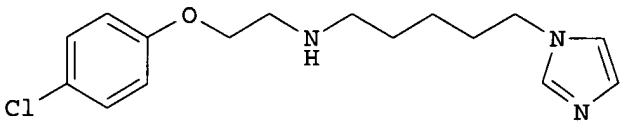
GB 1993-12893	A	19930622
WO 1994-EP1924	W	19940610
US 1995-578713	B1	19951221
US 1997-786960	A3	19970123
US 1998-50396	A3	19980331
US 1999-415516	A3	19991007

OTHER SOURCE(S): MARPAT 123:198799

GI



I



II

AB Title compds. I and pharmaceutically acceptable salts [in which R1 = H, halo, cyano, cyanoalkyl, alkyl, alkoxy, PhO, Ph, alkoxycarbonyl, (un)substituted amino, haloalkoxy, haloalkyl, arylalkoxy, OH, phenylalkyl, alkoxycarbonylvinyl, alkoxycarbonylalkyl, carboxyalkyl, (un)substituted carbamoyl, carbamoylvinyl, 4,5-dihydrothiazol-2-yl, 4,4-dimethyl-2-oxazolin-2-yl, etc.; R2, R3 independently = H, halo, alkyl, alkoxy, (un)substituted amino, haloalkoxy, haloalkyl, OH, etc.; L1 = bond, alkylene, cycloalkylene or cycloalkylidene; T = bond, O, S, SO, SO2, CO, 1,3-dioxolan-2-ylidene; L2 = alkylene, cycloalkylene, or cycloalkylidene; R6 = H, alkyl (optionally substituted by alkoxycarbonyl or OH); Q = a C1-9 alkylene optionally substituted by alkyl or OH; Y = optionally substituted imidazole ring] are claimed, and over 100 examples were prepd. The compds. are useful as antiinflammatory, antiallergic and immunomodulatory agents, and may also be useful as analgesics and antipyretics. For example, 4-ClC6H4OCH2CO2H was activated with 1,1'-carbonyldiimidazole in THF and then coupled with 1-(5-aminopentyl)imidazole to give the corresponding acetamide deriv., which was isolated, purified, and reduced with BH3.THF in refluxing THF to give the amine II as its di-HCl hemihydrate (III). III, a preferred compd., was active in several tests, including inhibition of arachidonic acid release from zymosan-stimulated macrophages, inhibition of late-phase bronchoconstriction in antigen-challenged guinea pigs, and inhibition of mixed lymphocyte reaction in vitro (IC50 = 2.8 .mu.M).

IT **167761-03-7P**

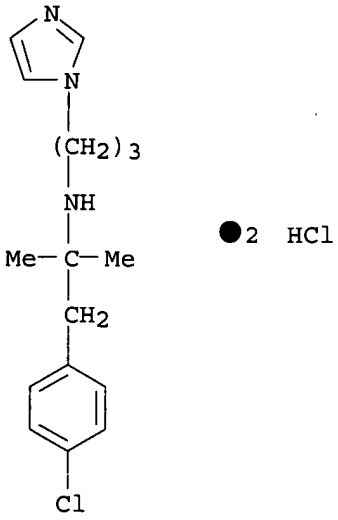
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazole derivs. as antiinflammatories and antiallergics)

RN 167761-03-7 CAPLUS

CN 1H-Imidazole-1-propanamine, N-[2-(4-chlorophenyl)-1,1-dimethylethyl]-,

dihydrochloride (9CI) (CA INDEX NAME)

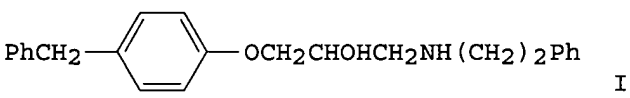


promised

L9 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:583087 CAPLUS
DOCUMENT NUMBER: 115:183087
TITLE: Preparation of phenoxy[(phenylalkyl)amino]propanols, thienyloxy[(indolylalkyl)amino]propanols and analogs as antidiabetics
INVENTOR(S): Summ, Hans Dieter; Kunstmann, Rudolf; Lerch, Ulrich; Geisen, Karl
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4040186	A1	19910627	DE 1990-4040186	19901215

PRIORITY APPLN. INFO.: DE 1989-3941952 19891220
OTHER SOURCE(S): MARPAT 115:183087
GI



I

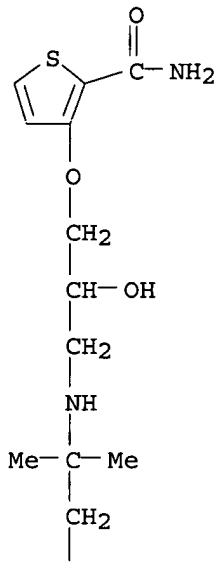
AB R1OCH2CHOHCH2NR2CR3R4CH2R5 [R1 = 3-(2-carbamoyl)thienyl, Ph optionally substituted by 1-2 of Cl, C1-4 alkoxy, C1-4 alkanesulfonyl, benzyl, Me3C, cyano; R2-R4 = H, C1-4 alkyl; R5 = (C1-4 alkyl)indol-3-yl, Ph optionally substituted by 1-3 of OH, C1-4 alkyl, C1-4 alkoxy], were prepd. Thus, 10 mmol 1-(4-benzylphenoxy)-2,3-epoxypropane and 10 mmol 2-phenylethylamine were refluxed 16 h in EtOH and the product treated by HCl in Me2CHOH to give title compd. I.HCl. The latter at 1.0 mg/kg i.p. in streptozotocin-induced diabetic rats lowered blood sugar 30% in the

presence of insulin (0.5 IU/rat) after 5 h and 17% at 10 mg/kg orally in the absence of insulin.

IT **136483-39-1P 136483-40-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antidiabetic)

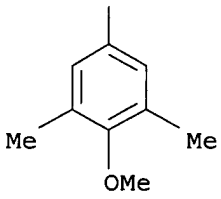
RN 136483-39-1 CAPLUS
CN 2-Thiophenecarboxamide, 3-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



provided

PAGE 2-A

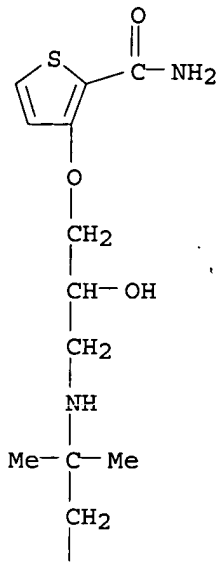


RN 136483-40-4 CAPLUS
CN 2-Thiophenecarboxamide, 3-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

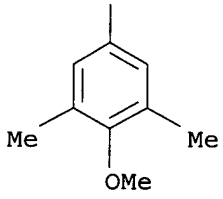
CM 1

CRN 136483-39-1
CMF C21 H30 N2 O4 S

PAGE 1-A

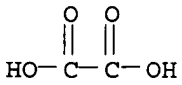


PAGE 2-A



CM 2

CRN 144-62-7
CMF C2 H2 O4

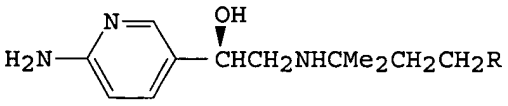


L9 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:630179 CAPLUS
DOCUMENT NUMBER: 113:230179
TITLE: Preparation of pyridylaminoethanol derivatives as animal growth promoters and feed efficiency enhancers
INVENTOR(S): Fisher, Michael H.; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4906645	A	19900306	US 1988-242859	19880912
EP 359313	A1	19900321	EP 1989-202248	19890906
R: CH, DE, FR, GB, IT, LI, NL				
JP 02131468	A2	19900521	JP 1989-231786	19890908
AU 8941241	A1	19900315	AU 1989-41241	19890911
AU 622703	B2	19920416		
ZA 8906911	A	19900627	ZA 1989-6911	19890911

PRIORITY APPLN. INFO.: US 1988-242859 19880912
OTHER SOURCE(S): CASREACT 113:230179; MARPAT 113:230179
GI



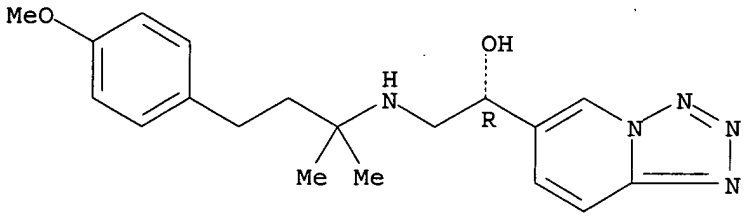
I

AB The title compds. I (R = HOC6H4, MeOC6H4) are prepd. as animal growth stimulators and feed-efficiency enhancers. A soln. of (R)-2-(tetrazolo[1,5-a]pyrid-6-yl)oxirane and 2-amino-2-methyl-4-(4-methoxyphenyl)butane in abs. EtOH was refluxed to give (R)-.alpha.-[[[1,1-dimethyl-3-(4-methoxyphenyl)propyl]amino]methyl]tetrazolo[1,5-a]pyridine-6-methanol, which was refluxed with SnCl2 in MeOH to give (R)-I (R = 4-MeOC6H4)-2HCl.

IT **130676-37-8P 130676-43-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ring opening of)

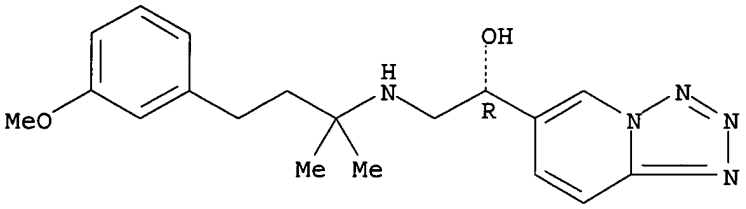
RN 130676-37-8 CAPLUS
CN Tetrazolo[1,5-a]pyridine-6-methanol, .alpha.-[[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



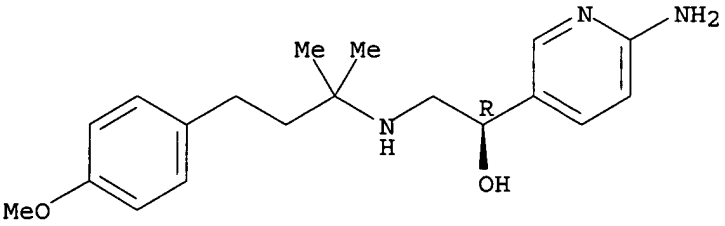
RN 130676-43-6 CAPLUS
CN Tetrazolo[1,5-a]pyridine-6-methanol, .alpha.-[[[3-(3-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



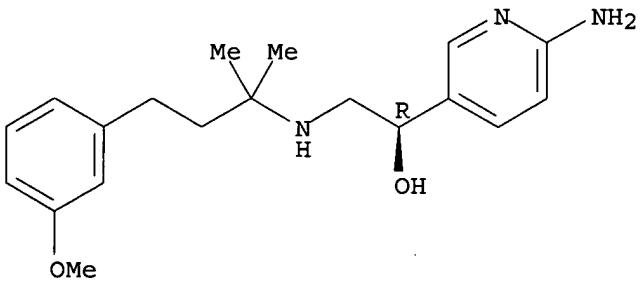
IT **130676-26-5P 130676-27-6P 130676-31-2P**
130676-32-3P
RL: PREP (Preparation)
(prepn. of, as animal growth stimulant and feed-efficiency enhancer)
RN 130676-26-5 CAPLUS
CN 3-Pyridinemethanol, 6-amino-.alpha.-[[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



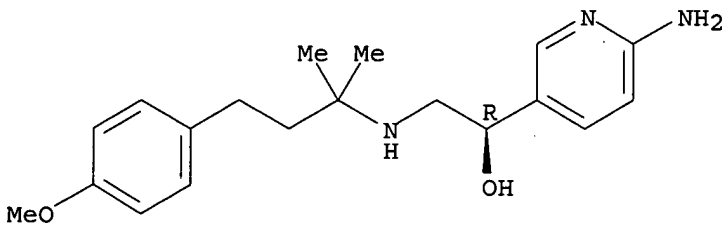
RN 130676-27-6 CAPLUS
CN 3-Pyridinemethanol, 6-amino-.alpha.-[[[3-(3-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 130676-31-2 CAPLUS
CN 3-Pyridinemethanol, 6-amino-.alpha.-[[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

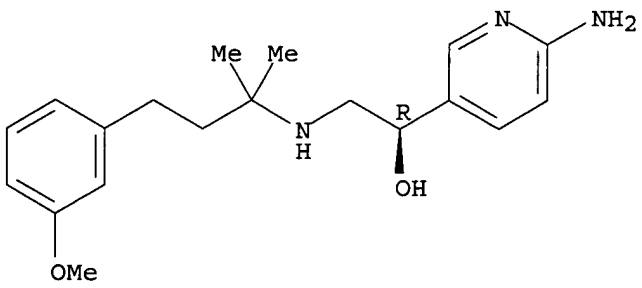
Absolute stereochemistry.



●2 HCl

RN 130676-32-3 CAPLUS
CN 3-Pyridinemethanol, 6-amino-.alpha.-[[[3-(3-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



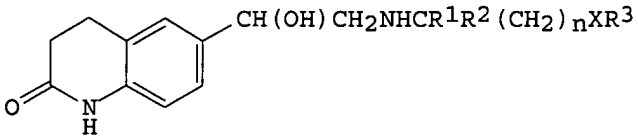
●2 HCl

L9 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:497342 CAPLUS
DOCUMENT NUMBER: 105:97342
TITLE: Preparation of substituted 3,4-dihydroquinolin-2(1H)one
INVENTOR(S): Cohnen, Erich; Jacobitz, Petra
PATENT ASSIGNEE(S): Beiersdorf A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3434271	A1	19860320	DE 1984-3434271	19840919
CA 1260933	A1	19890926	CA 1985-490318	19850910
AU 8547370	A1	19860424	AU 1985-47370	19850911
AU 597233	B2	19900531		
ZA 8506970	A	19860430	ZA 1985-6970	19850911
EP 175293	A1	19860326	EP 1985-111561	19850912
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
ES 547754	A1	19860901	ES 1985-547754	19850918

JP 61078767	A2	19860422	JP 1985-205464	19850919
US 4810712	A	19890307	US 1987-139000	19871229
PRIORITY APPLN. INFO.:			DE 1984-3434271	19840919
			US 1985-776948	19850917

GI



I

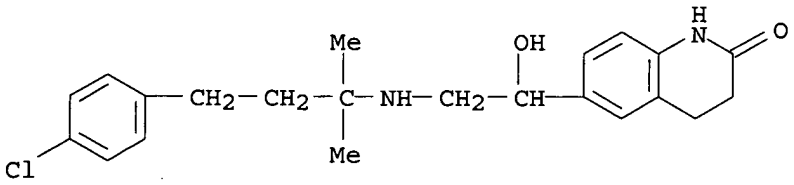
AB The title compds. I [R1, R2 = H, C1-3 alkyl; R3 = (un)substituted Ph, pyridyl, indolyl, substituted 1,2-benzisoxazolyl, benzimidazol-2-one, 1,4-benzodioxane; X = 0, single bond; n = 1,2,3], their tautomers, and salts are prepd. I block .alpha.-, and .beta.-receptors of adrenergic systems and are useful for the treatment of hypertonia, angina pectoris, and coronary insufficiency. Thus, I (R1 = R2 = Me, X = single bond, R3 = Ph, n = 2) was prepd. by reacting 3,4-dihydro-6(.alpha.,.alpha.-dihydroxyacetyl)quinolin-2(1H)-one with 1,1-dimethyl-3-phenylpropylamine. A tablet was formulated contg. I-HCl (R1 = H, A2 = Me, X = 0, R3 = 2-methoxyphenyl, n = 1) 40, lactose 90, starch 5, and Mg stearate 1 mg.

IT **103880-30-4P 103880-31-5P 103880-32-6P 103880-33-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as sympatholytic)

RN 103880-30-4 CAPLUS

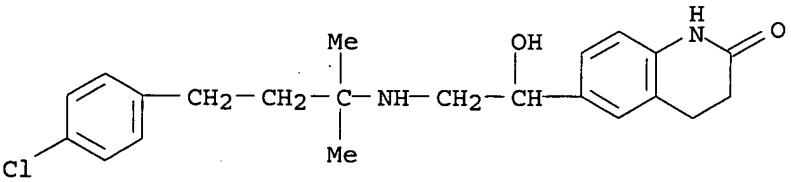
CN 2(1H)-Quinolinone, 6-[2-[[3-(4-chlorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-3,4-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

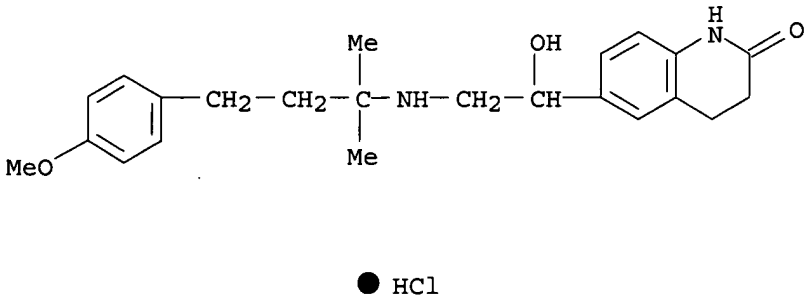
RN 103880-31-5 CAPLUS

CN 2(1H)-Quinolinone, 6-[2-[[3-(4-chlorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

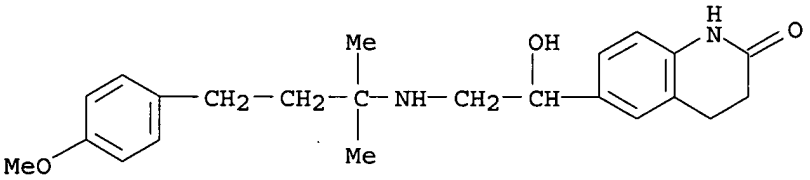


RN 103880-32-6 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-6-[1-hydroxy-2-[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 103880-33-7 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[1-hydroxy-2-[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]ethyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:45782 CAPLUS
DOCUMENT NUMBER: 102:45782
TITLE: 3-[(Arylalkyl)amino]propoxypyridine derivatives, pharmaceutical preparations containing them, and their use
INVENTOR(S): Knolle, Jochen; Lerch, Ulrich; Renger, Bernd; Schoelkens, Bernward
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3301198	A1	19840719	DE 1983-3301198	19830115

PRIORITY APPLN. INFO.: DE 1983-3301198 19830115
OTHER SOURCE(S): CASREACT 102:45782
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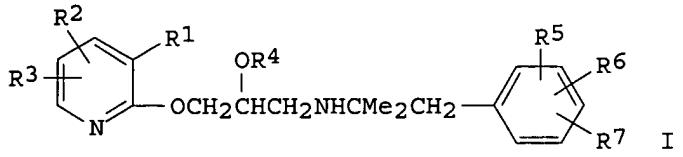
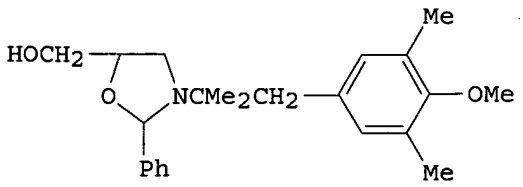
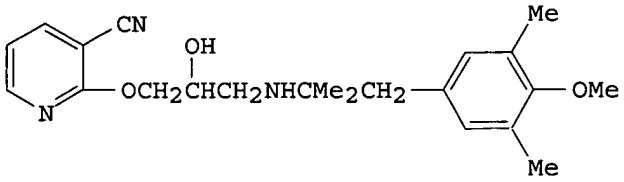


I



II



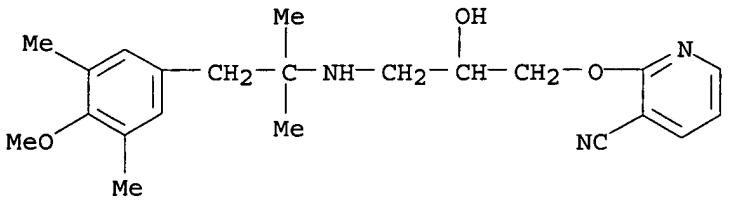
III

AB Propoxypyridines I [R1 = cyano, CF3; R2, R3 = H, halo, CF3, C1-6 alkyl, C1-4 alkoxy, Ph mono-, di-, or tri-(un)substituted with halo, C1-4 alkyl or alkoxy; R4 = H, C2-5 alkoxycarbonyl; R5, R6, R7 = C1-6 alkyl, C2-6 alkenyl; C1-4 alkoxy, OH, halo, CF3], useful as antihypertensives (no data), were prepd. by 3 methods. Aminolysis of glycidol with 3,5,4-Me2(MeO)C6H2CH2CMe2NH2 in refluxing MeOH 5 h gave 80% 3,5,4-Me2(MeO)C6H2CH2CMe2NHCH2CH(OH)CH2OH which was cyclized with PhCHO and BzOH in C6H6 to give oxazolidine II. This was etherified with 2-chloro-3-cyanopyridine and NaOH in DMF and the product hydrolyzed to give 57% pyridyl ether III-HCl.

IT **93755-53-4P 93755-56-7P 93755-57-8P**
93755-58-9P 93755-59-0P 93755-60-3P
93755-61-4P 93755-62-5P 93755-65-8P
93755-66-9P 93755-68-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 93755-53-4 CAPLUS

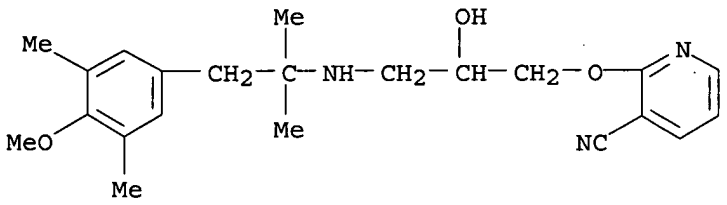
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



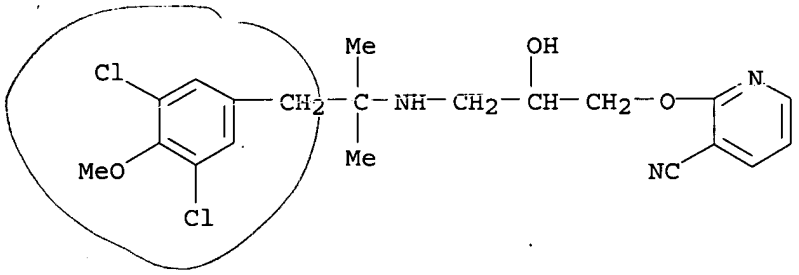
HCl

RN 93755-56-7 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)

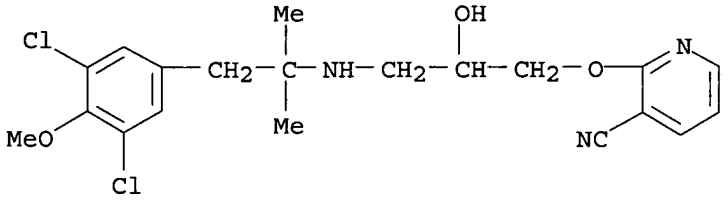


RN 93755-57-8 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,5-dichloro-4-methoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, hydrochloride (9CI) (CA INDEX NAME)

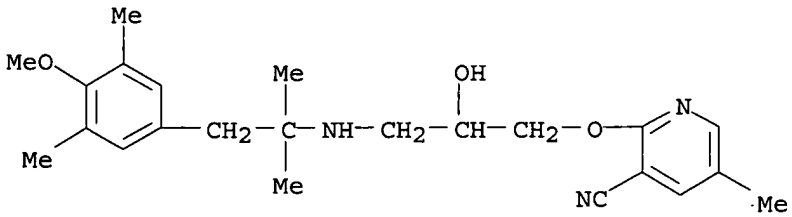


●x HCl

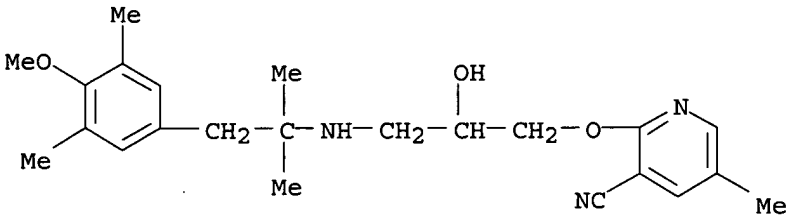
RN 93755-58-9 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,5-dichloro-4-methoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]- (9CI) (CA INDEX NAME)



RN 93755-59-0 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]-5-methyl-, hydrochloride (9CI) (CA INDEX NAME)

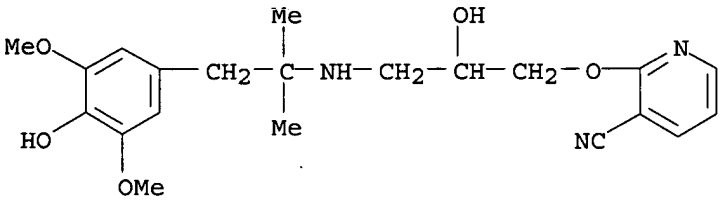


x HCl



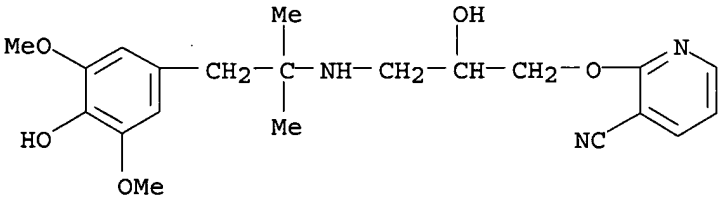
●x HCl

RN 93755-60-3 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-hydroxy-3,5-dimethoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



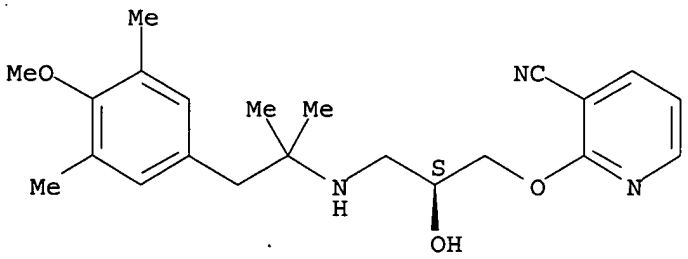
●x HCl

RN 93755-61-4 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-hydroxy-3,5-dimethoxyphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)



RN 93755-62-5 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]-, hydrochloride, (S)- (9CI) (CA INDEX NAME)

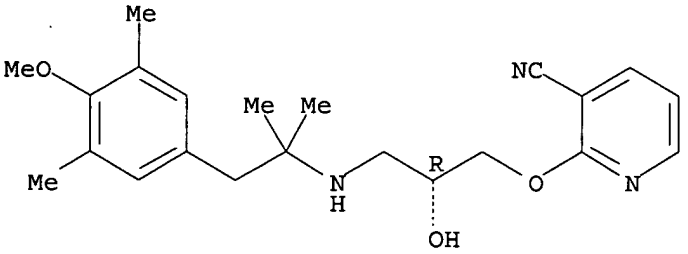
Absolute stereochemistry.



●x HCl

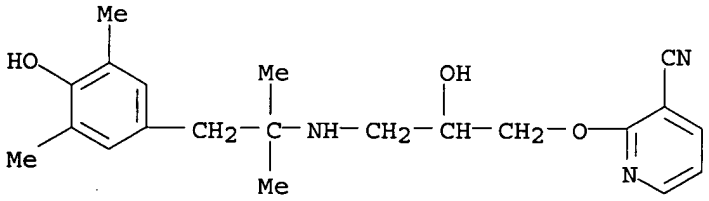
RN 93755-65-8 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]-, hydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

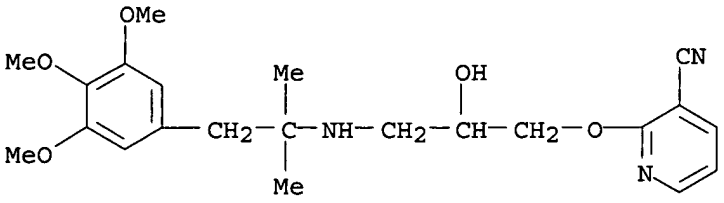


●x HCl

RN 93755-66-9 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-hydroxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)



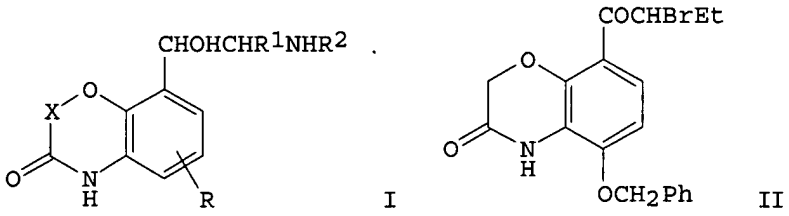
RN 93755-68-1 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[1,1-dimethyl-2-(3,4,5-trimethoxyphenyl)ethyl]amino]-2-hydroxypropoxy]- (9CI) (CA INDEX NAME)



L9 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:591939 CAPLUS
DOCUMENT NUMBER: 101:191939
TITLE: (1-Hydroxy-2-aminoalkyl)-substituted benzoxazinones and benzoxazolinones
INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Fuegner, Armin
PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4460581	A	19840717	US 1982-433681	19821012

PRIORITY APPLN. INFO.: US 1982-433681 19821012
OTHER SOURCE(S): CASREACT 101:191939
GI

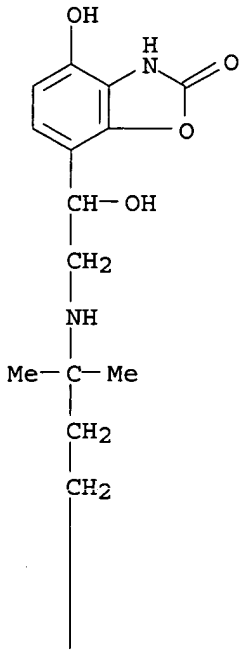


AB Title compds. I (R = Cl, OH, acyloxy; R1 = H, Me, Et; R2 = alkyl, arylalkyl, aryloxyalkyl, arylcarboxamidoalkyl, cycloalkyl; X = bond, CH2CH2, CR3R4; R3 = H, alkyl; R4 = H, alkyl, Ph), useful for treatment of asthma, bronchitis, urticaria, hay fever, colds, uterine spasms, cardiovascular disorders, etc. (no data), were prepd. Thus, benzoxazinone II was aminated with Me2CHNH2, debenzylated, and reduced to give erythro-I (R = 5-OH, R1 = Et, R2 = CHMe2, X = CH2) which had a broncholytic ED50 of 0.045 g/kg i.v. in guinea pigs.

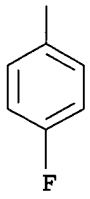
IT **85937-89-9P 92613-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 85937-89-9 CAPLUS
CN 2(3H)-Benzoxazolone, 7-[2-[[3-(4-fluorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-4-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



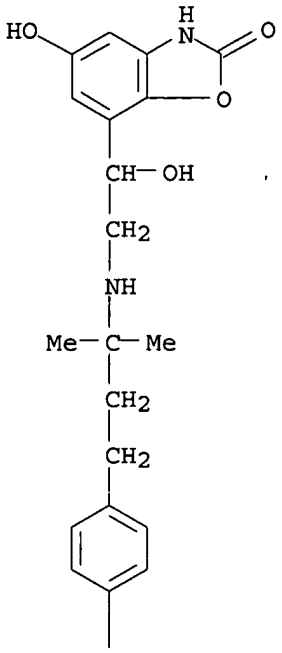
PAGE 2-A



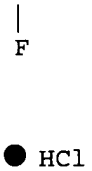
● HCl

RN 92613-56-4 CAPLUS
CN 2(3H)-Benzoxazolone, 7-[2-[[3-(4-fluorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



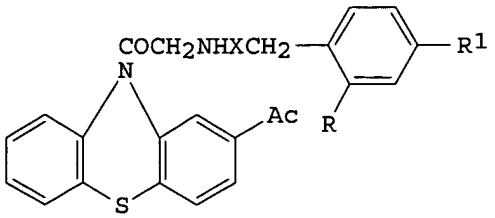
PAGE 2-A



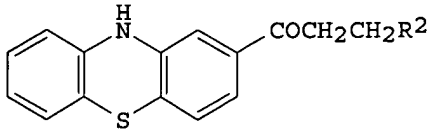
L9 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1984:423414 CAPLUS
DOCUMENT NUMBER:	101:23414
TITLE:	Phenothiazine derivatives as anti-Parkinsonian agents
AUTHOR(S):	Kumar, P.; Nath, C.; Agarwal, Jagdish C.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE:	Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India
SOURCE:	Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1983), 22B(9), 952-4 CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 101:23414

GI



I



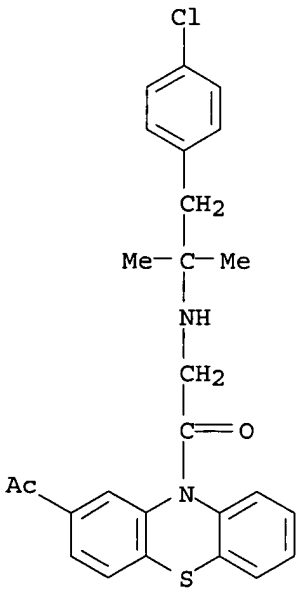
II

AB 2-Acetyl-10-chloroacetylphenothiazine undergoes condensation with amines to yield I (R = R1 = Me, Cl, OMe, X = bond; R = H, R1 = H, Cl, OMe, Me, X = CH2; R = H, R1 = Cl, X = CMe2). Mannich reaction of 2-acetylphenothiazine gives II [R2 = piperidino, hexamethyleneimino, 4-(3-chlorophenyl)piperazino, pyrrolidino, morpholino, 4-(2-methoxyprenyl)piperazino]. Some of the compds have significant anti-Parkinsonian activity.

IT **89516-34-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and anti-Parkinsonism activity of)

RN 89516-34-7 CAPLUS

CN 10H-Phenothiazine, 2-acetyl-10-[[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:139086 CAPLUS

DOCUMENT NUMBER: 100:139086

TITLE: Ring-substituted pyrogallol derivatives

INVENTOR(S): Schlager, Ludwig H.

PATENT ASSIGNEE(S): Gerot-Pharmazeutika G.m.b.H., Austria

SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 95454	A2	19831130	EP 1983-890068	19830502
EP 95454	A3	19850403		
R: BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 8201888	A	19840115	AT 1982-1888	19820513
AT 375654	B	19840827		
AT 8204671	A	19831215	AT 1982-4671	19821223
AT 375360	B	19840725		
AT 8301298	A	19841115	AT 1983-1298	19830412
AT 378191	B	19850625		
CA 1233181	A1	19880223	CA 1983-427476	19830504
AU 8314409	A1	19831117	AU 1983-14409	19830510
AU 566107	B2	19871008		
DK 8302104	A	19831114	DK 1983-2104	19830511
NO 8301680	A	19831114	NO 1983-1680	19830511
CS 235321	B2	19850515	CS 1983-3308	19830511
PL 141325	B1	19870731	PL 1983-241918	19830511
JP 58206581	A2	19831201	JP 1983-81827	19830512
DD 209831	A5	19840523	DD 1983-250870	19830512
DD 209831	C4	19851218		
HU 33092	O	19841029	HU 1983-1658	19830512
CS 235344	B2	19850515	CS 1984-142	19840105

PRIORITY APPLN. INFO.:
AT 1982-1888 19820513
AT 1982-4671 19821223
AT 1983-1298 19830412
CS 1983-3308 19830511

OTHER SOURCE(S): CASREACT 100:139086

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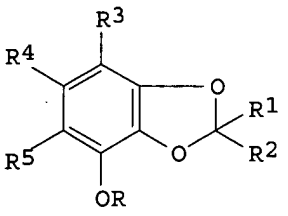

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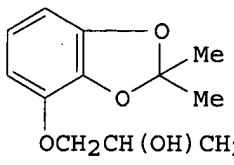


II

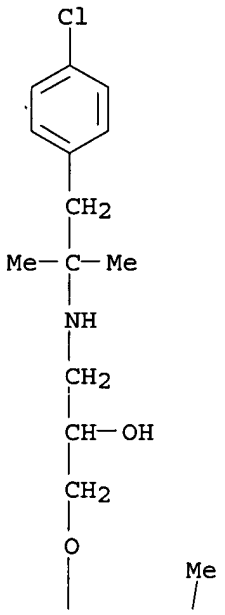
AB 3-Benzodioxolyl ethers I [R = H, aminohydroxyalkyl, carboxyalkyl, etc.; R1, R2 = H or lower alkyl; at least one of R3-5 = halo or NO2] were prepd. as analgesics and .beta.-sympatholytics. Thus, 2,2-dimethyl-1,3-benzodioxol-4-ol was treated with epichlorohydrin, then Me3CNH2 to give the amino alc. ether II, which was superior to Atenolol as a .beta.-blocker and a more effective analgesic than, e.g., pethidine-HCl.

IT **89085-06-3P 89085-07-4P 89097-19-8P**
89097-20-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as analgesic or sympatholytic)

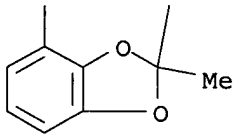
RN 89085-06-3 CAPLUS

CN 2-Propanol, 1-[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]-3-[(2,2-dimethyl-1,3-benzodioxol-4-yl)oxy]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



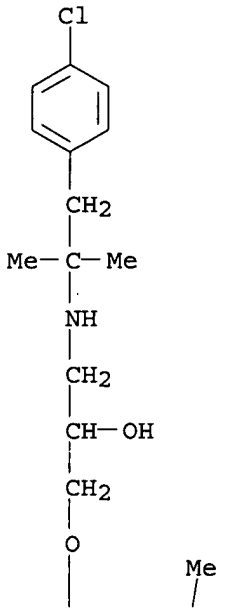
PAGE 2-A



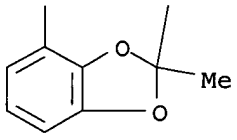
● HCl

RN 89085-07-4 CAPLUS
CN 2-Propanol, 1-[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]-3-[(2,2-dimethyl-1,3-benzodioxol-4-yl)oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

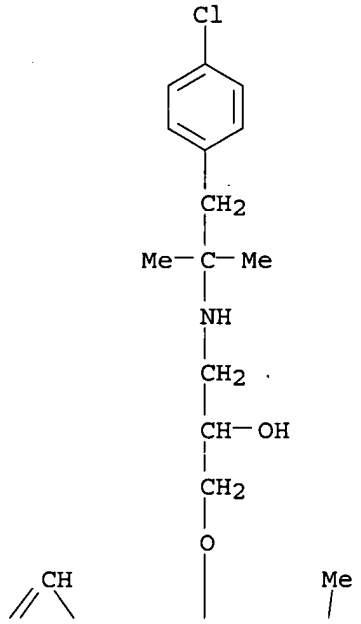


PAGE 2-A

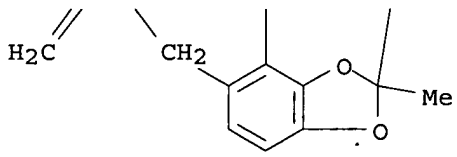


RN 89097-19-8 CAPLUS
CN 2-Propanol, 1-[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]-3-[[2,2-dimethyl-5-(2-propenyl)-1,3-benzodioxol-4-yl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

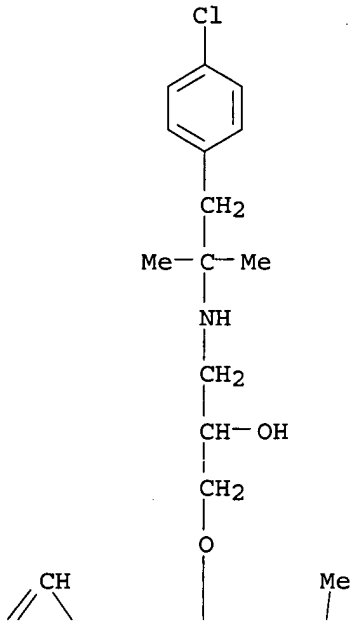


PAGE 2-A

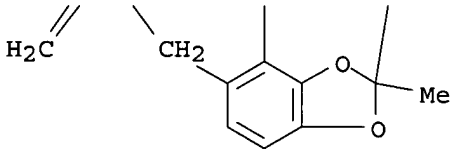


RN 89097-20-1 CAPLUS
CN 2-Propanol, 1-[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]-3-[[2,2-dimethyl-5-(2-propenyl)-1,3-benzodioxol-4-yl]oxy]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

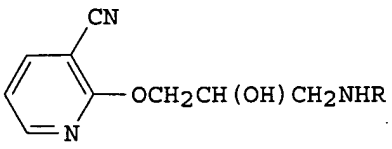


PAGE 2-A



● HCl

L9 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:432759 CAPLUS
DOCUMENT NUMBER: 99:32759
TITLE: Antihypertensive .beta.-adrenergic blocking agents: N-aralkyl analogs of 2-[3-(tert-butylamino)-2-hydroxypropoxy]-3-cyanopyridine
AUTHOR(S): McClure, David E.; Baldwin, John J.; Randall, William C.; Lyon, Thomas F.; Mensler, K.; Lundell, G. F.; Raab, A. W.; Gross, Dennis; Risley, Edwin A.; et al.
CORPORATE SOURCE: Merck Inst. Therapeut. Res., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1983), 26(5), 649-57
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:32759
GI



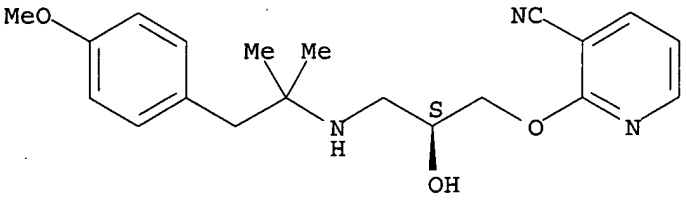
I

AB The enantiomers and racemates of the title compds. I (R = MeCH2CMe2, HC.tplbond.CMe2C.cntdot., Me2CHCH2CH2, indanyl, substituted Ph, etc.) mostly as the HCl or maleate salts prepd. either by reacting for example (S)-2-[[(3-cyano-2-pyridyl)oxy]methyl]oxirane [69500-51-2] with various amines, or 2-chloro-3-cyanopyridine [6602-54-6] with N-substituted glycolamines protected as their benzaldehyde oxazolidines were evaluated for antihypertensive activity in spontaneously hypertensive rats, and for the effect of aralkylamino substitution on .beta.-adrenergic blocking activity. In addn. the influence of chirality on the relative affinities for the 3H-labeled dihydroalprenalol, -clonidine, -WB-4101, or -prazosin (.beta.1, .alpha.2, .alpha.1, or .alpha.3, resp.) binding sites were detd. Structure-activity relations are discussed.

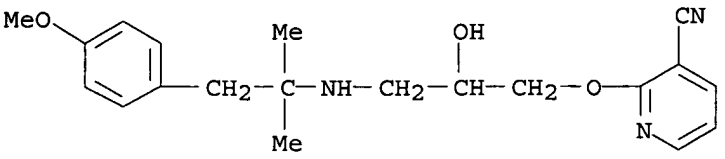
IT **75561-41-0P 75598-87-7P 84945-72-2P 84945-73-3P 84945-74-4P 84945-75-5P 84945-79-9P 84945-80-2P 85026-21-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antihypertensive activity of)

RN 75561-41-0 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



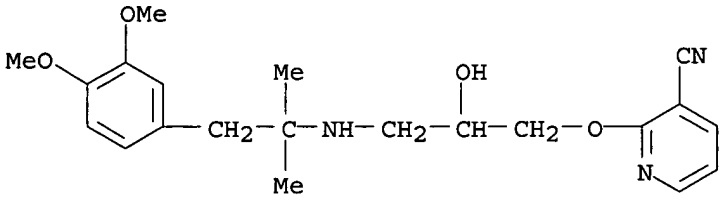
RN 75598-87-7 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

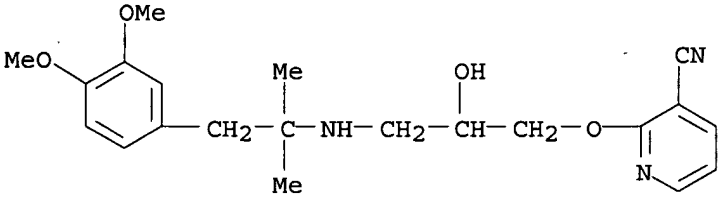
RN 84945-72-2 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-

dimethylethyl]amino]-2-hydroxypropoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



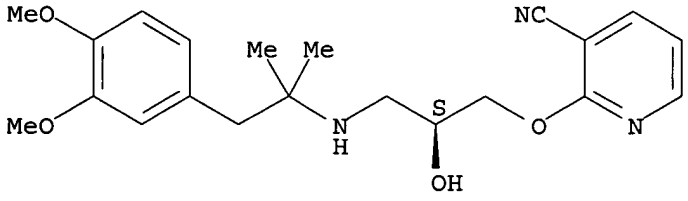
● HCl

RN 84945-73-3 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]- (9CI) (CA INDEX NAME)



RN 84945-74-4 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

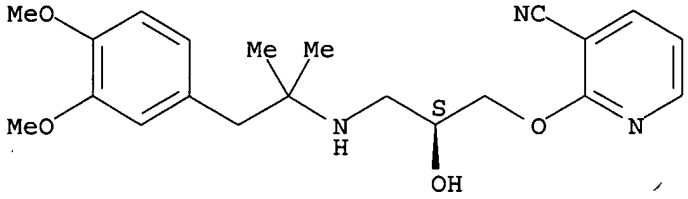
Absolute stereochemistry.



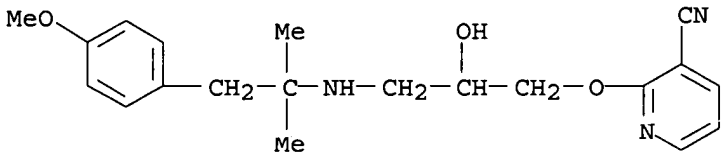
● HCl

RN 84945-75-5 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

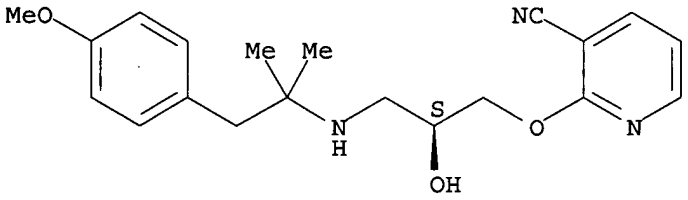


RN 84945-79-9 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)



RN 84945-80-2 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



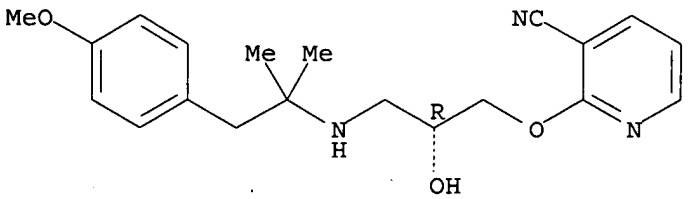
● HCl

RN 85026-21-7 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 85026-20-6
CMF C20 H25 N3 O3

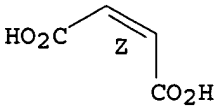
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

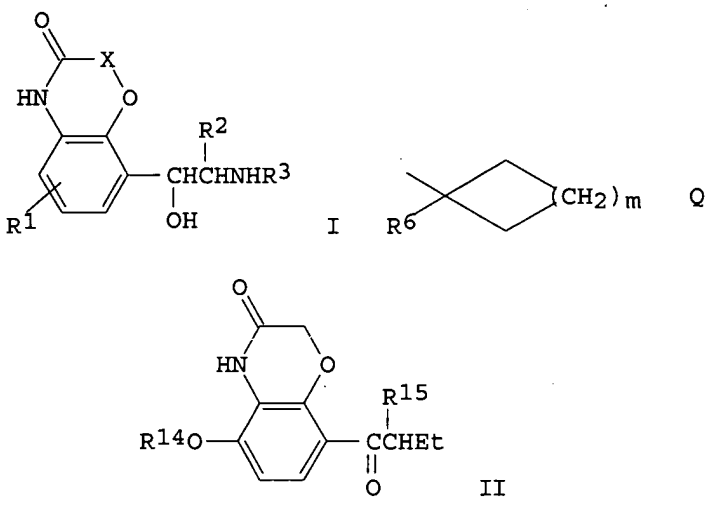
Double bond geometry as shown.



L9 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:405636 CAPLUS
DOCUMENT NUMBER: 99:5636
TITLE: Benzoheterocyclics
INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Fuegner, Armin
PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 49 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3134590	A1	19830310	DE 1981-3134590	19810901
SU 1149876	A3	19850407	SU 1982-3483451	19820827
EP 73505	A1	19830309	EP 1982-107919	19820828
EP 73505	B1	19851127		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
AT 16703	E	19851215	AT 1982-107919	19820828
FI 8202985	A	19830302	FI 1982-2985	19820830
FI 78475	B	19890428		
FI 78475	C	19890810		
DD 204477	A5	19831130	DD 1982-242881	19820830
PL 139375	B1	19870131	PL 1982-238077	19820830
NO 8202932	A	19830302	NO 1982-2932	19820831
NO 157738	B	19880201		
NO 157738	C	19880511		
DK 8203890	A	19830302	DK 1982-3890	19820831
DK 158664	B	19900702		
DK 158664	C	19910114		
AU 8287874	A1	19830310	AU 1982-87874	19820831
AU 553589	B2	19860724		
JP 58052278	A2	19830328	JP 1982-151626	19820831
JP 03005392	B4	19910125		
GB 2106105	A1	19830407	GB 1982-24810	19820831
GB 2106105	B2	19850710		
ES 515380	A1	19830816	ES 1982-515380	19820831
HU 27880	O	19831128	HU 1982-2793	19820831
HU 186112	B	19850628		
ZA 8206349	A	19840425	ZA 1982-6349	19820831
CA 1180012	A1	19841225	CA 1982-410462	19820831
CS 236679	B2	19850515	CS 1982-6329	19820831
IL 66683	A1	19860331	IL 1982-66683	19820831
ES 521870	A1	19840116	ES 1983-521870	19830427

ES 521871 A1 19840616 ES 1983-521871 19830427
PRIORITY APPLN. INFO.: DE 1981-3134590 19810901
EP 1982-107919 19820828
OTHER SOURCE(S): CASREACT 99:5636
GI



AB Benzoxazines I [R1 = OH, acyloxy, Cl, H; R2 = H, Me, Et; R3 = Q (m = 2-4, R6 = H, Me), CR7R8(CH2)nR9 [R7, R8 = H, Me; R9 = H, naphthyl, pyridyl, R10R11R12C6H2 [R10, R11, R12 independently = H, OH, Me, MeO, halo, OCH2O, NHR13 (R13 = H, acyl, alkylsulfonyl), CONH2]]; X = bond, CR4R5 (R4 = H, alkyl; R5 = H, alkyl, Ph)] and their acid addn. salts, useful as bronchodilators, uterus muscle relaxants, and vasodilators, were prepd. by 3 methods. Amination of benzoxazine II (R14 = PhCH2, R15 = Br) with HNCHMe2 in MeCN gave II (R14 = PhCH2, R15 = NHCHMe2) as the HCl salt which was debenzylated with H2 over Pd/C in MeOH to give II (R14 = H, R15 = NHCHMe2). This was hydrogenated over Pt in MeOH to give 90% I (R1 = 5-OH, R2 = Et, R3 = CHMe2, X = CH2).HCl which had broncholytic ED50 0.045 .mu.g/kg (guinea pig) i.v.

IT **85937-96-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenolysis of)

RN 85937-96-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[2-[[3-(4-fluorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-4-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

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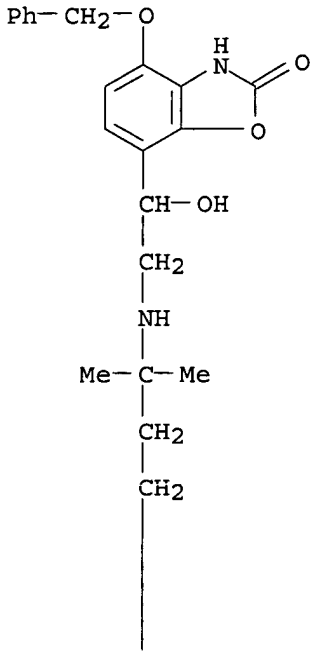

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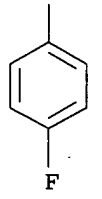
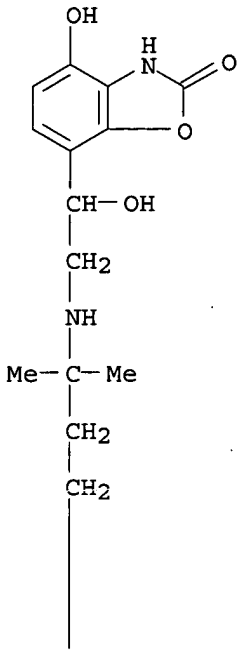


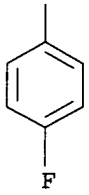
● HCl

IT **85937-89-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 85937-89-9 CAPLUS
CN 2(3H)-Benzoxazolone, 7-[2-[[3-(4-fluorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-4-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



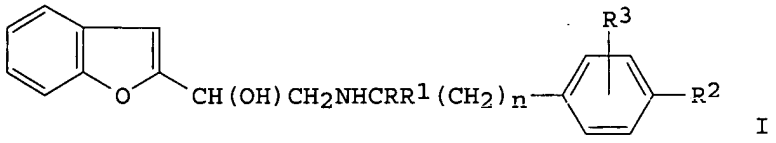
● HCl

L9 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:544754 CAPLUS
DOCUMENT NUMBER: 97:144754
TITLE: Secondary amines
INVENTOR(S): Ferris, Michael John
PATENT ASSIGNEE(S): Beecham Group Ltd. , UK
SOURCE: Brit. UK Pat. Appl., 14 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2084577	A	19820415	GB 1981-28824	19810923
GB 2084577	B2	19840502		

CA 1175851	A1	19841009	CA 1981-385953	19810915
ZA 8106567	A	19820929	ZA 1981-6567	19810922
AU 8175603	A1	19820401	AU 1981-75603	19810923
AU 546104	B2	19850815		
EP 51917	A1	19820519	EP 1981-304398	19810923
EP 51917	B1	19860219		
R: BE, CH, DE, FR, IT, NL				
US 4432993	A	19840221	US 1981-305117	19810924
JP 57085383	A2	19820528	JP 1981-151924	19810925
ES 505801	A1	19830201	ES 1981-505801	19810925

PRIORITY APPLN. INFO.: GB 1980-31228 19800926
OTHER SOURCE(S): CASREACT 97:144754
GI

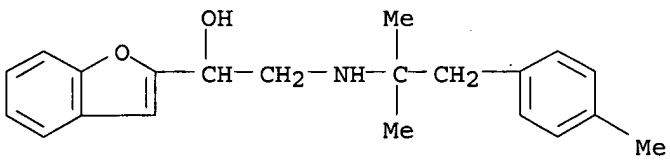


I

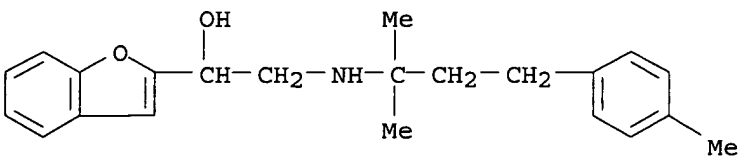
AB Benzofurylethanolamines I [R, R1 = H, Me; R2 = OH, (un)substituted alkoxy, alkyl; R3 = H, OH, halogen, alkyl, alkoxy; n = 1-3] were prepd. Thus 2-formylbenzofuran was treated with Me3SiCN and reduced with LiAlH4 to give 2-(2-benzofuryl)-2-hydroxyethylamine which was treated with 4-MeC6H4CH2COMe and hydrogenated to give I (R = Me, R1 = R3 = H, R2 = Me, n = 1, II) as a mixt. of diastereoisomers. II had antiobesity activity with only a slight effect on heart rate. Other I had antidiabetic, antiinflammatory, and platelet aggregation-inhibiting activity.

IT **83123-33-5P 83175-36-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiobesity and antidiabetic activity of)

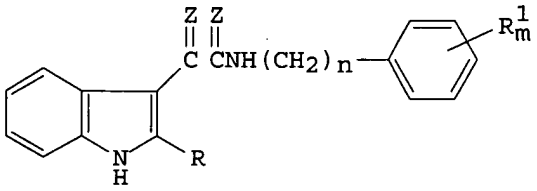
RN 83123-33-5 CAPLUS
CN 2-Benzofuranmethanol, .alpha.-[[[1,1-dimethyl-2-(4-methylphenyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 83175-36-4 CAPLUS
CN 2-Benzofuranmethanol, .alpha.-[[[1,1-dimethyl-3-(4-methylphenyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:52124 CAPLUS
DOCUMENT NUMBER: 96:52124
TITLE: Synthesis and biological activity of 2-substituted-3-(aminoethyl)indoles
AUTHOR(S): Kumar, Ashok; Agarwal, J. C.; Nath, C.; Gurtu, S.; Sinha, J. N.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226003, India
SOURCE: Journal of Heterocyclic Chemistry (1981), 18(6), 1269-71
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

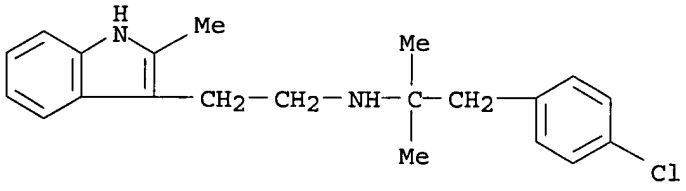


I

AB New indole-3-ylglyoxylamides (I; R = H, Me; R1 = Me, MeO, Cl; Z = O; m = 1, 2; n = 1, 2) and their corresponding (aminoethyl)indoles (I; Z = H2) were synthesized. These compds. were evaluated for their cardiovascular as well as antiparkinsonian activities.

IT **80554-87-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiparkinsonism and cardiovascular activity of)

RN 80554-87-6 CAPLUS
CN 1H-Indole-3-ethanamine, N-[2-(4-chlorophenyl)-1,1-dimethylethyl]-2-methyl- (9CI) (CA INDEX NAME)



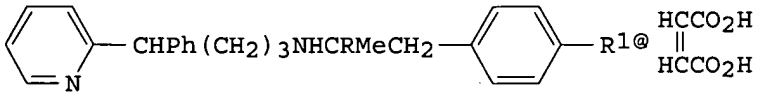
L9 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:597759 CAPLUS
DOCUMENT NUMBER: 95:197759
TITLE: Inhibition of biosynthesis of triglycerides by certain N-.beta.-phenethyl-N-pyridylalkylamines
INVENTOR(S): Haynes, George R.
PATENT ASSIGNEE(S): Shell Oil Co. , USA
SOURCE: U.S., 3 pp. Cont.-in-part of U.S. Ser. No. 117,160, abandoned.
CODEN: USXXAM

09/288,556

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4285953	A	19810825	US 1980-202996	19801103

PRIORITY APPLN. INFO.: US 1980-117160 19800131
GI



I, R=H, R^1=Me
II, R=Me, R^1=Cl

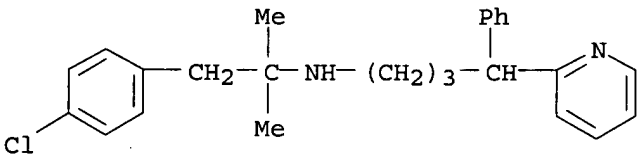
AB Biosynthesis of triglycerides is inhibited by certain N-.beta.-phenethyl-N-pyridylalkylamines. Thus N-(1-methyl-2-(4-methylphenyl)ethyl)-.delta.-phenyl-2-pyridinebutanamine maleate (I) [79490-21-4] and N-(2-(4-chlorophenyl)-1,1-dimethylethyl)-.delta.-phenyl-2-pyridinebutanamine maleate (II) [**1787-68-4**] blocked the synthesis of triglycerides by enzyme prepn. in homogenized pig adipose tissue.

IT **1787-68-4**
RL: BIOL (Biological study)
(triglyceride formation inhibition by)

RN 1787-68-4 CAPLUS
CN 2-Pyridinebutanamine, N-[2-(4-chlorophenyl)-1,1-dimethylethyl]-.delta.-phenyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

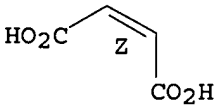
CRN 1563-48-0
CMF C25 H29 Cl N2

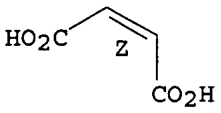


CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

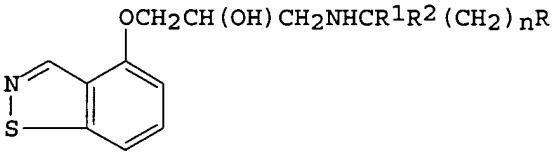




L9 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:515527 CAPLUS
DOCUMENT NUMBER: 95:115527
TITLE: Amino derivatives of 1,2-benzisothiazoles
INVENTOR(S): Frickel, Fritz Frieder; Franke, Albrecht; Hagen, Helmut; Lenke, Dieter; Gries, Josef
PATENT ASSIGNEE(S): BASF A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2944222	A1	19810514	DE 1979-2944222	19791102

PRIORITY APPLN. INFO.: DE 1979-2944222 19791102
GI



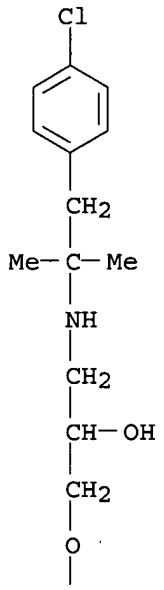
I

AB Nineteen title compds. [I, R = (substituted) Ph, indanyl, tetrahydronaphthyl; R1, R2 = H, alkyl; n = 1-3] and their salts were prepd. for use as .beta.-sympatholytics (test data tabulated). Thus, 4-(2,3-epoxypropoxy)-1,2-benzisothiazole reacted with H2NCMe2CH2C6H4CF3-3 in refluxing HOCHMe2 to give 58% I (R = 3-F3CC6H4, R1 = R2 = Me, n = 1).

IT **79032-51-2P 79032-54-5P 79032-55-6P 79032-56-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 79032-51-2 CAPLUS
CN 2-Propanol, 1-(1,2-benzisothiazol-4-yloxy)-3-[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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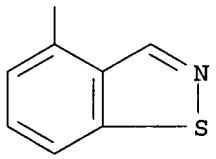


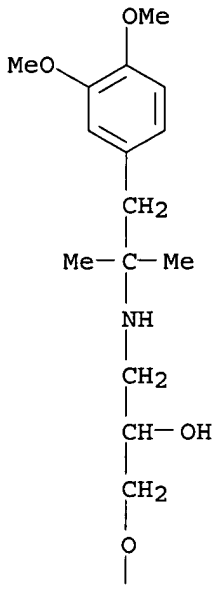
● HCl

RN 79032-54-5 CAPLUS
CN 2-Propanol, 1-(1,2-benzisothiazol-4-yloxy)-3-[[2-(3,4-dimethoxyphenyl)-1,1-dimethylethyl]amino]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

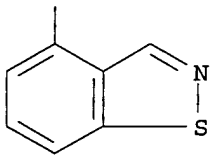
CM 1

CRN 79032-53-4
CMF C22 H28 N2 O4 S

PAGE 1-A



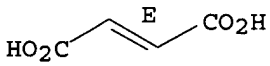
PAGE 2-A



CM 2

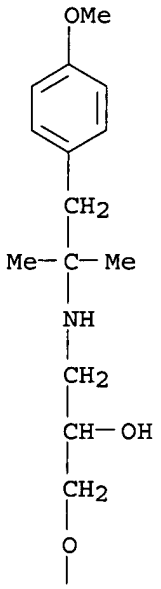
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

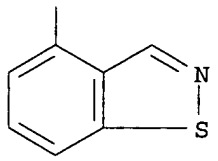


RN 79032-55-6 CAPLUS
CN 2-Propanol, 1-(1,2-benzisothiazol-4-yloxy)-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



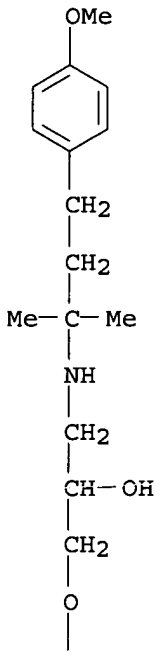
PAGE 2-A



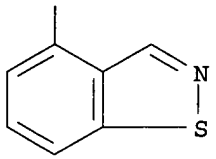
● HCl

RN 79032-56-7 CAPLUS
CN 2-Propanol, 1-(1,2-benzisothiazol-4-yloxy)-3-[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

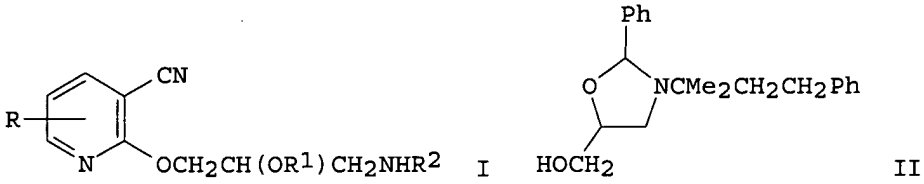


● HCl

L9 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:620593 CAPLUS
DOCUMENT NUMBER: 93:220593
TITLE: Pharmaceutical pyridyloxy-propanol amines and esters
INVENTOR(S): McClure, David Earl; Baldwin, John James
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 9075	A1	19800402	EP 1979-102136	19790627
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DK 7902677	A	19791228	DK 1979-2677	19790626

JP 55031066 A2 19800305 JP 1979-80285 19790627
PRIORITY APPLN. INFO.: US 1978-919589 19780627
GI

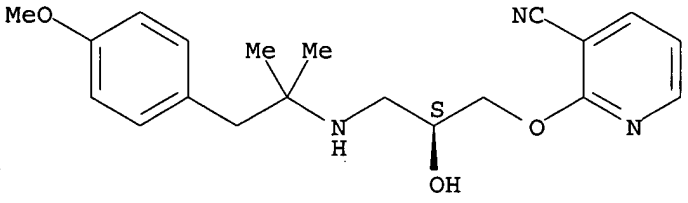


AB Title compds. I [R = H, Me; R1 = H, acyl, (un)substituted benzoyl; R2 = R3R4C6H3(CH2)nCHMe, R3R4C6H3(CH2)nCMe2, R3R4C6H3O(CH2)nCHMe, R3R4C6H3O(CH2)nCMe2 (n = 1-3; R3, R4 = H, MeO, HO, halo; R3R4 = OCH2O, OCH2CH2O)] and their salts were prepd. as .beta.-adrenergic blocking agents and antihypertensives (no data). Thus, condensation-redn. of isopropylidene-(R)-glyceraldehyde with PhCH2CH2CMe2NH2 and subsequent acid catalyzed hydrolysis gave (S)-PhCH2CH2CMe2NHCH2CH(OH)CH2OH. Condensation of the latter with BzH gave the oxazolidine II, the Na salt of which underwent substitution reaction with 2-chloro-3-cyanopyridine and acid-catalyzed hydrolysis to give (S)-I (R = R1 = H, R2 = PhCH2CH2CMe2).

IT **75561-41-0P 75561-42-1P 75561-52-3P**
75598-87-7P 75598-88-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 75561-41-0 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

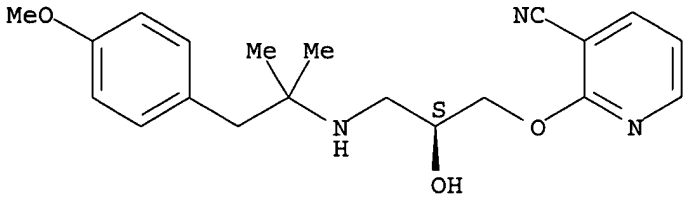


RN 75561-42-1 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[(2S)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, (2Z)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 75561-41-0
CMF C20 H25 N3 O3

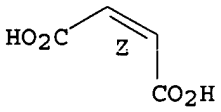
Absolute stereochemistry.



CM 2

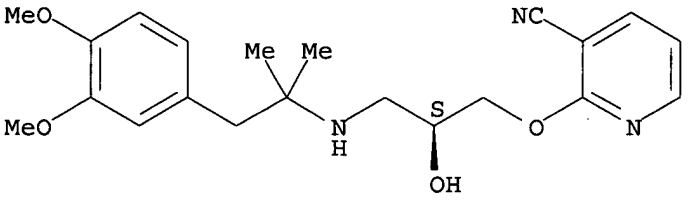
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



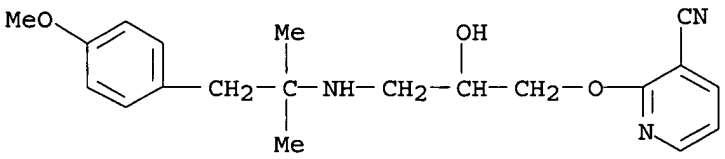
RN 75561-52-3 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, hydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

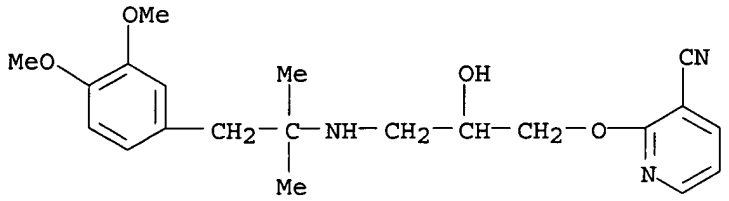
RN 75598-87-7 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

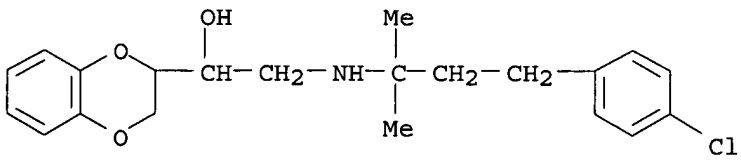
RN 75598-88-8 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-

dimethylethyl]amino]-2-hydroxypropoxy]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

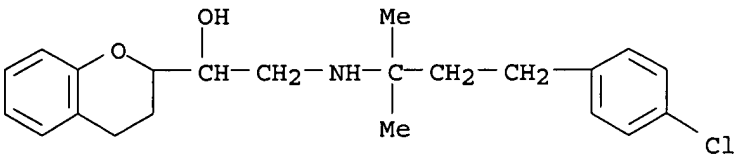
L9 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:100615 CAPLUS
DOCUMENT NUMBER: 72:100615
TITLE: .beta.-Adrenergic blocking agents. VII. 2-(1,4-Benzodioxanyl) and 2-chromanyl analogs of pronethalol [2-isopropylamino-1-(2-naphthyl) ethanol]
AUTHOR(S): Howe, Ralph; Rao, Balbir S.; Chodnekar, M. S.
CORPORATE SOURCE: Pharm. Div., Imp. Chem. Ind. Ltd., Macclesfield, UK
SOURCE: Journal of Medicinal Chemistry (1970), 13(2), 169-76
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A series of 1-(1,4-benzodioxan-2-yl)- and 1-(chroman-2-yl)-2-aminoethanols, e.g., I and II, which contain features of both pronethalol and propranolol, was synthesized by std. methods. Several pairs of geometric isomers were sepd. by crystn., related by NMR and chem. methods, and relative configurations assigned. The RR racemate of 1-(1,4-benzodioxan-2-yl)-2-tert-butylaminoethanol is the most potent .beta.-adrenergic blocking agent yet reported. Structure-potency relations are discussed.
IT **1052-29-5P 26946-22-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 1052-29-5 CAPLUS
CN 1,4-Benzodioxan-2-methanol, .alpha.-[[[3-(p-chlorophenyl)-1,1-dimethylpropyl]amino]methyl]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



HCl

RN 26946-22-5 CAPLUS
CN 2-Chromanmethanol, .alpha.-[[[3-(p-chlorophenyl)-1,1-

dimethylpropyl]amino]methyl]-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

L9 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1968:451949 CAPLUS
DOCUMENT NUMBER: 69:51949
TITLE: Synthesis of basic .alpha.,.alpha.-dipyrid-2-ylalkane derivatives with analgetic or cardiovascular activity
AUTHOR(S): Thiele, K.; Gross, A.; Posselt, K.; Schuler, W.
CORPORATE SOURCE: Lab. Arzneimittelforsch., Chemiewerk Homburg, Homburg, Fed. Rep. Ger.
SOURCE: Chimica Therapeutica (1967), 2(5), 366-74
CODEN: CHTPBA; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB .alpha.-Picoline (232.8 g.) was treated dropwise with 100 g. NaNH2 in 50% suspension in C6H6, the mixt. refluxed 2 hrs., treated dropwise with 197.5 g. pyridine, and refluxed another 6 hrs., and the product isolated by treating with 100 ml. H2O at 60.degree. and distg. to give 150 g. di-2-pyridylmethane, b2 176-86.degree.; dihydrochloride m. 245.degree.; dipicrate m. 196.degree.. (6-Methyl-2-pyridyl)(2-pyridyl)methane, b1.2 107-33.degree. (dihydrochloride m. 237.degree.) was similarly prepd. Di-2-pyridylmethane (34 g.) in 150 ml. C6H6 was boiled with 8 g. NaNH2 under N. After 1.5 hrs. 27 g. 1-pyrrolidinylcarbonyl chloride was added dropwise at room temp. and the mixt. refluxed 1.5 hrs. and treated with 50 ml. H2O to give 19 g. I (X = 1-pyrrolidinylcarbonyl, R = R1 = H), m. 104.degree.. This was also prepd. from 1-acetylpyrrolidine and 2-cholorpyridine. The following I were similarly prepd. (X, R, R1, and m.p. given): 1-pyrrolidinylcarbonyl, Me, H, 108.degree.; 1-pyrrolidinylcarbonyl, Cl, Cl, 150.degree.; morpholinomethyl, H, H, - (HCl salt m. 185-6.degree.). Treatment of 26.7 g. I (X = 1-pyrrolidinylcarbonyl, R = R1 = H) in 200 ml. PhMe with 4.3 g. NaNH2 45 min., followed by 16.4 g. 1-morpholino-2-chloroethane in 50 ml. PhMe and refluxing 3 hrs. gave 37 g. II [(NR6R7 =)pyrrolidinyl, R = R1 = R2 = R3 = H, (NR4R5 =)morpholino)], hydrochloride m. 202-3.degree.. The following II (R = R1 = H, R6 = R7 = Me) were similarly prepd. (R2, R3, NR4R5, and m.p. of base or salt given): H, H, NMe2, 208.degree. (HBr salt); H, H, 1-pyrrolidinyl, 102.degree.; H, H, piperidino, 208.degree. (HCl salt); H, H, morpholino, 188.degree. (HBr salt); Me, H, piperidino, 132.degree.; H, Me, piperidino, -. A mixt. of isomers where NR4R5 = morpholino and R2 = H and R3 = Me or R2 = Me and R3 = H, m. 204-6.degree. (HBr salt), was also obtained. II [(NR6R7 =) 1-pyrrolidinyl] were similarly prepd. (R, R1, R2, R3, NR4R5, and m.p. of base or salt, given): H, H, H, H, NMe2, -(base b3 228.degree.); Cl, Cl, H, H, NMe2, 250.degree. (HCl salt); H, H, H, H, N(CH2CH:CH2)2, 118.degree.; H, H, Me, H, N(CH2CH:CH2)2, 110.degree.; H, H, H, Me, N(CH2CH:CH2)2, -; H, H, H, H, 1-pyrrolidinyl, 178.degree. (HBr salt); H, H, H, H, piperidino, 110.degree.; H, H, Me, H, piperidino, 148.degree.; H, H, H, Me, piperidino, 87.degree.; Me, H, H, H, morpholino,

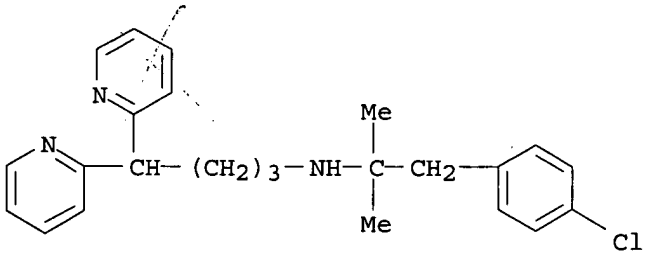
203.degree. (HCl salt); Cl, Cl, H, H, morpholino, 145-8.degree.; OMe, OMe, H, H, morpholino, 164-5.degree.; H, H, Me, H, morpholino, 150-2.degree.; H, H, H, Me, morpholino, 168-9.degree. (HCl salt). 2-[4-Methyl-2-pyridyl]-2-pyridyl]-4-morpholinobutyric acid pyrrolidide, hydrochloride m. 198.degree., was similarly prepd. The analgesic ED50 in mice was detd. for some II (R = R1 = R3 = H) by the method of Haffner (1929) (R2, NR4R5, NR4R7, and ED50 mg./kg. s.c. given): H, morpholino, NMe2, 800; Me, piperidino, 1-pyrrolidinyl, inactive; H, morpholino, 1-pyrrolidinyl, 500; Me, morpholino, 1-pyrrolidinyl, 34.4. Treatment of 40.8 g. I (R = R1 = H, X = 1-pyrrolidinyl) in 100 ml. PhMe at the b.p. with 4 g. NaNH2, followed by 48.4 g. PhCH2CHMeNH(CH2)2Br.HBr in 180 ml. C6H6 and refluxing 4 hrs. gave 24 g. III (R = R8 = R9 = h, N = 2, p = 1), m. 69-70.degree., which showed 76% increase in coronary, blood flow at 10 .gamma. heart concn. The following III were similarly prepd. (R, R8, R9, n, p, b.p. base, m.p. maleate, and % coronary-dilating activity given): Me, H, H, 2, 1, b0.05 204-8.degree., -, 99; H, H, Me, 2, 1, b0.5 203-20.degree., 105-6.degree., 30; H, H, Cl, 2, 1, b0.5 200-10.degree., 117-20.degree., 118; H, H, H, 2, 2, b0.3 200-10.degree., 118-19.degree., 40; H, H, H, 3, 1, b0.4 215-16.degree., 190.degree., 46; H, H, Cl, 3, 1, b0.01 190-3.degree., 102-3.degree., 80; H, Me, Cl, 3, 1, b0.4 215-20.degree., 140-1.degree., 50; H, H, H, 3, 2, b0.2 217-32.degree., 90-1.degree., 28. 41 references.

IT **19099-36-6P 19291-26-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 19099-36-6 CAPLUS

CN Pyridine, 2,2'-[4-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]butylidene]di- (8CI) (CA INDEX NAME)

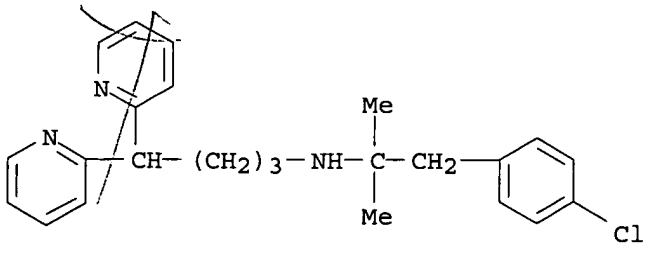


RN 19291-26-0 CAPLUS

CN Pyridine, 2,2'-[4-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]butylidene]di-, maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

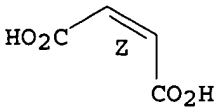
CRN 19099-36-6
CMF C24 H28 Cl N3



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L9 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1968:59250 CAPLUS
DOCUMENT NUMBER: 68:59250
TITLE: Anorexigenic phenylisopropylamine medicaments
INVENTOR(S): Weber, Abraham; Frossard, Jacques
PATENT ASSIGNEE(S): Societe Nogentaise de Produits Chimiques
SOURCE: Fr. M., 9 pp.
CODEN: FMXXAJ
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

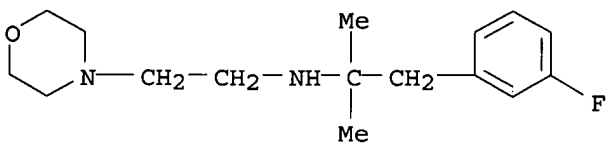
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 4288		19660822	FR	19640225

GI For diagram(s), see printed CA Issue.

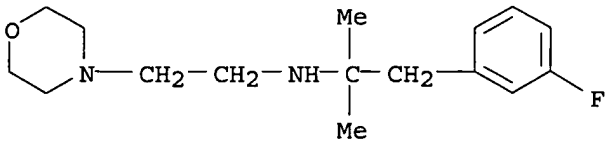
AB The title compds. were prepd. and used therapeutically without any unfavorable side effects. Thus, to a suspension contg. 25 g. Na2CO3, 20 g. .beta.-phenylisopropylamine in 75 ml. EtOH, 27 g. .beta.-diethylaminochloroethane-HCl in 50 ml. H2O was added during 1 hr. The resultant mixt. was refluxed 4 hrs. to give 25g. RC6H4CH2CR1MeNHR2 (Ia, R = R1 = H, R2 = CH2CH2NEt2) (I), m. 110-12.degree. and 101.degree. (dimaleate salt). Other Ia prepd. were (R, R1, R2 and m.p. given): H, H, .beta.-piperdinoethyl 139-44.degree.; H, Me, .beta.-morpholinoethyl, 152-4.degree.; p-F, Me, H, 98.degree.; m-F3C Me, CHO, 53.degree. (HCl salt m. 211.degree.). The toxicity, anorexiant effect, and blood pressure effects were reported. Pharmacological tests were done on both 50 year old men and 56 year old women.

IT **17214-57-2P 17214-67-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 17214-57-2 CAPLUS
CN Morpholine, 4-[2-[(m-fluoro-.alpha.,.alpha.-dimethylphenethyl)amino]ethyl]- (8CI) (CA INDEX NAME)



RN 17214-67-4 CAPLUS
CN Morpholine, 4-[2-[(m-fluoro-.alpha.,.alpha.-dimethylphenethyl)amino]ethyl]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

L9 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1965:403271 CAPLUS
DOCUMENT NUMBER: 63:3271
ORIGINAL REFERENCE NO.: 63:584e-g
TITLE: Bipyridyls
INVENTOR(S): Fanshawe, R. S.; Olleveant, A. W.
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: 13 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 638139		19640402	BE	
FR 1377598			FR	
GB 1031504			GB	
GB 978307			GB	
NL 298681			NL	

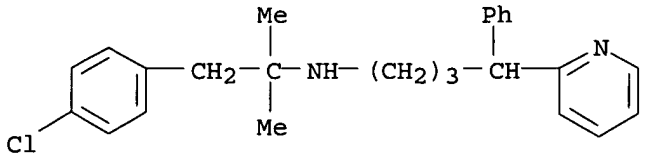
PRIORITY APPLN. INFO.: GB 19621003

AB A process for continuous 4,4'-bipyridyl (I) production is illustrated by one example, in which parts and percentage are by wt. A stirred mixt. of dry C5H5N 500, Mg turnings 15, and a suspension of 33% Na in Me3C6H3 5 parts was heated at refluxing temp. (115.degree.) in a closed vessel provided with a device to measure the elec. cond. of the reaction mixt. continuously. As soon as the reaction started, indicated by an abrupt cond. increase, the mixt. was cooled at 90-100.degree., whereafter C5H5N (approx. 750-1000 parts/hr.) was added at a rate to maintain the cond. at a value of at least 500 micromhos, while at the same time Mg (approx. 15 parts/hr.) was added at 5-min. intervals; meanwhile the mixt. was overflowed to a 2nd closed vessel at a rate depending on the C5H5N addn. time in the 1st vessel, air bubbled into the stirred mixt. at 50-100.degree., the oxidized mixt. overflowed at a rate correlating with the C5H5N addn. time in the 1st vessel, and the mixt. fractionated gave C5H5N (which could be reused), and a column residue consisting of bipyridyls, Mg(OH)2, org. basic material with a high mol. wt., and tar. Thus, during 11 hrs. C5H5N 15,089 was used to give I 510, which is a yield of 49% I based on the C5H5N 1040 parts consumed.

IT **1563-48-0**, Pyridine, 2-[.alpha.-[3-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]propyl]benzyl]-
(prepn. of)

RN 1563-48-0 CAPLUS

CN Pyridine, 2-[.alpha.-[3-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]propyl]benzyl]- (7CI, 8CI) (CA INDEX NAME)



proposed

L9 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1965:403270 CAPLUS
DOCUMENT NUMBER: 63:3270
ORIGINAL REFERENCE NO.: 63:584c-e
TITLE: .omega. - Phenyl - .omega. - (2 - pyridyl)alkylamines
PATENT ASSIGNEE(S): Deutsche Gold- und Silber-Scheideanstalt vorm. Roessler
SOURCE: 17 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1380771		19641204	FR	
BE 640163			BE	

PRIORITY APPLN. INFO.: DE 19621121

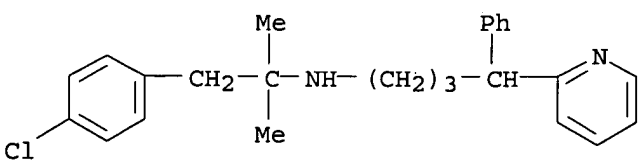
GI For diagram(s), see printed CA Issue.

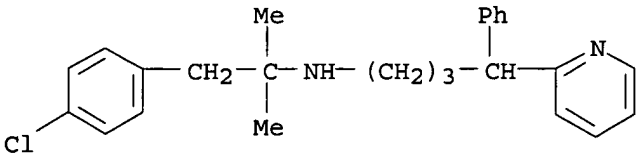
AB The title compds. (I) are prepd. by condensing with NaNH2 2-benzylpyridine (II) and haloalkylamines, or by reducing the Schiff bases obtained from an .omega.-(2-pyridyl)-.omega.-arylcarboxaldehyde and an alkylamine. A 50% suspension of NaNH2 in 15.6 g. C6H6 is added to 33.8 g. II in 50 cc. C6H6 at 80.degree., the mixt. refluxed 2 hrs., 63 g. N-(3-bromopropyl)-3-phenyl-2-propylamine in 100 cc. C6H6 added, refluxed 4 hrs., cooled, washed with H2O, concd., and distd. to give I [n = 3, R = PhCH2CHMe, b0.8 215-17.degree.; 1:1 salt with maleic acid m. 127-8.degree. (iso-PrOH). Similarly prepd. are the following I (n, R, b.p./mm., salt, salt m.p. given): 2, p-ClC6H4CH2CMe2, 210-23.degree./0.3, 1:1 maleic, 137-8.degree.; 2, PhCH2CH2CHMe, 210-12.degree./0.4, 1:0.5 fumaric, 157-8.degree.; 3, PhCH2CH2CHMe, 207-12.degree./0.1, 1:1 maleic, 129.degree.-30.degree.; 3, p-ClC6H4CH2CMe2, 216-20.degree./0.2, 1:1 maleic, 129-30.degree.. A mixt. of 8.5 g. .beta.-phenyl-.beta.-(2-pyridyl)propanol and 8.2 g. 1-(p-methoxyphenyl)-2-propylamine in 100 cc. EtOH refluxed 1 hr., cooled, and treated with 4 g. NaBH4 gives I (n = 2, R = p-MeOC6H4CH2CHMe, b0.01 200.degree.; 1:1 maleic acid salt m. 126.degree. (AcOEt).

IT **1563-48-0**, Pyridine, 2-[.alpha.-[3-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]propyl]benzyl]- **1563-52-6**, Pyridine, 2-[.alpha.-[2-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]ethyl]benzyl]- **1787-70-8**, Pyridine, 2-[.alpha.-[2-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]ethyl]benzyl]-, maleate (1:1) (prepn. of)

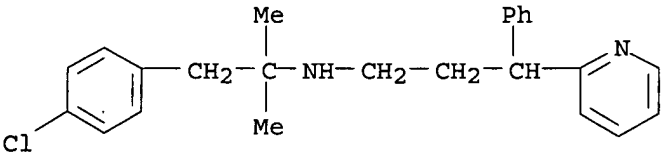
RN 1563-48-0 CAPLUS

CN Pyridine, 2-[.alpha.-[3-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]propyl]benzyl]- (7CI, 8CI) (CA INDEX NAME)





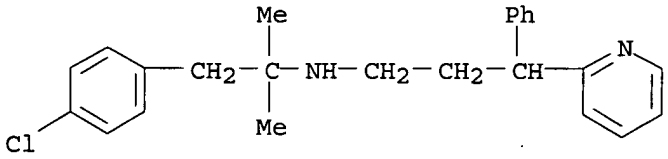
RN 1563-52-6 CAPLUS
CN Pyridine, 2-[.alpha.-[2-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]ethyl]benzyl]- (7CI, 8CI) (CA INDEX NAME)



RN 1787-70-8 CAPLUS
CN Pyridine, 2-[.alpha.-[2-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]ethyl]benzyl]-, maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

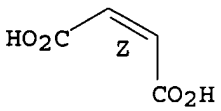
CRN 1563-52-6
CMF C24 H27 Cl N2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L9 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1965:43945 CAPLUS
DOCUMENT NUMBER: 62:43945
ORIGINAL REFERENCE NO.: 62:7772a-h,7773a
TITLE: Preparation of 1,4-benzodioxan derivatives
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: 30 pp.

DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 64001243		19640814	NL	

PRIORITY APPLN. INFO.: GB 19630213

GI For diagram(s), see printed CA Issue.

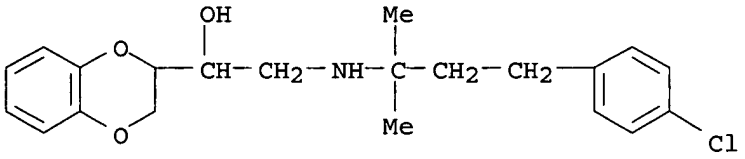
AB The title compds. (I) are useful as .beta.-adrenergic blocking agents. Thus, 2.15 parts II [B = CH(OH)CH2Cl] (III) and 2.9 parts tert-BuNH2 in 24 parts C6H6 was heated 25 hrs. at 110-20.degree. in a closed vessel to give I (A = H, R = R1 = H, R2 = tert-Bu), m. 98-9.degree. (petr. ether b. 40-60.degree.). Similarly were prepd. I (see table). A, R, R1, R2, m.p., m.p. salt; H, H, H, CMe2CH2OH, 137-40.degree., ; H, H, H, tert-Bu, 2 racemates (IV, IVa) 106-5.degree.,91-2.degree., HCl162-3.degree. HCl 193-4.degree.; H, H, H, CM2CH2Ph, 2 racemates viscous oil, 111-12.degree., HCl 237-8.degree.HCl 196-7.degree.; H, H, H, CHMeCH2CH2Ph, --, HCl 220-1.degree.; H, H, H, CMe2CH2CH2C6H4Cl-p, --, HCl 203-4.degree.; H, H, H, sec-Bu, --, oxalate 204-6.degree.; H, Me, H, sio-Pr, --, oxalate 215-17.degree.; H, Me, H, Bu, 101-2.degree., --; H, H, H, iso-Pr, 2 racemates 125-6.degree., 98-9.degree., HCl 218-19.degree.HCl 236-7.degree.; 6 (or 7)-Me, H, H, iso-Pr, --, HCl 130-2.degree.; 6 (or 7)-Me, H, H, tert-Bu, --, HCl 204-5.degree.; H, H, H, H, 2 racemates, HCl 248-9.degree.HCl (V) 234-8.degree.; H, H, CH2CH2OH, iso-Pr, --, --; Br (7.5 parts) was added over 2 hrs. to a stirred soln. of 8.4 parts II (B = Ac) in 20 parts dry Et2O at 10.degree. to give II (B = COCH2Br) (VI), m. 80-1.degree.. To a soln. of 14 parts VI in 120 parts MeOH was added at 0.degree. over 1 hr. 4 parts NaBH4 and the mixt. stirred 18 hrs. at ambient temp. to give 1-(1,4-benzodioxan-2-yl)-2-bromoethanol, m. 85-7.degree. (1:1 C6H6-C6H14). A mixt. of 40 parts 2,3-dihydroxynaphthalene, 35 parts anhyd. K2CO3, and 500 parts Me2CO was refluxed, 25 parts BrCH2-CHBrCO2Et added over 30 min., another 35 parts K2CO3 and 25 parts BrCH2CHBrCO2Et added over 30 min., this addn. repeated twice, and the mixt. refluxed 18 hrs. to give 2-ethoxycarbonylnaphtho[2,3-b]-1,4-dioxane, b0.7 170-5.degree., m. 61-2.degree., which was heated 45 min. at 100.degree. with 10% NaOH to give naphtho[2,3-b]-1,4-dioxane-2-carboxylic acid, m. 186.degree. (EtOAc). The acid chloride, m. 89-90.degree., was treated with CH2N2 in Et2O 18 hrs. at 0.degree. to give 2-diazoacetylnaphtho[2,3-b]-1,4-dioxane. HCl was passed at 0.degree. through a soln. of 20 parts of the diazo compd. in 200 parts Et2O to give 2-chloroacetylnaphtho[2,3-b]-1,4-dioxane (VII), m. 121-2.degree.. At 0.degree. and over 30 min., 2 parts NaBH4 was added to a stirred soln. of 5 parts VII in 100 parts MeOH, and the mixt. was kept 16 hrs. at ambient temp. to give 2-chloro-1-(naphtho[2,3-b]-1,4-dioxan-2-yl)ethanol. Similarly, 1,3,4-Me(HO)2C6H3 and BrCH2CHBrCO2Et gave 2-ethoxycarbonyl-6(or 7)-methyl-1,4-benzodioxan, b0.9 120-2.degree., which was hydrolyzed to the acid, m. 94-5.degree.. The acid treated with (ClCO2) gave the acid chloride, which with CH2N2 gave the diazo deriv., converted, in turn, into 2-chloroacetyl-6(or 7)-methyl-1,4-benzodioxan, m. 71-2.degree., redn. of which 2-chloro-1-[6(or 7)-methyl-1,4-benzodioxan-2-yl]ethanol. A stirred soln. of 1 part II (B = COCHO) (hydrate m. 94.degree.) and 8 parts tert-BuNH2 in 25 parts MeOH was treated with 1 part NaBH4 at 0.degree. and the mixt. stirred 16 hrs. at ambient temp. to give a mixt. of IV and IVa. Also prepd. were I (A = R = R1 = H) (R2 and m.p. salt given): CH2CH:CH2, H oxalate 161-2.degree.; CH2CH2CH2OMe, H oxalate 163-5.degree.; CH2CH2C6H3(OMe)2-3,4, HCl 172-3.degree.; CH2CH(OH)C6H4OMe-3, H oxalate 150-1.degree.. A mixt. of 0.6 part IVa.HCl and 1.5 parts BzCl was heated 1 hr. at 100.degree. to give the O-benzoate HCl salt, m. 238.degree. (PrOH). Refluxing 0.4 part IVa.HCl and 30 parts AcCl for 18 hrs., gave the O-acetate HCl salt, m. 224-5.degree.. A soln. of 0.15 part Br in 2

parts AcOH was added to a soln. of 0.7 part IVa in 5 parts AcOH and the mixt. heated at 40.degree. gave 1-[6(or 7)-bromo-1,4-benzodioxan-2-yl]-2-tert-butylaminoethanol-HCl, m. 190.degree.. A mixt. of 0.1 part PtO2 in 16 parts EtOH was satd. with H at ambient temp. and pressure, 0.17 parts V and 10 parts EtMeCO added, and the mixt. shaken 18 hrs with H at ambient temp. and pressure to give I (A = H, R = R1 = H, R2 = sec-Bu); oxalate m. 145-6.degree.. A mixt. of 1 part II (B = oxiranyl) and 8.5 parts tert-BuNH2 in 10 parts C6H6 was refluxed 24 hrs. to give IV. Over 1 hr. and at 0.degree., 2.5 parts NaBH4 was added to a stirred soln. of 0.9 part II (B = COCH2NHBu-sec) HCl salt, m. 182-4.degree., in 40 parts MeOH, and the mixt. stirred 18 hrs. to give IVa.

IT **1052-29-5**, 1,4-Benzodioxan-2-methanol, .alpha.-[[[3-(p-chlorophenyl)-1,1-dimethylpropyl]amino]methyl]-, hydrochloride
(prepn. of)

RN 1052-29-5 CAPLUS

CN 1,4-Benzodioxan-2-methanol, .alpha.-[[[3-(p-chlorophenyl)-1,1-dimethylpropyl]amino]methyl]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

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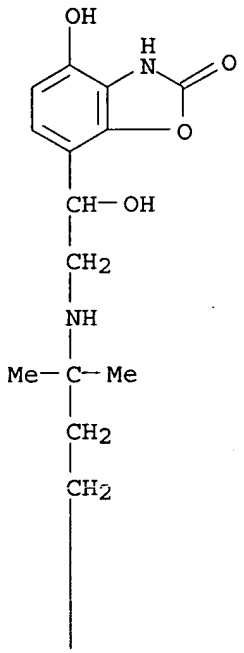
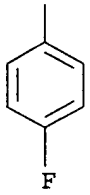
PAGE 1-A



PAGE 2-A



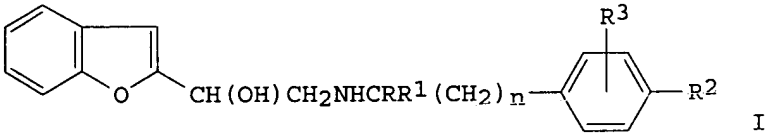
● HCl

L9 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:544754 CAPLUS
DOCUMENT NUMBER: 97:144754
TITLE: Secondary amines
INVENTOR(S): Ferris, Michael John
PATENT ASSIGNEE(S): Beecham Group Ltd., UK
SOURCE: Brit. UK Pat. Appl., 14 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2084577	A	19820415	GB 1981-28824	19810923
GB 2084577	B2	19840502		

CA 1175851	A1	19841009	CA 1981-385953	19810915
ZA 8106567	A	19820929	ZA 1981-6567	19810922
AU 8175603	A1	19820401	AU 1981-75603	19810923
AU 546104	B2	19850815		
EP 51917	A1	19820519	EP 1981-304398	19810923
EP 51917	B1	19860219		
R: BE, CH, DE, FR, IT, NL				
US 4432993	A	19840221	US 1981-305117	19810924
JP 57085383	A2	19820528	JP 1981-151924	19810925
ES 505801	A1	19830201	ES 1981-505801	19810925

PRIORITY APPLN. INFO.: GB 1980-31228 19800926
OTHER SOURCE(S): CASREACT 97:144754
GI

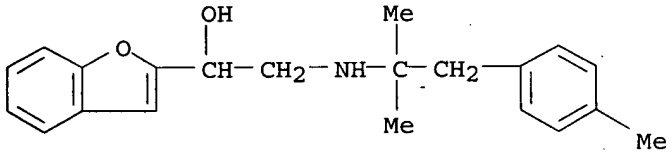


I

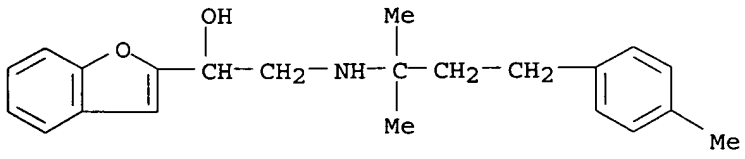
AB Benzofurylethanolamines I [R, R1 = H, Me; R2 = OH, (un)substituted alkoxy, alkyl; R3 = H, OH, halogen, alkyl, alkoxy; n = 1-3] were prepd. Thus 2-formylbenzofuran was treated with Me3SiCN and reduced with LiAlH4 to give 2-(2-benzofuryl)-2-hydroxyethylamine which was treated with 4-MeC6H4CH2COMe and hydrogenated to give I (R = Me, R1 = R3 = H, R2 = Me, n = 1, II) as a mixt. of diastereoisomers. II had antiobesity activity with only a slight effect on heart rate. Other I had antidiabetic, antiinflammatory, and platelet aggregation-inhibiting activity.

IT **83123-33-5P 83175-36-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiobesity and antidiabetic activity of)

RN 83123-33-5 CAPLUS
CN 2-Benzofuranmethanol, .alpha.-[[[1,1-dimethyl-2-(4-methylphenyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 83175-36-4 CAPLUS
CN 2-Benzofuranmethanol, .alpha.-[[[1,1-dimethyl-3-(4-methylphenyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



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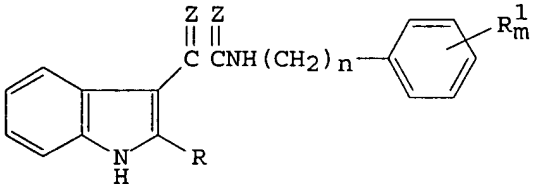
09/288,556

L9 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:52124 CAPLUS
DOCUMENT NUMBER: 96:52124
TITLE: Synthesis and biological activity of 2-substituted-3-(aminoethyl)indoles
AUTHOR(S): Kumar, Ashok; Agarwal, J. C.; Nath, C.; Gurtu, S.; Sinha, J. N.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226003, India
SOURCE: Journal of Heterocyclic Chemistry (1981), 18(6), 1269-71
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



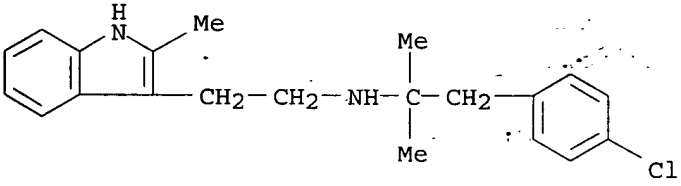
I

AB New indole-3-ylglyoxylamides (I; R = H, Me; R1 = Me, MeO, Cl; Z = O; m = 1, 2; n = 1, 2) and their corresponding (aminoethyl)indoles (I; Z = H2) were synthesized. These compds. were evaluated for their cardiovascular as well as antiparkinsonian activities.

IT **80554-87-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiparkinsonism and cardiovascular activity of)

RN 80554-87-6 CAPLUS

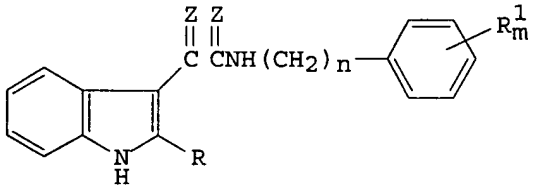
CN 1H-Indole-3-ethanamine, N-[2-(4-chlorophenyl)-1,1-dimethylethyl]-2-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:597759 CAPLUS
DOCUMENT NUMBER: 95:197759
TITLE: Inhibition of biosynthesis of triglycerides by certain N-.beta.-phenethyl-N-pyridylalkylamines
INVENTOR(S): Haynes, George R.
PATENT ASSIGNEE(S): Shell Oil Co., USA
SOURCE: U.S., 3 pp. Cont.-in-part of U.S. Ser. No. 117,160, abandoned.
CODEN: USXXAM

file copy

L9 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:52124 CAPLUS
DOCUMENT NUMBER: 96:52124
TITLE: Synthesis and biological activity of 2-substituted-3-(aminoethyl)indoles
AUTHOR(S): Kumar, Ashok; Agarwal, J. C.; Nath, C.; Gurtu, S.; Sinha, J. N.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226003, India
SOURCE: Journal of Heterocyclic Chemistry (1981), 18(6), 1269-71
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

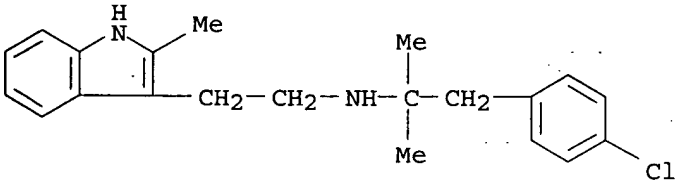


I

AB New indole-3-ylglyoxylamides (I; R = H, Me; R1 = Me, MeO, Cl; Z = O; m = 1, 2; n = 1, 2) and their corresponding (aminoethyl)indoles (I; Z = H2) were synthesized. These compds. were evaluated for their cardiovascular as well as antiparkinsonian activities.

IT **80554-87-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiparkinsonism and cardiovascular activity of)

RN 80554-87-6 CAPLUS
CN 1H-Indole-3-ethanamine, N-[2-(4-chlorophenyl)-1,1-dimethylethyl]-2-methyl- (9CI) (CA INDEX NAME)



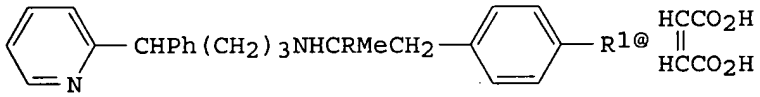
L9 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:597759 CAPLUS
DOCUMENT NUMBER: 95:197759
TITLE: Inhibition of biosynthesis of triglycerides by certain N-.beta.-phenethyl-N-pyridylalkylamines
INVENTOR(S): Haynes, George R.
PATENT ASSIGNEE(S): Shell Oil Co. , USA
SOURCE: U.S., 3 pp. Cont.-in-part of U.S. Ser. No. 117,160, abandoned.
CODEN: USXXAM

09/288,556

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4285953	A	19810825	US 1980-202996	19801103

PRIORITY APPLN. INFO.: US 1980-117160 19800131
GI



I, R=H, R^1=Me
II, R=Me, R^1=Cl

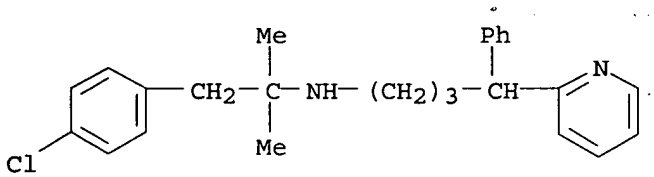
AB Biosynthesis of triglycerides is inhibited by certain N-.beta.-phenethyl-N-pyridylalkylamines. Thus N-(1-methyl-2-(4-methylphenyl)ethyl)-.delta.-phenyl-2-pyridinebutanamine maleate (I) [79490-21-4] and N-(2-(4-chlorophenyl)-1,1-dimethylethyl)-.delta.-phenyl-2-pyridinebutanamine maleate (II) [**1787-68-4**] blocked the synthesis of triglycerides by enzyme prepn. in homogenized pig adipose tissue.

IT **1787-68-4**
RL: BIOL (Biological study)
(triglyceride formation inhibition by)

RN 1787-68-4 CAPLUS
CN 2-Pyridinebutanamine, N-[2-(4-chlorophenyl)-1,1-dimethylethyl]-.delta.-phenyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

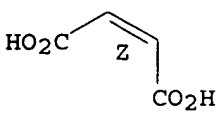
CRN 1563-48-0
CMF C25 H29 Cl N2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



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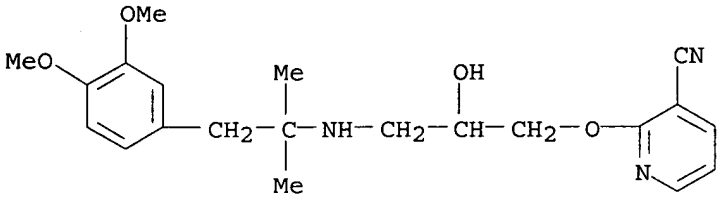
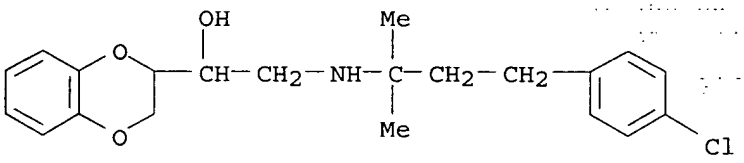
dimethylethyl]amino]-2-hydroxypropoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

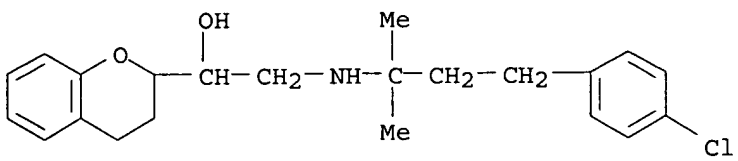
L9 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:100615 CAPLUS
DOCUMENT NUMBER: 72:100615
TITLE: .beta.-Adrenergic blocking agents. VII. 2-(1,4-Benzodioxanyl) and 2-chromanyl analogs of pronethalol [2-isopropylamino-1-(2-naphthyl) ethanol]
AUTHOR(S): Howe, Ralph; Rao, Balbir S.; Chodnekar, M. S.
CORPORATE SOURCE: Pharm. Div., Imp. Chem. Ind. Ltd., Macclesfield, UK
SOURCE: Journal of Medicinal Chemistry (1970), 13(2), 169-76
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A series of 1-(1,4-benzodioxan-2-yl)- and 1-(chroman-2-yl)-2-aminoethanols, e.g., I and II, which contain features of both pronethalol and propranolol, was synthesized by std. methods. Several pairs of geometric isomers were sepd. by crystn., related by NMR and chem. methods, and relative configurations assigned. The RR racemate of 1-(1,4-benzodioxan-2-yl)-2-tert-butylaminoethanol is the most potent .beta.-adrenergic blocking agent yet reported. Structure-potency relations are discussed.
IT **1052-29-5P 26946-22-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 1052-29-5 CAPLUS
CN 1,4-Benzodioxan-2-methanol, .alpha.-[[[3-(p-chlorophenyl)-1,1-dimethylpropyl]amino]methyl]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

RN 26946-22-5 CAPLUS
CN 2-Chromanmethanol, .alpha.-[[[3-(p-chlorophenyl)-1,1-

dimethylpropyl]amino]methyl]-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

L9 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1968:451949 CAPLUS
DOCUMENT NUMBER: 69:51949
TITLE: Synthesis of basic .alpha.,.alpha.-dipyrid-2-ylalkane derivatives with analgetic or cardiovascular activity
AUTHOR(S): Thiele, K.; Gross, A.; Posselt, K.; Schuler, W.
CORPORATE SOURCE: Lab. Arzneimittelforsch., Chemiewerk Homburg, Homburg, Fed. Rep. Ger.
SOURCE: Chimica Therapeutica (1967), 2(5), 366-74
CODEN: CHTPBA; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB .alpha.-Picoline (232.8 g.) was treated dropwise with 100 g. NaNH2 in 50% suspension in C6H6, the mixt. refluxed 2 hrs., treated dropwise with 197.5 g. pyridine, and refluxed another 6 hrs., and the product isolated by treating with 100 ml. H2O at 60.degree. and distg. to give 150 g. di-2-pyridylmethane, b2 176-86.degree.; dihydrochloride m. 245.degree.; dipicrate m. 196.degree.. (6-Methyl-2-pyridyl)(2-pyridyl)methane, b1.2 107-33.degree. (dihydrochloride m. 237.degree.) was similarly prepd. Di-2-pyridylmethane (34 g.) in 150 ml. C6H6 was boiled with 8 g. NaNH2 under N. After 1.5 hrs. 27 g. 1-pyrrolidinylcarbonyl chloride was added dropwise at room temp. and the mixt. refluxed 1.5 hrs. and treated with 50 ml. H2O to give 19 g. I (X = 1-pyrrolidinylcarbonyl, R = R1 = H), m. 104.degree.. This was also prepd. from 1-acetylpyrrolidine and 2-cholorpyridine. The following I were similarly prepd. (X, R, R1, and m.p. given): 1-pyrrolidinylcarbonyl, Me, H, 108.degree.; 1-pyrrolidinylcarbonyl, Cl, Cl, 150.degree.; morpholinomethyl, H, H, - (HCl salt m. 185-6.degree.). Treatment of 26.7 g. I (X = 1-pyrrolidinylcarbonyl, R = R1 = H) in 200 ml. PhMe with 4.3 g. NaNH2 45 min., followed by 16.4 g. 1-morpholino-2-chloroethane in 50 ml. PhMe and refluxing 3 hrs. gave 37 g. II [(NR6R7 =)pyrrolidinyl, R = R1 = R2 = R3 = H, (NR4R5 =)morpholino)], hydrochloride m. 202-3.degree.. The following II (R = R1 = H, R6 = R7 = Me) were similarly prepd. (R2, R3, NR4R5, and m.p. of base or salt given): H, H, NMe2, 208.degree. (HBr salt); H, H, 1-pyrrolidinyl, 102.degree.; H, H, piperidino, 208.degree. (HCl salt); H, H, morpholino, 188.degree. (HBr salt); Me, H, piperidino, 132.degree.; H, Me, piperidino, -. A mixt. of isomers where NR4R5 = morpholino and R2 = H and R3 = Me or R2 = Me and R3 = H, m. 204-6.degree. (HBr salt), was also obtained. II [(NR6R7 =) 1-pyrrolidinyl] were similarly prepd. (R, R1, R2, R3, NR4R5, and m.p. of base or salt, given): H, H, H, H, NMe2, -(base b3 228.degree.); Cl, Cl, H, H, NMe2, 250.degree. (HCl salt); H, H, H, H, N(CH2CH:CH2)2, 118.degree.; H, H, Me, H, N(CH2CH:CH2)2, 110.degree.; H, H, H, Me, N(CH2CH:CH2)2, -; H, H, H, H, 1-pyrrolidinyl, 178.degree. (HBr salt); H, H, H, H, piperidino, 110.degree.; H, H, Me, H, piperidino, 148.degree.; H, H, H, Me, piperidino, 87.degree.; Me, H, H, H, morpholino,

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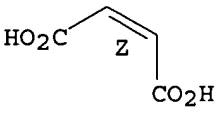
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L9 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1968:59250 CAPLUS
DOCUMENT NUMBER: 68:59250
TITLE: Anorexigenic phenylisopropylamine medicaments
INVENTOR(S): Weber, Abraham; Frossard, Jacques
PATENT ASSIGNEE(S): Societe Nogentaise de Produits Chimiques
SOURCE: Fr. M., 9 pp.
CODEN: FMXXAJ
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

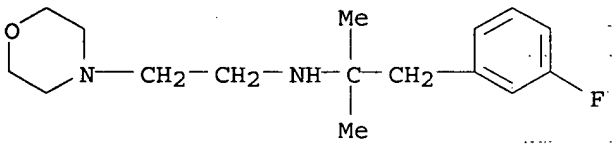
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 4288		19660822	FR	19640225

GI For diagram(s), see printed CA Issue.

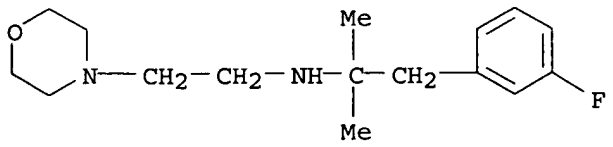
AB The title compds. were prepd. and used therapeutically without any unfavorable side effects. Thus, to a suspension contg. 25 g. Na2CO3, 20 g. .beta.-phenylisopropylamine in 75 ml. EtOH, 27 g. .beta.-diethylaminochloroethane-HCl in 50 ml. H2O was added during 1 hr. The resultant mixt. was refluxed 4 hrs. to give 25g. RC6H4CH2CR1MeNHR2 (Ia, R = R1 = H, R2 = CH2CH2NEt2) (I), m. 110-12.degree. and 101.degree. (dimaleate salt). Other Ia prepd. were (R, R1, R2 and m.p. given): H, H, .beta.-piperdinoethyl 139-44.degree.; H, Me, .beta.-morpholinoethyl, 152-4.degree.; p-F, Me, H, 98.degree.; m-F3C Me, CHO, 53.degree. (HCl salt m. 211.degree.). The toxicity, anorexiant effect, and blood pressure effects were reported. Pharmacological tests were done on both 50 year old men and 56 year old women.

IT **17214-57-2P 17214-67-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 17214-57-2 CAPLUS
CN Morpholine, 4-[2-[(m-fluoro-.alpha.,.alpha.-dimethylphenethyl)amino]ethyl]- (8CI) (CA INDEX NAME)



RN 17214-67-4 CAPLUS
CN Morpholine, 4-[2-[(m-fluoro-.alpha.,.alpha.-dimethylphenethyl)amino]ethyl]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

L9 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1965:403271 CAPLUS
DOCUMENT NUMBER: 63:3271
ORIGINAL REFERENCE NO.: 63:584e-g
TITLE: Bipyridyls
INVENTOR(S): Fanshawe, R. S.; Olleveant, A. W.
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: 13 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 638139		19640402	BE	
FR 1377598			FR	
GB 1031504			GB	
GB 978307			GB	
NL 298681			NL	

PRIORITY APPLN. INFO.: GB 19621003

AB A process for continuous 4,4'-bipyridyl (I) production is illustrated by one example, in which parts and percentage are by wt. A stirred mixt. of dry C5H5N 500, Mg turnings 15, and a suspension of 33% Na in Me3C6H3 5 parts was heated at refluxing temp. (115.degree.) in a closed vessel provided with a device to measure the elec. cond. of the reaction mixt. continuously. As soon as the reaction started, indicated by an abrupt cond. increase, the mixt. was cooled at 90-100.degree., whereafter C5H5N (approx. 750-1000 parts/hr.) was added at a rate to maintain the cond. at a value of at least 500 micromhos, while at the same time Mg (approx. 15 parts/hr.) was added at 5-min. intervals; meanwhile the mixt. was overflowed to a 2nd closed vessel at a rate depending on the C5H5N addn. time in the 1st vessel, air bubbled into the stirred mixt. at 50-100.degree., the oxidized mixt. overflowed at a rate correlating with the C5H5N addn. time in the 1st vessel, and the mixt. fractionated gave C5H5N (which could be reused), and a column residue consisting of bipyridyls, Mg(OH)2, org. basic material with a high mol. wt., and tar. Thus, during 11 hrs. C5H5N 15,089 was used to give I 510, which is a yield of 49% I based on the C5H5N 1040 parts consumed.

IT **1563-48-0**, Pyridine, 2-[.alpha.-[3-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]propyl]benzyl]-
(prepn. of)

RN 1563-48-0 CAPLUS

CN Pyridine, 2-[.alpha.-[3-[(p-chloro-.alpha.,.alpha.-dimethylphenethyl)amino]propyl]benzyl]- (7CI, 8CI) (CA INDEX NAME)

09/288,556

Uploading 033001a.str

L2 STRUCTURE UPLOADED

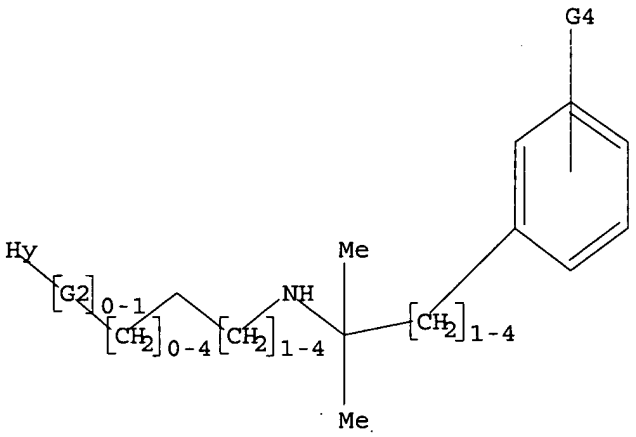
=>
Uploading 033001b.str

L3 STRUCTURE UPLOADED

=>
Uploading 033001c.str

L4 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S

G2 O,S,N,C

G3 C,H

G4 Cl,Br,F,Me,Et,MeO,EtO

G5 Me,Et,n-Pr,i-Pr

G6 H,Me,Et

Structure attributes must be viewed using STN Express query preparation.

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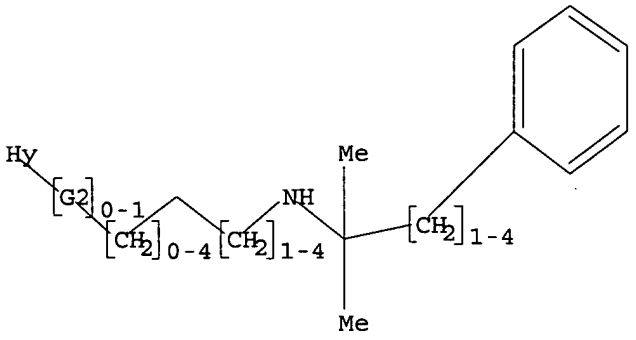
L2 HAS NO ANSWERS
L2 STR



no sub. excluded from claims

G1 O,S

G2 O,S,N,C

G3 C,H

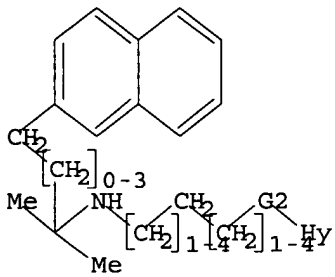
G4 Cl,Br,F,Me,Et,MeO,EtO

G5 Me,Et,n-Pr,i-Pr

G6 H,Me,Et

Structure attributes must be viewed using STN Express query preparation.

=> d l3
L3 HAS NO ANSWERS
L3 STR



G1 O,S

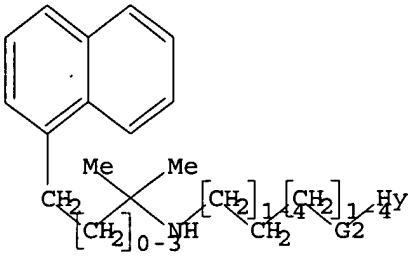
G2 O,S,N

G3 C,H

G4 Cl,Br,F,Me,Et,MeO,EtO

Structure attributes must be viewed using STN Express query preparation.

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 O,S

G2 O,S,N

G3 C,H

G4 Cl,Br,F,Me,Et,MeO,EtO

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED -  31707 TO ITERATE

100.0% PROCESSED   31707 ITERATIONS                          88 ANSWERS
SEARCH TIME: 00.00.02

L5            88 SEA SSS FUL L1

=> s l2 sss full
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FULL SCREEN SEARCH COMPLETED -  31707 TO ITERATE

100.0% PROCESSED   31707 ITERATIONS                         668 ANSWERS
SEARCH TIME: 00.00.02

L6           668 SEA SSS FUL L2

=> s l3 sss full
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100.0% PROCESSED    2139 ITERATIONS                           0 ANSWERS
SEARCH TIME: 00.00.01

L7             0 SEA SSS FUL L3

=> s l4 sss full
FULL SEARCH INITIATED 14:57:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   2139 TO ITERATE

100.0% PROCESSED    2139 ITERATIONS                           0 ANSWERS
SEARCH TIME: 00.00.01

L8             0 SEA SSS FUL L4

=> file caplus
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                               ENTRY    SESSION
FULL ESTIMATED COST                           592.20     592.41

FILE 'CAPLUS' ENTERED AT 14:57:52 ON 27 JUN 2003
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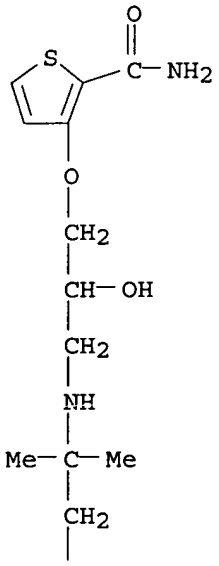
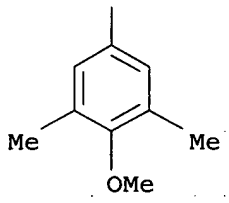
PAGE 1-A

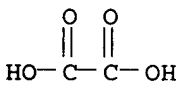


PAGE 2-A



CM 2

CRN 144-62-7
CMF C2 H2 O4



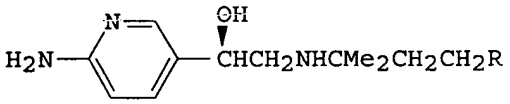
L9 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:630179 CAPLUS
DOCUMENT NUMBER: 113:230179
TITLE: Preparation of pyridylaminoethanol derivatives as animal-growth-promoters and feed efficiency enhancers
INVENTOR(S): Fisher, Michael H.; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM

09/288,556

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4906645	A	19900306	US 1988-242859	19880912
EP 359313	A1	19900321	EP 1989-202248	19890906
R: CH, DE, FR, GB, IT, LI, NL				
JP 02131468	A2	19900521	JP 1989-231786	19890908
AU 8941241	A1	19900315	AU 1989-41241	19890911
AU 622703	B2	19920416		
ZA 8906911	A	19900627	ZA 1989-6911	19890911

PRIORITY APPLN. INFO.: US 1988-242859 19880912
OTHER SOURCE(S): CASREACT 113:230179; MARPAT 113:230179
GI



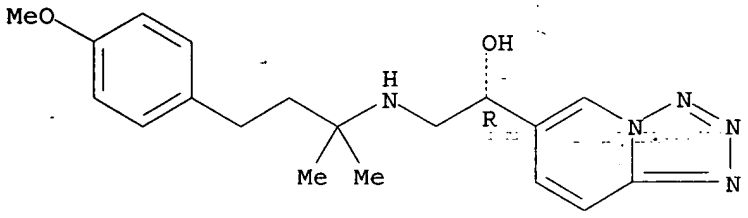
I

AB The title compds. I (R = HOC6H4, MeOC6H4) are prepd. as animal growth stimulators and feed-efficiency enhancers. A soln. of (R)-2-(tetrazolo[1,5-a]pyrid-6-yl)oxirane and 2-amino-2-methyl-4-(4-methoxyphenyl)butane in abs. EtOH was refluxed to give (R)-.alpha.-[[[1,1-dimethyl-3-(4-methoxyphenyl)propyl]amino]methyl]tetrazolo[1,5-a]pyridine-6-methanol, which was refluxed with SnCl2 in MeOH to give (R)-I (R = 4-MeOC6H4)-2HCl.

IT **130676-37-8P 130676-43-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ring opening of)

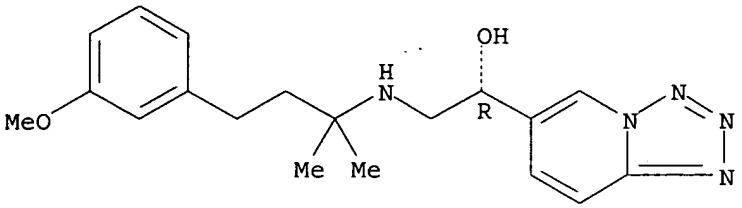
RN 130676-37-8 CAPLUS
CN Tetrazolo[1,5-a]pyridine-6-methanol, .alpha.-[[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



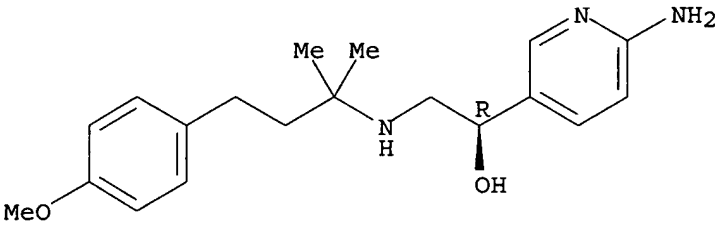
RN 130676-43-6 CAPLUS
CN Tetrazolo[1,5-a]pyridine-6-methanol, .alpha.-[[[3-(3-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



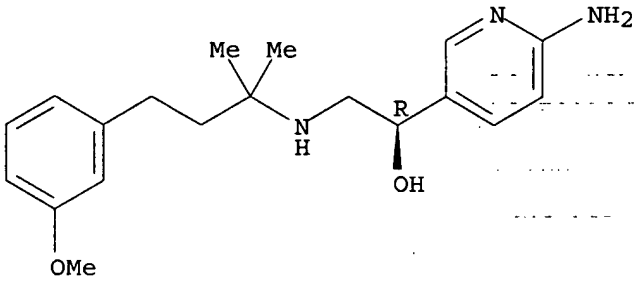
IT **130676-26-5P 130676-27-6P 130676-31-2P 130676-32-3P**
RL: PREP (Preparation)
(prepn. of, as animal growth stimulant and feed-efficiency enhancer)
RN 130676-26-5 CAPLUS
CN 3-Pyridinemethanol, 6-amino-.alpha.-[[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



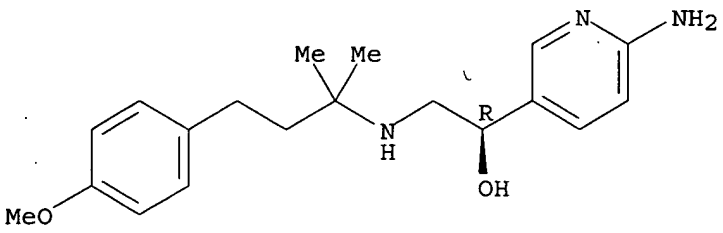
RN 130676-27-6 CAPLUS
CN 3-Pyridinemethanol, 6-amino-.alpha.-[[[3-(3-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 130676-31-2 CAPLUS
CN 3-Pyridinemethanol, 6-amino-.alpha.-[[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

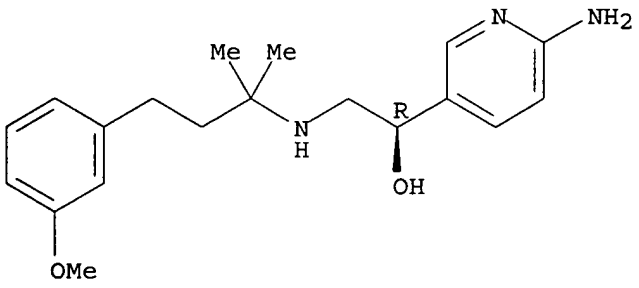
Absolute stereochemistry.



●2 HCl

RN 130676-32-3 CAPLUS
CN 3-Pyridinemethanol, 6-amino-.alpha.-[[[3-(3-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

L9 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:497342 CAPLUS
DOCUMENT NUMBER: 105:97342
TITLE: Preparation of substituted 3,4-dihydroquinolin-2(1H)one
INVENTOR(S): Cohnen, Erich; Jacobitz, Petra
PATENT ASSIGNEE(S): Beiersdorf A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3434271	A1	19860320	DE 1984-3434271	19840919
CA 1260933	A1	19890926	CA 1985-490318	19850910
AU 8547370	A1	19860424	AU 1985-47370	19850911
AU 597233	B2	19900531		
ZA 8506970	A	19860430	ZA 1985-6970	19850911
EP 175293	A1	19860326	EP 1985-111561	19850912
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
ES 547754	A1	19860901	ES 1985-547754	19850918

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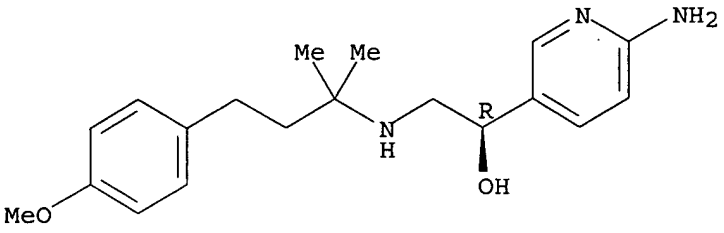
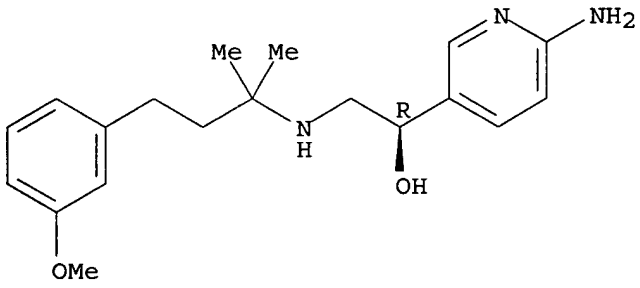


●2 HCl

RN 130676-32-3 CAPLUS
CN 3-Pyridinemethanol, 6-amino-.alpha.-[[[3-(3-methoxyphenyl)-1,1-dimethylpropyl]amino]methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



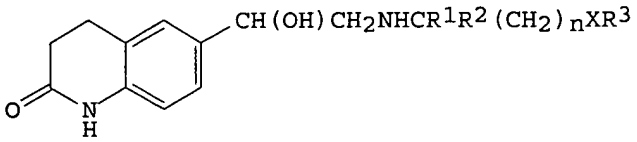
●2 HCl

L9 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:497342 CAPLUS
DOCUMENT NUMBER: 105:97342
TITLE: Preparation of substituted 3,4-dihydroquinolin-2(1H)one
INVENTOR(S): Cohnen, Erich; Jacobitz, Petra
PATENT ASSIGNEE(S): Beiersdorf A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3434271	A1	19860320	DE 1984-3434271	19840919
CA 1260933	A1	19890926	CA 1985-490318	19850910
AU 8547370	A1	19860424	AU 1985-47370	19850911
AU 597233	B2	19900531		
ZA 8506970	A	19860430	ZA 1985-6970	19850911
EP 175293	A1	19860326	EP 1985-111561	19850912
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
ES 547754	A1	19860901	ES 1985-547754	19850918

JP 61078767	A2	19860422	JP 1985-205464	19850919
US 4810712	A	19890307	US 1987-139000	19871229
PRIORITY APPLN. INFO.:			DE 1984-3434271	19840919
			US 1985-776948	19850917

GI



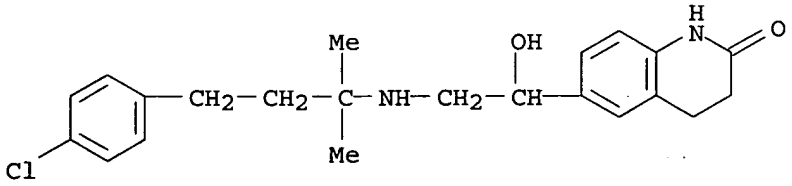
I

AB The title compds. I [R1, R2 = H, C1-3 alkyl; R3 = (un)substituted Ph, pyridyl, indolyl, substituted 1,2-benzisoxazolyl, benzimidazol-2-one, 1,4-benzodioxane; X = 0, single bond; n = 1,2,3], their tautomers, and salts are prepd. I block .alpha.-, and .beta.-receptors of adrenergic systems and are useful for the treatment of hypertonia, angina pectoris, and coronary insufficiency. Thus, I (R1 = R2 = Me, X = single bond, R3 = Ph, n = 2) was prepd. by reacting 3,4-dihydro-6(.alpha.,.alpha.-dihydroxyacetyl)quinolin-2(1H)-one with 1,1-dimethyl-3-phenylpropylamine. A tablet was formulated contg. I-HCl (R1 = H, A2 = Me, X = 0, R3 = 2-methoxyphenyl, n = 1) 40, lactose 90, starch 5, and Mg stearate 1 mg.

IT **103880-30-4P 103880-31-5P 103880-32-6P**
103880-33-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as sympatholytic)

RN 103880-30-4 CAPLUS

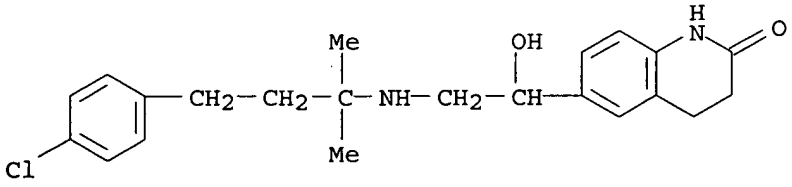
CN 2(1H)-Quinolinone, 6-[2-[[3-(4-chlorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-3,4-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

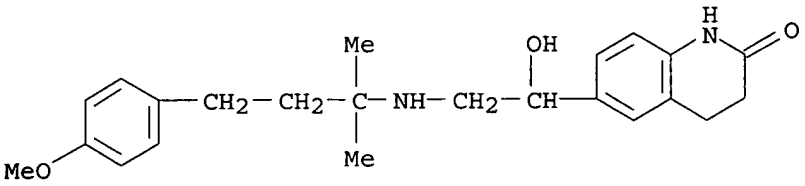
RN 103880-31-5 CAPLUS

CN 2(1H)-Quinolinone, 6-[2-[[3-(4-chlorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



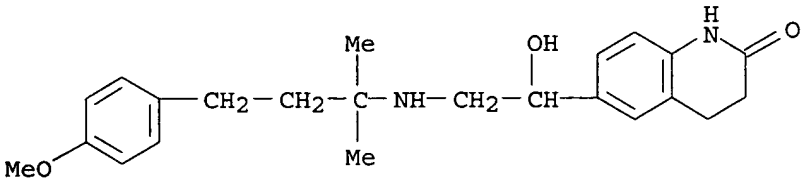
RN 103880-32-6 CAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-6-[1-hydroxy-2-[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 103880-33-7 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[1-hydroxy-2-[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]ethyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:45782 CAPLUS
DOCUMENT NUMBER: 102:45782
TITLE: 3-[(Arylalkyl)amino]propoxypyridine derivatives, pharmaceutical preparations containing them, and their use
INVENTOR(S): Knolle, Jochen; Lerch, Ulrich; Renger, Bernd; Schoelkens, Bernward
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

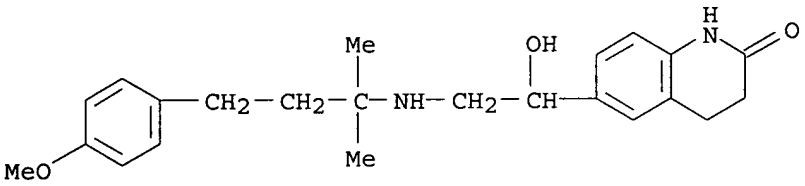
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3301198	A1	19840719	DE 1983-3301198	19830115

PRIORITY APPLN. INFO.: DE 1983-3301198 19830115
OTHER SOURCE(S): CASREACT 102:45782
GI

file copy

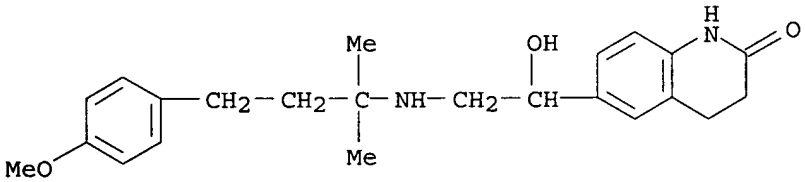
09/288,556

CN 2(1H)-Quinolinone, 3,4-dihydro-6-[1-hydroxy-2-[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

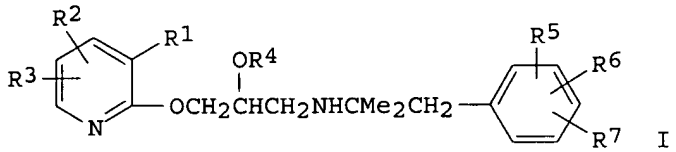
RN 103880-33-7 CAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-6-[1-hydroxy-2-[[3-(4-methoxyphenyl)-1,1-dimethylpropyl]amino]ethyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:45782 CAPLUS
DOCUMENT NUMBER: 102:45782
TITLE: 3-[(Arylalkyl)amino]propoxypyridine derivatives, pharmaceutical preparations containing them, and their use
INVENTOR(S): Knolle, Jochen; Lerch, Ulrich; Renger, Bernd; Schoelkens, Bernward
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3301198	A1	19840719	DE 1983-3301198	19830115

PRIORITY APPLN. INFO.: DE 1983-3301198 19830115
OTHER SOURCE(S): CASREACT 102:45782
GI



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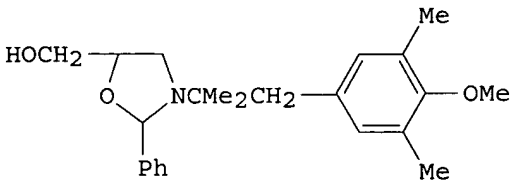
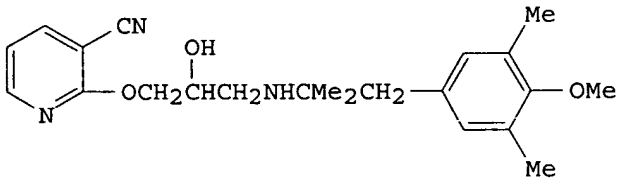


II



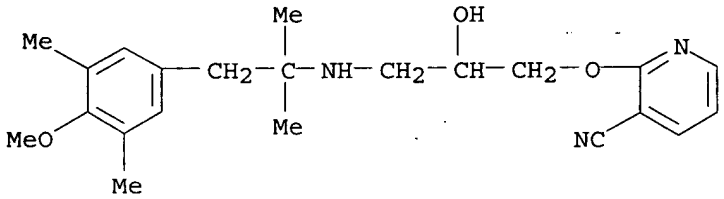
III

AB Propoxypyridines I [R1 = cyano, CF3; R2, R3 = H, halo, CF3, C1-6 alkyl, C1-4 alkoxy, Ph mono-, di-, or tri-(un)substituted with halo, C1-4 alkyl or alkoxy; R4 = H, C2-5 alkoxycarbonyl; R5, R6, R7 = C1-6 alkyl, C2-6 alkenyl; C1-4 alkoxy, OH, halo, CF3], useful as antihypertensives (no data), were prepd. by 3 methods. Aminolysis of glycidol with 3,5,4-Me2(MeO)C6H2CH2CMe2NH2 in refluxing MeOH 5 h gave 80% 3,5,4-Me2(MeO)C6H2CH2CMe2NHCH2CH(OH)CH2OH which was cyclized with PhCHO and BzOH in C6H6 to give oxazolidine II. This was etherified with 2-chloro-3-cyanopyridine and NaOH in DMF and the product hydrolyzed to give 57% pyridyl ether III-HCl.

IT **93755-53-4P 93755-56-7P 93755-57-8P**
93755-58-9P 93755-59-0P 93755-60-3P
93755-61-4P 93755-62-5P 93755-65-8P
93755-66-9P 93755-68-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 93755-53-4 CAPLUS

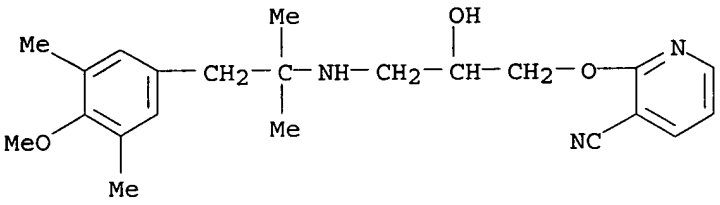
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



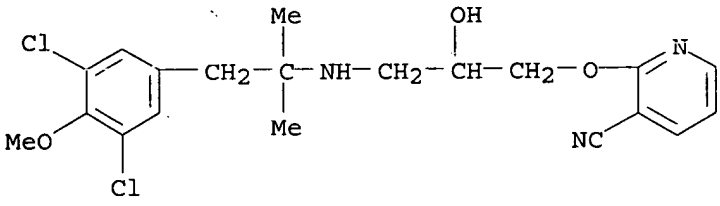
HCl

RN 93755-56-7 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)

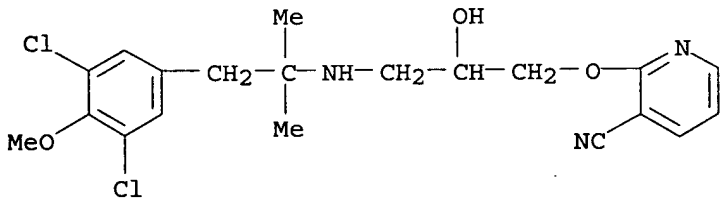


RN 93755-57-8 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,5-dichloro-4-methoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, hydrochloride (9CI) (CA INDEX NAME)

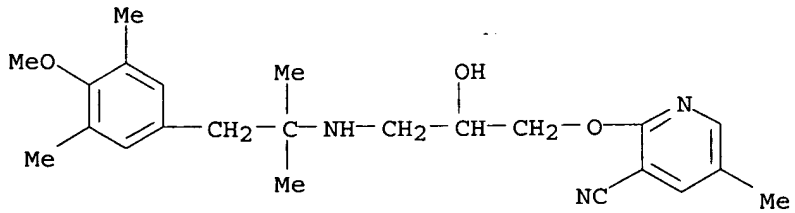


●x HCl

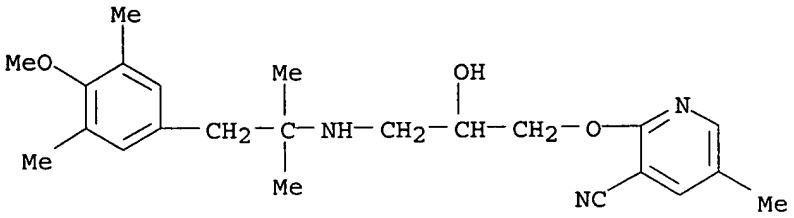
RN 93755-58-9 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,5-dichloro-4-methoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]- (9CI) (CA INDEX NAME)



RN 93755-59-0 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]-5-methyl-, hydrochloride (9CI) (CA INDEX NAME)

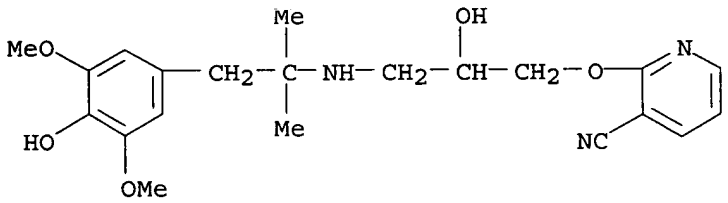


x HCl



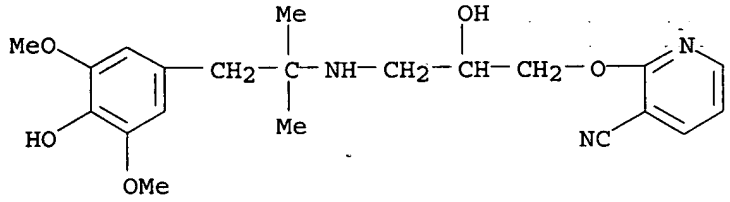
● x HCl

RN 93755-60-3 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-hydroxy-3,5-dimethoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



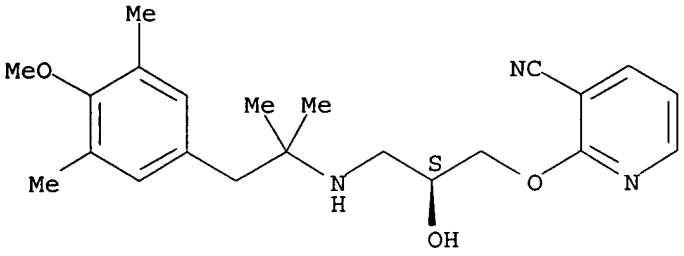
● x HCl

RN 93755-61-4 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-hydroxy-3,5-dimethoxyphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)



RN 93755-62-5 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]-, hydrochloride, (S)- (9CI) (CA INDEX NAME)

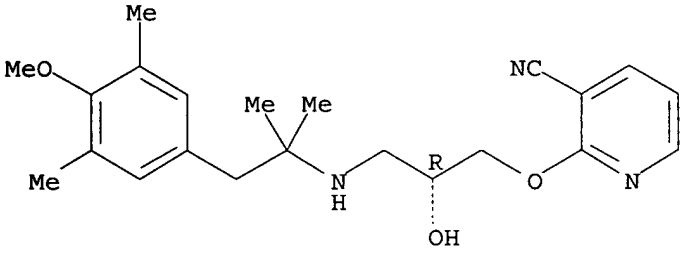
Absolute stereochemistry.



●x HCl

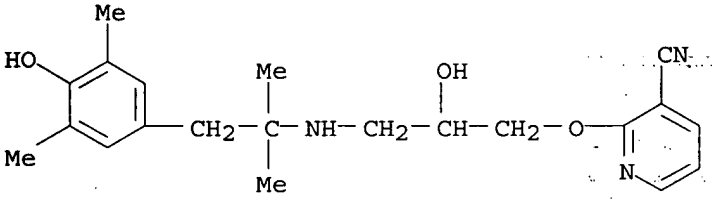
RN 93755-65-8 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]-, hydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

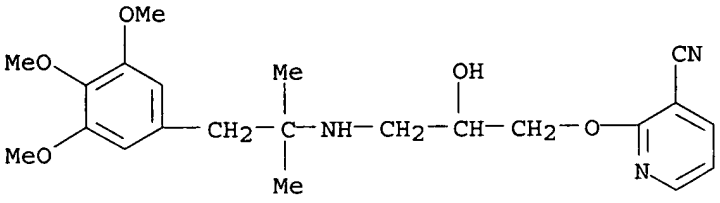


●x HCl

RN 93755-66-9 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-hydroxy-3,5-dimethylphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)



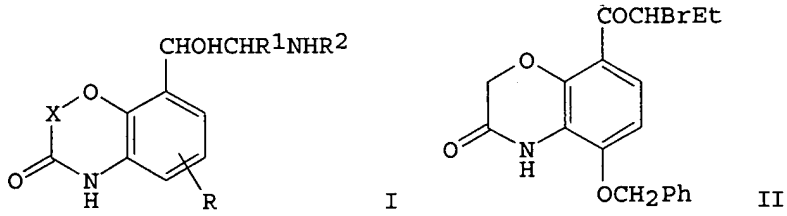
RN 93755-68-1 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[1,1-dimethyl-2-(3,4,5-trimethoxyphenyl)ethyl]amino]-2-hydroxypropoxy]- (9CI) (CA INDEX NAME)



L9 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:591939 CAPLUS
DOCUMENT NUMBER: 101:191939
TITLE: (1-Hydroxy-2-aminoalkyl)-substituted benzoxazinones and benzoxazolinones
INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Fuegner, Armin
PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4460581	A	19840717	US 1982-433681	19821012

PRIORITY APPLN. INFO.: US 1982-433681 19821012
OTHER SOURCE(S): CASREACT 101:191939
GI



I II

AB Title compds. I (R = Cl, OH, acyloxy; R1 = H, Me, Et; R2 = alkyl, arylalkyl, aryloxyalkyl, arylcarboxamidoalkyl, cycloalkyl; X = bond, CH2CH2, CR3R4; R3 = H, alkyl; R4 = H, alkyl, Ph), useful for treatment of asthma, bronchitis, urticaria, hay fever, colds, uterine spasms, cardiovascular disorders, etc. (no data), were prepd. Thus, benzoxazinone II was aminated with Me2CHNH2, debenzylated, and reduced to give erythro-I (R = 5-OH, R1 = Et, R2 = CHMe2, X = CH2) which had a broncholytic ED50 of 0.045 g/kg i.v. in guinea pigs.

IT **85937-89-9P 92613-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 85937-89-9 CAPLUS
CN 2(3H)-Benzoxazolone, 7-[2-[[3-(4-fluorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-4-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

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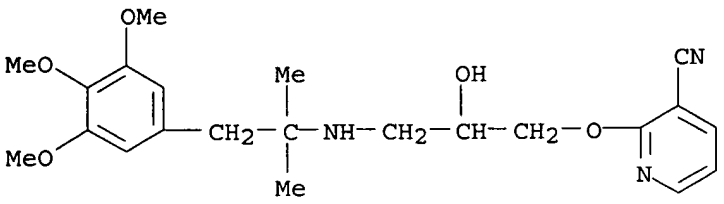

L9 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:591939 CAPLUS
DOCUMENT NUMBER: 101:191939
TITLE: (1-Hydroxy-2-aminoalkyl)-substituted benzoxazinones and benzoxazolinones
INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Fuegner, Armin
PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4460581	A	19840717	US 1982-433681	19821012

PRIORITY APPLN. INFO.: US 1982-433681 19821012
OTHER SOURCE(S): CASREACT 101:191939
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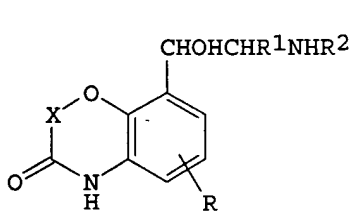

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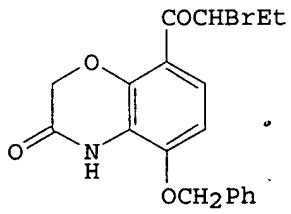


II

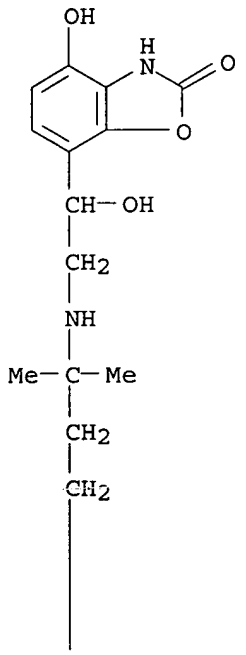
AB Title compds. I (R = Cl, OH, acyloxy; R1 = H, Me, Et; R2 = alkyl, arylalkyl, aryloxyalkyl, arylcarboxamidoalkyl, cycloalkyl; X = bond, CH2CH2, CR3R4; R3 = H, alkyl; R4 = H, alkyl, Ph), useful for treatment of asthma, bronchitis, urticaria, hay fever, colds, uterine spasms, cardiovascular disorders, etc. (no data), were prepd. Thus, benzoxazinone II was aminated with Me2CHNH2, debenzylated, and reduced to give erythro-I (R = 5-OH, R1 = Et, R2 = CHMe2, X = CH2) which had a broncholytic ED50 of 0.045 g/kg i.v. in guinea pigs.

IT **85937-89-9P 92613-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 85937-89-9 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[2-[[3-(4-fluorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-4-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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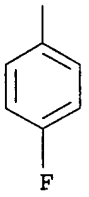
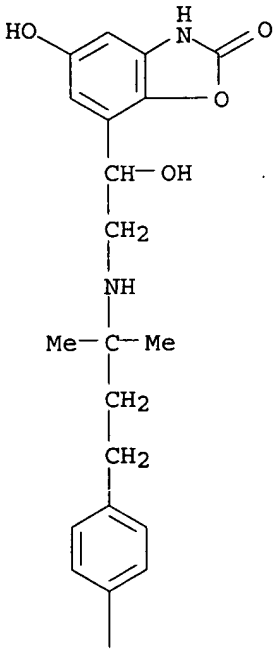


● HCl

RN 92613-56-4 CAPLUS
CN 2(3H)-Benzoxazolone, 7-[2-[[3-(4-fluorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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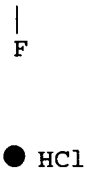

L9 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1984:423414 CAPLUS
DOCUMENT NUMBER:	101:23414
TITLE:	Phenothiazine derivatives as anti-Parkinsonian agents
AUTHOR(S):	Kumar, P.; Nath, C.; Agarwal, Jagdish C.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE:	Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India
SOURCE:	Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1983), 22B(9), 952-4 CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 101:23414

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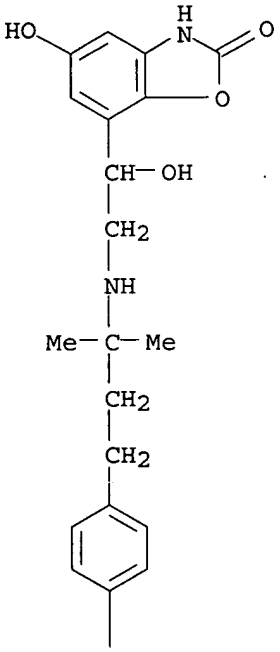
PAGE 1-A



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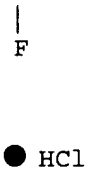

L9 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:423414 CAPLUS
DOCUMENT NUMBER: 101:23414
TITLE: Phenothiazine derivatives as anti-Parkinsonian agents
AUTHOR(S): Kumar, P.; Nath, C.; Agarwal, Jagdish C.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1983), 22B(9), 952-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 101:23414
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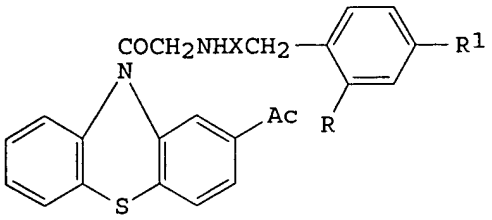

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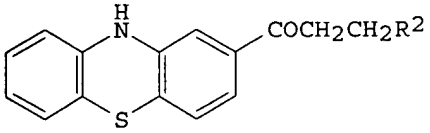


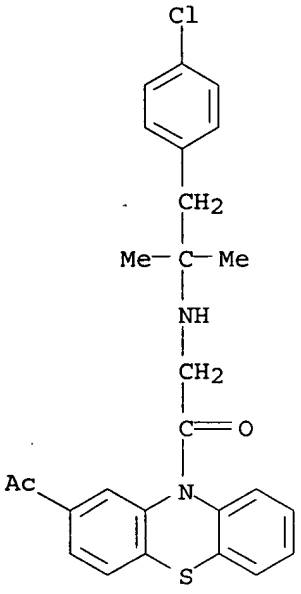
II

AB 2-Acetyl-10-chloroacetylphenothiazine undergoes condensation with amines to yield I (R = R1 = Me, Cl, OMe, X = bond; R = H, R1 = H, Cl, OMe, Me, X = CH_2; R = H, R1 = Cl, X = CMe_2). Mannich reaction of 2-acetylphenothiazine gives II [R2 = piperidino, hexamethyleneimino, 4-(3-chlorophenyl)piperazino, pyrrolidino, morpholino, 4-(2-methoxyprenyl)piperazino]. Some of the compds have significant anti-Parkinsonian activity.

IT **89516-34-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and anti-Parkinsonism activity of)

RN 89516-34-7 CAPLUS

CN 10H-Phenothiazine, 2-acetyl-10-[[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:139086 CAPLUS

DOCUMENT NUMBER: 100:139086

TITLE: Ring-substituted pyrogallol derivatives

INVENTOR(S): Schlager, Ludwig H.

PATENT ASSIGNEE(S): Gerot-Pharmazeutika G.m.b.H., Austria

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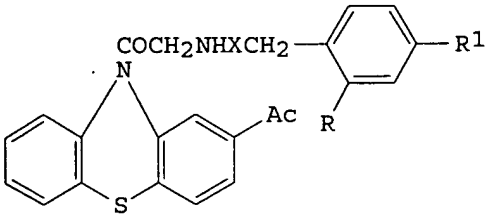

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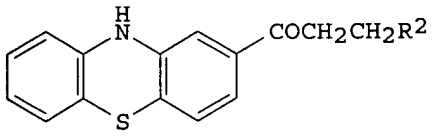


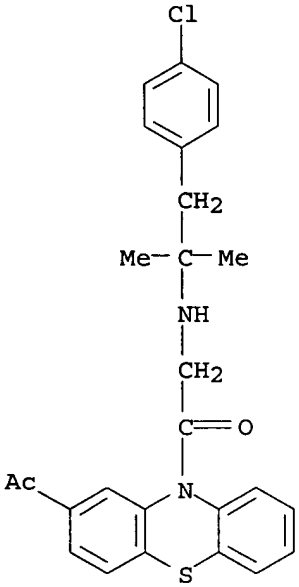
II

AB 2-Acetyl-10-chloroacetylphenothiazine undergoes condensation with amines to yield I (R = R1 = Me, Cl, OMe, X = bond; R = H, R1 = H, Cl, OMe, Me, X = CH_2; R = H, R1 = Cl, X = CMe_2). Mannich reaction of 2-acetylphenothiazine gives II [R2 = piperidino, hexamethyleneimino, 4-(3-chlorophenyl)piperazino, pyrrolidino, morpholino, 4-(2-methoxyprenyl)piperazino]. Some of the compds have significant anti-Parkinsonian activity.

IT **89516-34-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and anti-Parkinsonism activity of)

RN 89516-34-7 CAPLUS

CN 10H-Phenothiazine, 2-acetyl-10-[[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:139086 CAPLUS

DOCUMENT NUMBER: 100:139086

TITLE: Ring-substituted pyrogallol derivatives

INVENTOR(S): Schlager, Ludwig H.

PATENT ASSIGNEE(S): Gerot-Pharmazeutika G.m.b.H., Austria

SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 95454	A2	19831130	EP 1983-890068	19830502
EP 95454	A3	19850403		
R: BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 8201888	A	19840115	AT 1982-1888	19820513
AT 375654	B	19840827		
AT 8204671	A	19831215	AT 1982-4671	19821223
AT 375360	B	19840725		
AT 8301298	A	19841115	AT 1983-1298	19830412
AT 378191	B	19850625		
CA 1233181	A1	19880223	CA 1983-427476	19830504
AU 8314409	A1	19831117	AU 1983-14409	19830510
AU 566107	B2	19871008		
DK 8302104	A	19831114	DK 1983-2104	19830511
NO 8301680	A	19831114	NO 1983-1680	19830511
CS 235321	B2	19850515	CS 1983-3308	19830511
PL 141325	B1	19870731	PL 1983-241918	19830511
JP 58206581	A2	19831201	JP 1983-81827	19830512
DD 209831	A5	19840523	DD 1983-250870	19830512
DD 209831	C4	19851218		
HU 33092	O	19841029	HU 1983-1658	19830512
CS 235344	B2	19850515	CS 1984-142	19840105

PRIORITY APPLN. INFO.:
AT 1982-1888 19820513
AT 1982-4671 19821223
AT 1983-1298 19830412
CS 1983-3308 19830511

OTHER SOURCE(S): CASREACT 100:139086

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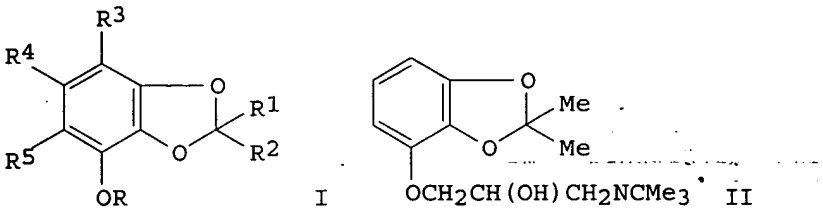


I II

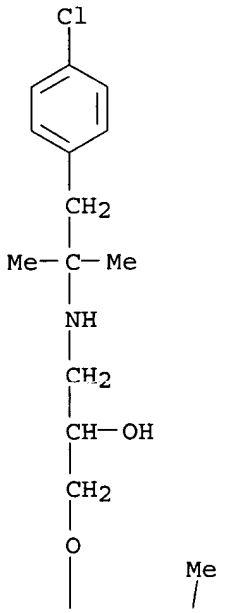
AB 3-Benzodioxolyl ethers I [R = H, aminohydroxyalkyl, carboxyalkyl, etc.; R1, R2 = H or lower alkyl; at least one of R3-5 = halo or NO2] were prepd. as analgesics and .beta.-sympatholytics. Thus, 2,2-dimethyl-1,3-benzodioxol-4-ol was treated with epichlorohydrin, then Me3CNH2 to give the amino alc. ether II, which was superior to Atenolol as a .beta.-blocker and a more effective analgesic than, e.g., pethidine-HCl.

IT **89085-06-3P 89085-07-4P 89097-19-8P 89097-20-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as analgesic or sympatholytic)

RN 89085-06-3 CAPLUS

CN 2-Propanol, 1-[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]-3-[(2,2-dimethyl-1,3-benzodioxol-4-yl)oxy]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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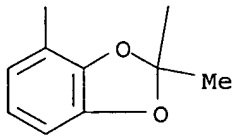
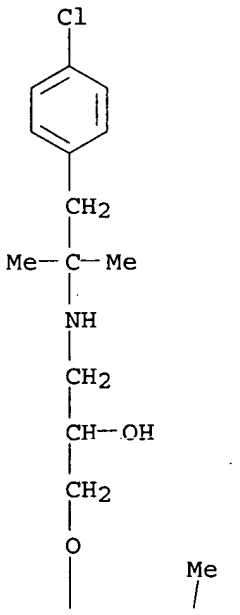


● HCl

RN 89085-07-4 CAPLUS
CN 2-Propanol, 1-[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]-3-[(2,2-dimethyl-1,3-benzodioxol-4-yl)oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



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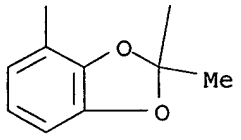
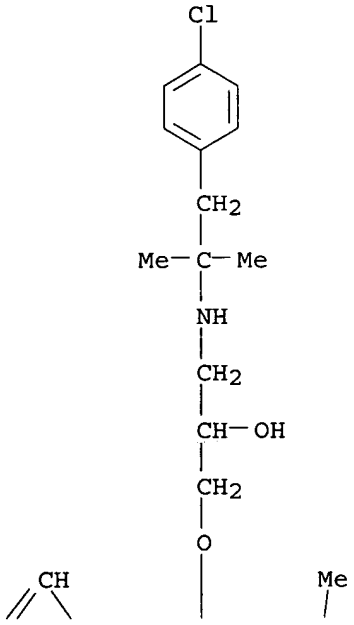


RN 89097-19-8 CAPLUS
CN 2-Propanol, 1-[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]-3-[[2,2-dimethyl-5-(2-propenyl)-1,3-benzodioxol-4-yl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



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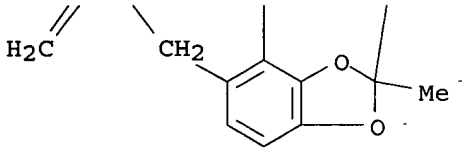
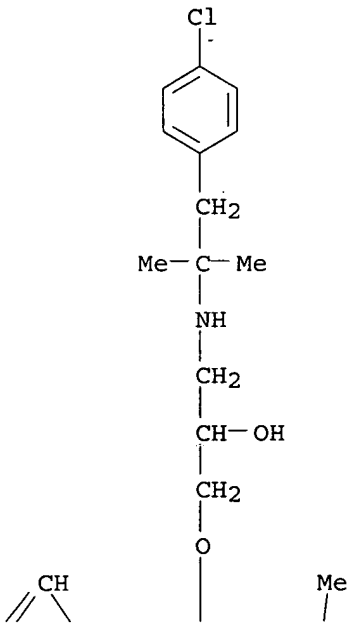


RN 89097-20-1 CAPLUS
CN 2-Propanol, 1-[[2-(4-chlorophenyl)-1,1-dimethylethyl]amino]-3-[[2,2-dimethyl-5-(2-propenyl)-1,3-benzodioxol-4-yl]oxy]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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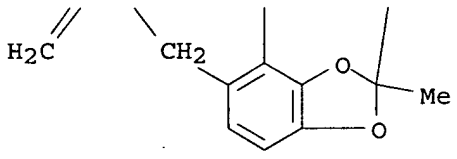

● HCl

L9 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:432759 CAPLUS
DOCUMENT NUMBER: 99:32759
TITLE: Antihypertensive .beta.-adrenergic blocking agents: N-aralkyl analogs of 2-[3-(tert-butylamino)-2-hydroxypropoxy]-3-cyanopyridine
AUTHOR(S): McClure, David E.; Baldwin, John J.; Randall, William C.; Lyon, Thomas F.; Mensler, K.; Lundell, G. F.; Raab, A. W.; Gross, Dennis; Risley, Edwin A.; et al.
CORPORATE SOURCE: Merck Inst. Therapeut. Res., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1983), 26(5), 649-57
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:32759
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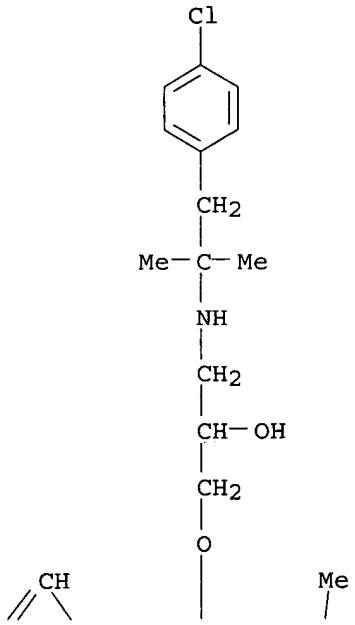
PAGE 1-A



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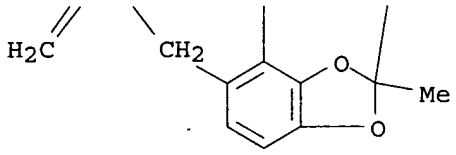

● HCl

L9 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:432759 CAPLUS
DOCUMENT NUMBER: 99:32759
TITLE: Antihypertensive .beta.-adrenergic blocking agents: N-aralkyl analogs of 2-[3-(tert-butylamino)-2-hydroxypropoxy]-3-cyanopyridine
AUTHOR(S): McClure, David E.; Baldwin, John J.; Randall, William C.; Lyon, Thomas F.; Mensler, K.; Lundell, G. F.; Raab, A. W.; Gross, Dennis; Risley, Edwin A.; et al.
CORPORATE SOURCE: Merck Inst. Therapeut. Res., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1983), 26(5), 649-57
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:32759
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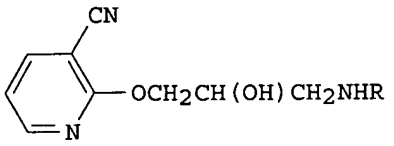


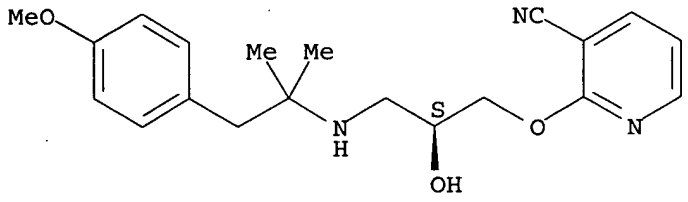
I

AB The enantiomers and racemates of the title compds. I (R = MeCH2CMe2, HC.tplbond.CMe2C.cntdot., Me2CHCH2CH2, indanyl, substituted Ph, etc.) mostly as the HCl or maleate salts prepd. either by reacting for example (S)-2-[[(3-cyano-2-pyridyl)oxy]methyl]oxirane [69500-51-2] with various amines, or 2-chloro-3-cyanopyridine [6602-54-6] with N-substituted glycolamines protected as their benzaldehyde oxazolidines were evaluated for antihypertensive activity in spontaneously hypertensive rats, and for the effect of aralkylamino substitution on .beta.-adrenergic blocking activity. In addn. the influence of chirality on the relative affinities for the 3H-labeled dihydroalprenalol, -clonidine, -WB-4101, or -prazosin (.beta.1, .alpha.2, .alpha.1, or .alpha.3, resp.) binding sites were detd. Structure-activity relations are discussed.

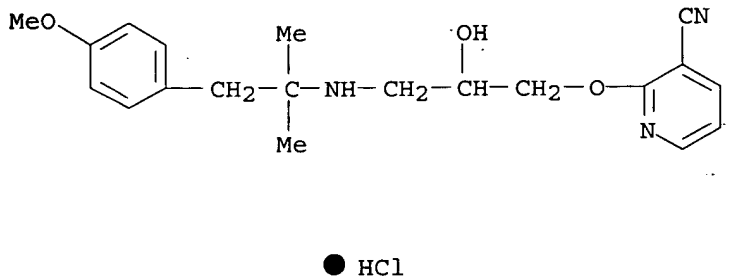
IT **75561-41-0P 75598-87-7P 84945-72-2P**
84945-73-3P 84945-74-4P 84945-75-5P
84945-79-9P 84945-80-2P 85026-21-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antihypertensive activity of)

RN 75561-41-0 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

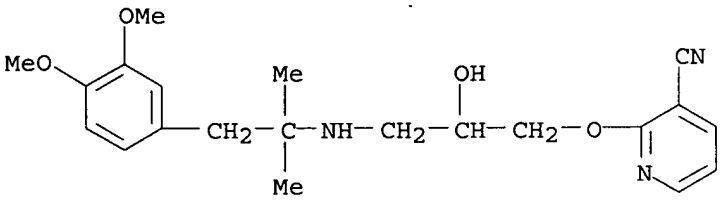


RN 75598-87-7 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



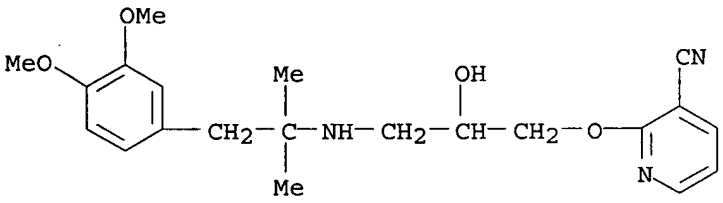
RN 84945-72-2 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-

dimethylethyl]amino]-2-hydroxypropoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



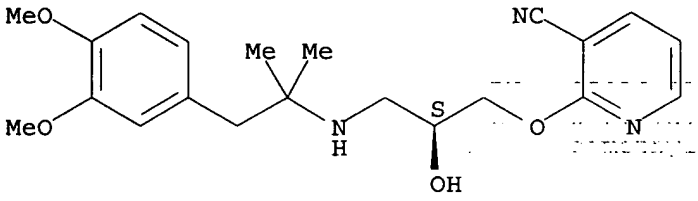
● HCl

RN 84945-73-3 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]- (9CI) (CA INDEX NAME)



RN 84945-74-4 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

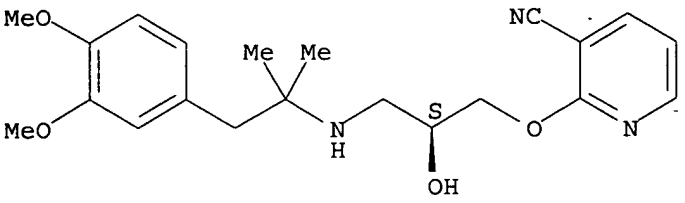
Absolute stereochemistry.



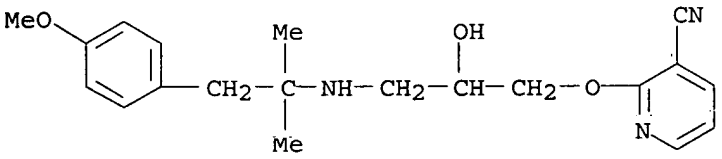
● HCl

RN 84945-75-5 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[3-[[2-(3,4-dimethoxyphenyl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

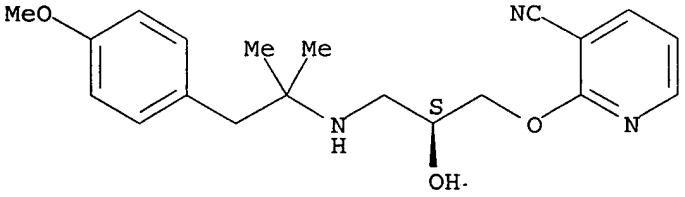


RN 84945-79-9 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)



RN 84945-80-2 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



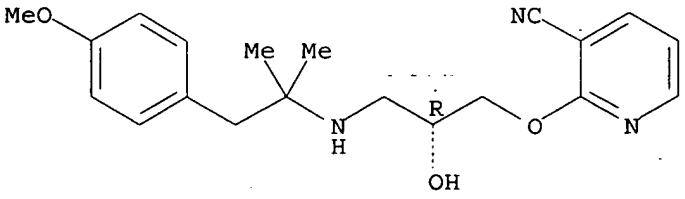
● HCl

RN 85026-21-7 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[(2R)-2-hydroxy-3-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]propoxy]-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 85026-20-6
CMF C20 H25 N3 O3

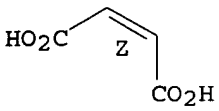
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L9 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:405636 CAPLUS
DOCUMENT NUMBER: 99:5636
TITLE: Benzoheterocyclics
INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Fuegner, Armin
PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 49 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3134590	A1	19830310	DE 1981-3134590	19810901
SU 1149876	A3	19850407	SU 1982-3483451	19820827
EP 73505	A1	19830309	EP 1982-107919	19820828
EP 73505	B1	19851127		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
AT 16703	E	19851215	AT 1982-107919	19820828
FI 8202985	A	19830302	FI 1982-2985	19820830
FI 78475	B	19890428		
FI 78475	C	19890810		
DD 204477	A5	19831130	DD 1982-242881	19820830
PL 139375	B1	19870131	PL 1982-238077	19820830
NO 8202932	A	19830302	NO 1982-2932	19820831
NO 157738	B	19880201		
NO 157738	C	19880511		
DK 8203890	A	19830302	DK 1982-3890	19820831
DK 158664	B	19900702		
DK 158664	C	19910114		
AU 8287874	A1	19830310	AU 1982-87874	19820831
AU 553589	B2	19860724		
JP 58052278	A2	19830328	JP 1982-151626	19820831
JP 03005392	B4	19910125		
GB 2106105	A1	19830407	GB 1982-24810	19820831
GB 2106105	B2	19850710		
ES 515380	A1	19830816	ES 1982-515380	19820831
HU 27880	O	19831128	HU 1982-2793	19820831
HU 186112	B	19850628		
ZA 8206349	A	19840425	ZA 1982-6349	19820831
CA 1180012	A1	19841225	CA 1982-410462	19820831
CS 236679	B2	19850515	CS 1982-6329	19820831
IL 66683	A1	19860331	IL 1982-66683	19820831
ES 521870	A1	19840116	ES 1983-521870	19830427

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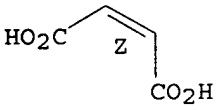
09/288,556

CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L9 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:405636 CAPLUS
DOCUMENT NUMBER: 99:5636
TITLE: Benzoheterocyclics
INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Fuegner, Armin
PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 49 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3134590	A1	19830310	DE 1981-3134590	19810901
SU 1149876	A3	19850407	SU 1982-3483451	19820827
EP 73505	A1	19830309	EP 1982-107919	19820828
EP 73505	B1	19851127		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
AT 16703	E	19851215	AT 1982-107919	19820828
FI 8202985	A	19830302	FI 1982-2985	19820830
FI 78475	B	19890428		
FI 78475	C	19890810		
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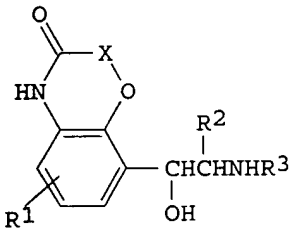
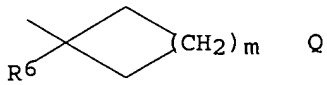
PL 139375	B1	19870131	PL 1982-238077	19820830
NO 8202932	A	19830302	NO 1982-2932	19820831
NO 157738	B	19880201		
NO 157738	C	19880511		
DK 8203890	A	19830302	DK 1982-3890	19820831
DK 158664	B	19900702		
DK 158664	C	19910114		
AU 8287874	A1	19830310	AU 1982-87874	19820831
AU 553589	B2	19860724		
JP 58052278	A2	19830328	JP 1982-151626	19820831
JP 03005392	B4	19910125		
GB 2106105	A1	19830407	GB 1982-24810	19820831
GB 2106105	B2	19850710		
ES 515380	A1	19830816	ES 1982-515380	19820831
HU 27880	O	19831128	HU 1982-2793	19820831
HU 186112	B	19850628		
ZA 8206349	A	19840425	ZA 1982-6349	19820831
CA 1180012	A1	19841225	CA 1982-410462	19820831
CS 236679	B2	19850515	CS 1982-6329	19820831
IL 66683	A1	19860331	IL 1982-66683	19820831
ES 521870	A1	19840116	ES 1983-521870	19830427

09/288,556

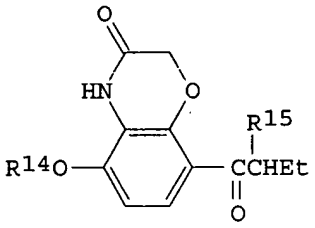
ES 521871 A1 19840616 ES 1983-521871 19830427
PRIORITY APPLN. INFO.: DE 1981-3134590 19810901
EP 1982-107919 19820828
OTHER SOURCE(S): CASREACT 99:5636
GI



I



Q



II

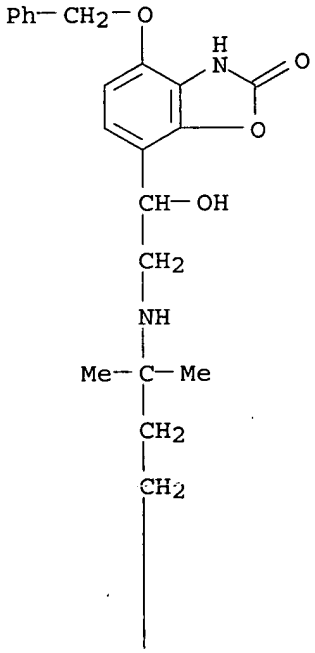
AB Benzoxazines I [R1 = OH, acyloxy, Cl, H; R2 = H, Me, Et; R3 = Q (m = 2-4, R6 = H, Me), CR7R8(CH2)nR9 [R7, R8 = H, Me; R9 = H, naphthyl, pyridyl, R10R11R12C6H2 [R10, R11, R12 independently = H, OH, Me, MeO, halo, OCH2O, NHR13 (R13 = H, acyl, alkylsulfonyl), CONH2]]; X = bond, CR4R5 (R4 = H, alkyl; R5 = H, alkyl, Ph)] and their acid addn. salts, useful as bronchodilators, uterus muscle relaxants, and vasodilators, were prepd. by 3 methods. Amination of benzoxazine II (R14 = PhCH2, R15 = Br) with HNCHMe2 in MeCN gave II (R14 = PhCH2, R15 = NHCHMe2) as the HCl salt which was debenzylated with H2 over Pd/C in MeOH to give II (R14 = H, R15 = NHCHMe2). This was hydrogenated over Pt in MeOH to give 90% I (R1 = 5-OH, R2 = Et, R3 = CHMe2, X = CH2).HCl which had broncholytic ED50 0.045 .mu.g/kg (guinea pig) i.v.

IT **85937-96-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenolysis of)

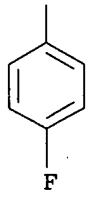
RN 85937-96-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[2-[[3-(4-fluorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-4-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



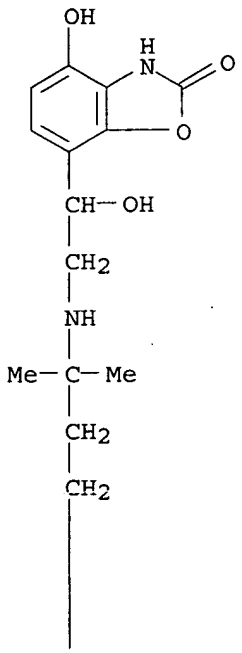
PAGE 2-A



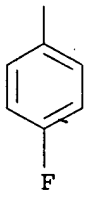
● HCl

IT **85937-89-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 85937-89-9 CAPLUS
CN 2(3H)-Benzoxazolone, 7-[2-[[3-(4-fluorophenyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-4-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



● HCl

L9 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:544754 CAPLUS
DOCUMENT NUMBER: 97:144754
TITLE: Secondary amines
INVENTOR(S): Ferris, Michael John
PATENT ASSIGNEE(S): Beecham Group Ltd.; UK
SOURCE: Brit. UK Pat. Appl., 14 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2084577	A	19820415	GB 1981-28824	19810923
GB 2084577	B2	19840502		